

chain nodes :

7

ring nodes :

1 2 3 4 5 6 8 9 10 11 12 13

chain bonds :

6-7 7-8

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 6-7 7-8 8-9 8-13 9-10 10-11 11-12 12-13

G1:C,N

Match level :

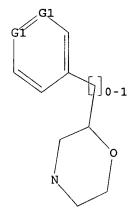
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom

L1 STRUCTURE UPLOADED

=> d ;1

L1 HAS NO ANSWERS

L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

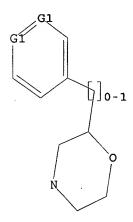
1 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 14:14:30 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 1449 TO ITERATE

69.0% PROCESSED

1000 ITERATIONS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

PROJECTED ITERATIONS:

COMPLETE BATCH

PROJECTED ANSWERS:

26697 TO 31263

5331 TO

L2

50 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 14:14:35 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 28303 TO ITERATE

100.0% PROCESSED 28303 ITERATIONS 7113 ANSWERS

50 ANSWERS

SEARCH TIME: 00.00.01

L3

7113 SEA SSS FUL L1

=>

Uploading C:\Program Files\Stnexp\Queries\168pt2.str

chain nodes :
15 16 17
ring nodes :

1 2 3 4 5 6 9 10 11 12 13 14

chain bonds :

6-9 13-15 15-16 16-17

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-14 10-11 11-12 12-13 13-14

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 6-9 9-10 9-14 10-11 11-12 12-13 13-14 13-15 15-16 16-17

G1:C,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS

L4 STRUCTURE UPLOADED

=> d 14 L4 HAS NO ANSWERS L4 STR

G1 C,N

Structure attributes must be viewed using STN Express query preparation.

SAMPLE SEARCH INITIATED 14:18:59 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 212 TO ITERATE

100.0% PROCESSED 212 ITERATIONS 22 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

PROJECTED ANSWERS:

3367 TO 5113 159 TO 721

L5

22 SEA SSS SAM L4

=> s 14 full

FULL SEARCH INITIATED 14:19:05 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 4548 TO ITERATE

4548 ITERATIONS 100.0% PROCESSED

546 ANSWERS

325.88

SEARCH TIME: 00.00.01

546 SEA SSS FUL L4

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION 325.67

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 14:19:12 ON 24 JUN 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 24 Jun 2005 VOL 143 ISS 1 FILE LAST UPDATED: 23 Jun 2005 (20050623/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 16

L7 87 L6

=> d ed abs ibib hitstr 1-87

ANSWER 1 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 02 Jul 2004

$$R^3 - (Y)_m - N = R^1$$
 $X - (R^2)_m$

Title compds. I [R1 = (un)substituted-alkyl, -alkenyl, -alkynyl, -cycloalkyl, etc., or R1 and X taken together from a saturated, partially saturated, or aromatic 5-6 membered ring having 0-3 heteroatoms selected from

saturated, or aromatic 5-6 membered ring having 0-3 heteroatoms selected from 0, P, S or N fused to ring A: R2 = OH, halo, (un)substituted-alkyl, -alkynyl, -heteroaryl, etc., optionally two adjacent R2s taken together form a fused, saturated, partially saturated or aromatic 5-6 membered ring having 0-3 heteroatoms selected from 0, P, S, or N, or two geminal R2s optionally taken together from a (un)substituted spiro, saturated, partially saturated or aromatic 5-6 membered ring having 0-3 heteroatoms selected from 0, P, S or N, said fused or spiro ring being optionally substituted: A strated, partially saturated or aromatic 5-6 membered ring having 0-3 heteroatoms selected from 0, P, S or N, A = saturated, partially saturated, or aromatic 3-7 monocyclic or 8-10 membered bicyclic ring having one ring nitrogen and 0-4 addnl. heteroatoms selected from 0, P, S or N, R ing B contains an oxygen atom in addition to depicted N; R3 = H, amine, CF3, halo, (un)substituted alkyl, etc., Y = alkyl, alkenyl, alkynyl, carbonyl, thiocarbonyl, etc.; m = 0-1, n = 0-5) and their pharmaceutically acceptable salts are prepared and disclosed as CCR5 antagonists. Thus, II was prepared by reaction of [3-(2,2-dimethylpropanoyl)-6-phenyl-1,3-oxazinan-6-yl]acetaldehyde (preparation given) with 1-(IR, S5)-8-azabicyclol(3,2.1)ct-3-yl]-2-methyl-1H-benzimidazole dihydrochloride. I have plC50 values of ≥5 in assays for CCR5 antagonisms. As CCR5 antagonists, I are useful for the treatment of viral infections (particularly HIV infection).

(Continued) ANSWER 1 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 11

L7 ANSWER 1 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

DOCUMENT NUMBER: 141:89094

TITLE: Preparation of oxazine and morpholine derivatives as CCR5 antagonists

INVENTOR(S): Aquino, Christopher Joseph; Chong, Pek Yong; Duan, Maosheng; Kazmierski, Wieslaw Mieczyslaw

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
PCT Int. Appl., 106 pp.

CODEM: PIXXD2

PATENT ARC. NUM. COUNT: 1

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. PATENT NO. KIND DATE DATE PATENT NO. KIND DATE APPLICATION NO. DATE

***MO 2004055011 A1 20040701 W0 2003-US39740 20031212

***W. AE, AG, AL, AM, AT, AU, AZ, BA, BB, BB, BG, BR, BW, BY, BZ, CA, CH, CM, CC, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KG, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, LP, TR, OR, RU, SC, SD, SE, SG, SK, SL, SL, YD, TM, TM, TM, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BW, GH, GH, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GB, HU, IE, IT, LU, NC, NL, PT, RO, SE, SI, ST, ST, CT, ST, FR, GB, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, CTHER SOURCE(S):

T15242-21-9P 715324-24-2P

**RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USES)

(USES)
(preparation of oxazine and morpholine derivs. as CCR5 antagonists)
716324-21-9 CAPLUS
Morpholine, 4-(3-hydroxy-2,2-dimethyl-1-oxopropyl)-2-[2-[(3-endo)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl)ethyl]-2-phenyl(9CI) (CA INDEX NAME)

Relative stereochemistry.

.:3324-24-2 CAPLUS Morpholine, 4-[2,2-dimethyl-1-oxopropyl)-2-[2-{(3-endo)-3-(2-methyl-1H-benzimidacol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl]-2-phenyl- [9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 25 Jun 2004

AB Title compds. I {A = C-X, N, B = C-Y, N; R1 = H, alkyl; R2 = H, alkyl; X = H, OH, CONH2, etc.; Y = H, OH, NH2, etc.; 2 = H. OH, F, etc.} their enentiomers and pharmaceutically acceptable salts were prepared For example, BH3-THF reduction of lactam II, e.g., prepared from 3-methoxybenzaldehyde in 5-steps, afforded 2-phenylmorpholine III in 84% yield. Compds. I expressed EC50 values < 1000 nM with 10-fold selectivity for D3 over D2, e.g., one example of compound I exhibited an EC50 value of 7.6 nM and 1315.8 fold selectivity for D3 over D2. Compds. I are claimed useful for the treatment of sexual dysfunction, e.g., hypoactive sexual activity, orgasmic disorders, erectile dysfunction, etc.

ACCESSION NUMBER: 2004:513345 CAPPLUS

DOCUMENT NUMBER: 141:71567

TITLE: Preparation of 2-phenylmorpholines and related

TITLE:

141:71567
Preparation of 2-phenylmorpholines and related compounds as dopamine agonists in the treatment of sexual dysfunction.
Allerton, Charlotte Moria Norfor: Baxter, Andrew Douglas: Cook, Andrew Simon: Hepworth, David: Wong, Stephen Kwok-fung
Pfizer Limited, UK: Pfizer Inc.
PCT Int. Appl., 121 pp.
CODEN: PIXXD2
Patent
English INVENTOR(S):

PATENT ASSIGNEE (S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

NO. KIND DATE APPLICATION NO. DATE

1052372 A1 20040624 W0 2003-185683 20031202

AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LL, LR, LS, LT, LU, LV, MA, MD, MG, MX, MN, MN, MW, MZ, NI, NO, NZ, PATENT NO. WO 2004052372

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
BY, KG, KZ, ND, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, BG, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, CM, GQ, GW, ML, MR, NE, SN, TD,
US 2004259874 A1 20044051 NL 2003-127168 20031210
NL 1024983 A1 20040611 NL 2003-1024983 20031210
NL 1024983 C2 20050201
NL 1024983 A1 CORPORATION OF CREATER AND COMPANY OF CREATER AND CREATER A GB 2002-28787 GB 2003-8460 GB 2003-13606 US 2003-438476P US 2003-470950P 20021210 20030411 20030612 20030107 PRIORITY APPLN. INFO.: SOURCE(s): MARPAT 141:71567

S47770-26-3P, 2-(4-Benzyloxyphenyl)-4-propylmorpholine

547770-27-4P, 4-(4-Propylmorpholin-2-yl)phenol

547770-29-6P, 2-(4-Benzyloxy-3-bromophenyl)-4-propylmorpholine

547770-29-6P, 2-(4-Benzyloxy-3-bromophenyl)-4-propylmorpholine

547770-29-6P, 2-(4-Benzyloxy-5-(4-propylmorpholin-2-yl)phenol

547770-39-9P, 2-Benzyloxy-5-(4-propylmorpholin-2-yl)benzoic acid

methyl ester 54770-31-0P, 2-Benzyloxy-5-(4-propylmorpholin-2-yl)benzoic acid 547770-32-1P, 2-Benzyloxy-5-(4-propylmorpholin-2-yl)benzoic acid 547770-33-1P, 2-Benzyloxy-5-(4-propylmorpholin-2-yl)benzoic 347770-33-9P, (6-[c-(2-5-bimethylpyrcoi-1-yl)pyridin-3-yl)-4-propylmorpholine 710653-67-9P 710653-62-6P

710653-83-1P 710653-89-7P 710654-25-4P,

6-(4-Chloro-3-methoxybenyl)-4-propylmorpholine 710654-58-3P US 2003-501512P OTHER SOURCE (5): IT 547770-26-31 71053-83-19 710653-89-7P 710654-25-4P,
6-(4-Chloro-3-methoxyphenyl)-4-propylmorpholine 710654-58-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of 2-phenylmorpholines and related compds. as dopamine agonists in the treatment of sexual dysfunction.)
547770-26-3 CAPLUS

Morpholine, 2-[4-(phenylmethoxy)phenyl]-4-propyl- (9CI) (CA INDEX NAME)

0- CH2- Ph

547770-27-4 CAPLUS
Phenol, 4-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

547770-28-5 CAPLUS Phenol, 2-bromo-4-{4-propyl-2-morpholinyl}- (9CI) (CA INDEX NAME)

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

547770-34-3 CAPLUS
Phenol, 2-nitro-4-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

547770-39-8 CAPLUS Morpholine, 2-[6-(2,5-dimethyl-lH-pyrrol-1-yl)-3-pyridinyl]-4-propyl-(9CI) (CA INDEX NAME)

Morpholine, 2-ethyl-6-(3-methoxyphenyl)-4-propyl-, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

710653-62-6 Morpholine, 2-ethyl-6-(3-methoxyphenyl)-4-propyl-, (2R,6R)-rel- (9CI) (CA INDEX NAME)

Page 927/06/2005

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

547770-29-6 CAPLUS
Morpholine, 2-[3-bromo-4-(phenylmethoxy)phenyl]-4-propyl- (9CI) (CA INDEX NAME)

547770-30-9 CAPLUS Benzoic acid, 2-(phenylmethoxy)-5-(4-propyl-2-morpholinyl)-, methyl ester (9C1) (CA INDEX NAME) RN CN

547770-31-0 CAPLUS
Benzoic acid, 2-(phenylmethoxy)-5-(4-propyl-2-morpholinyl)- (9CI) (CA
INDEX NAME)

547770-32-1 CAPLUS
Benzamide, 2-(phenylmethoxy)-5-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Relative stereochemistry.

710653-83-1 CAPLUS Morpholine, 2-(3-methoxyphenyl)-6-methyl-4-propyl-, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

710653-89-7 CAPLUS Morpholine, 2-(3-methoxyphenyl)-6-methyl-4-propyl-, (2R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

710654-25-4 CAPLUS
Morpholine, 2-(4-chloro-3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 710654-58-3 CAPLUS Morpholine, 2-(3-methoxyphenyl)-5-methyl-4-propyl-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

142363-72-2P, 2-(3-Methoxyphenyl)-4-propylmorpholine 547770-05-BP 547770-06-9P 547770-07-0P 547770-12-7P, 2-(3,5-Dimethoxyphenyl)-4-propylmorpholine 547770-13-8P 547770-14-9P 547770-20-7P, 2-(4-Fluoro-3-methoxyphenyl)-4-propylmorpholine 547770-33-2P, 2-Hydroxy-5-(4-propylmorpholin-2-yl)benzamide 547770-33-4P, 2-Anino-4-(4-propylmorpholin-2-yl)phenol 710652-35-0P 710652-94-97 710653-32-0P, 5-(4-Propylmorpholin-2-yl)pyridin-2-ylamine 710653-37-5P 710653-43-3P 710654-00-5P 710654-30-1P 710654-62-9P 710654-68-5P 710654-74-3P 710655-10-0P 710655-68-5P 710654-74-3P 710655-10-0P 710655-15-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of 2-phenylmorpholines and related compds. as dopamine agonists in the treatment of sexual dysfunction.)
142363-72-2 CAPLUS
Morpholine, 2-(3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

547770-05-8 CAPLUS Phenol, 3-[(2R)-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 . ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

547770-14-9 CAPLUS 1,3-Benzenediol, 5-[(2S)-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME) Absolute stereochemistry.

547770-20-7 CAPLUS
Morpholine, 2-(4-fluoro-3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

547770-33-2 CAPLUS .
Benzamide, 2-hydroxy-5-(4-propyl-2-morpholinyl)- [9CI] (CA INDEX NAME)

H₂N

547770-35-4 CAPLUS
Phenol, 2-amino-4-(4-propyl-2-morpholinyl)- {9CI} (CA INDEX NAME)

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

547770-06-9 CAPLUS
Phenol, 3-[(2S)-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

547770-07-0 CAPLUS
Phenol, 3-[(2R)-4-propyl-2-morpholinyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HC1

547770-12-7 CAPLUS Morpholine, 2-(3,5-dimethoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

547770-13-8 CAPLUS 1,3-Benzenediol, 5-{(2R)-4-propyl-2-morpholinyl}- (9CI) (CA INDEX NAME)

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

710652-35-0 CAPLUS Morpholine, 2-(4-fluoro-3-methoxyphenyl)-4-propyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

710652-39-4 CAPLUS Morpholine, 2-(4-fluoro-3-methoxyphenyl)-4-propyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

710653-32-0 CAPLUS 2-Pyridinamine, 5-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

710653-37-5 CAPLUS 2-Pyridinamine, 5-(4-propyl-2-morpholinyl)-, (+)- (9CI) (CA INDEX NAME) Rotation (+).

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

710653-43-3 CAPLUS 2-Pyridinamine, 5-(4-propyl-2-morpholinyl)-, (-)- (9CI) (CA INDEX NAME) Rotation (-).

710653-68-2 CAPLUS Phenol, 3-[(2R,6S)-6-ethyl-4-propyl-2-morpholinyl]-, rel- (9CI) (CA INDEX NAME)

710653-73-9 CAPLUS Phenol, 3-[(2R,6R)-6-ethyl-4-propyl-2-morpholinyl]-, rel- (9CI) (CA INDEX NAME)

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

710654-62-9 CAPLUS
Phenol, 3-[(5S)-5-methyl-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME)

710654-68-5 CAPLUS Phenol, 3-{(2R,5R)-5-methyl-4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

710654-74-3 CAPLUS
Phenol, 3-[(2R,5S)-5-methyl-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME)

710655-10-0 CAPLUS 2-Pyridinamine, 5-[(29,58)-5-methyl-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME)

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Phenol, 3-[(2R,6S)-6-methyl-4-propyl-2-morpholinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

710654-00-5 CAPLUS
Phenol, 3-{(2R,6R)-6-methyl-4-propyl-2-morpholinyl}-, rel- (9CI) (CA
INDEX NAME)

Relative stereochemistry.

710654-30-1 CAPLUS
Phenol, 2-chloro-5-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

710655-15-5 CAPLUS 2-Pyridinamine, 5-[(2R,5S)-5-methyl-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

142363-68-6P, 6-(3-Methoxyphenyl)-4-propylmorpholin-3-one
547770-11-6P, 6-(3,5-Dimethoxyphenyl)-4-propylmorpholin-3-one
547770-19-4P, 6-(4-Fluoro-3-methoxyphenyl)-4-propylmorpholin-3-one
547770-25-2P, 6-(4-Fluoro-3-methoxyphenyl)-4-propylmorpholin-3-one
547770-38-7P 710653-47-7P, 2-Ethyl-6-(3-methoxyphenyl)-4propylmorpholin-3-one 710653-77-3P, 2-Ethyl-6-(3-methoxyphenyl)-4propylmorpholin-3-one 710654-16-3P, 6-(4-Chloro-3methoxyphenyl)-4-propylmorpholin-3-one 710654-53-8P
710654-89-0P 710654-89-0P
RE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of 2-phenylmorpholines and related compds. as dopamine agonists
in the treatment of sexual dysfunction.)
12363-68-6 CAPLUS
3-Morpholinone, 6-(3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

547770-11-6 CAPLUS 3-Morpholinone, 6-(3,5-dimethoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

547770-19-4 CAPLUS 3-Morpholinone, 6-(4-fluoro-3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

547770-25-2 CAPLUS
3-Morpholinone, 6-[4-(phenylmethoxy)phenyl)-4-propyl- (9CI) (CA INDEX NAME)

. o- сн2- Ph

547770-38-7 CAPLUS
3-Morpholinone, 6-[6-(2,5-dimethyl-lH-pyrrol-1-yl)-3-pyridinyl)-4-propyl(9CI) (CA INDEX NAME)

710653-47-7 CAPLUS 3-Morpholinone, 2-ethyl-6-(3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

710653-77-3 CAPLUS
3-Morpholinone, 6-(3-methoxyphenyl)-2-methyl-4-propyl- (9CI) (CA INDEX

710654-16-3 CAPLUS 3-Morpholinone, 6-(4-chloro-3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

710654-53-8 CAPLUS 2-Morpholinol, 2-(3-methoxyphenyl)-5-methyl-4-propyl-, (5S)- (9CI) (CA

Absolute stereochemistry.

710654-89-0 CAPLUS 2-Morpholinol; 2-(6-(2,5-dimethyl-lH-pyrrol-l-yl)-3-pyridinyl)-5-methyl-4-propyl-, (5S)- (5C1) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 08 Dec 2003

AB The development of new strategies for solid-phase synthesis of 3-aza-6, 8-dioxabicyclo[3.2.1]octane scaffolds, named BTKa, e.g. I, is described. The preparation was made possible by the combination of three components: amines, a-halo-acetophenones, and sugar or tartaric acid derivs. By anchoring each of the three components it was possible to synthesize BTKa compds, either as amino alcs, or amido esters. The compatibility of the protocols with different classes of amines and substituted a-halo-acetophenones was demonstrated.

ACCESSION NUMBER: 2003/957358 CAPLUS

DOCUMENT NUMBER: 140:321342

TITLE: A solid-phase approach towards the development of 3-aza-6,8-dioxabicyclo[3.2.1]octane scaffolds

AUTHOR(S): Tabocchi. Andree: Manclni, Francesco; Menchi, Gloris; Guarna, Antonio

CORPORATE SOURCE: Polo Scientifico di Sesto Fiorentino, Dipartimento di Chimica Organica 'Ugo Schiff', Universitadegli Studi di Firenze, Florence, Sesto Fiorentino, Italy Molecular Diversity (2003), 6(3-4), 245-250

CODEN: MODIF4; ISSN: 1381-1991

FUBLISHER: Kluwer Academic Publishers

DOCUMENT TYPE: Journal LANGUAGE: English

IT 677353-54-7P 677353-52-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(solid-phase preparation of azadioxabicyclooctane scaffolds from amines, haloacetophenones, carbohydrate or tartaric acid derivs.)

RN 677353-54-7 CAPLUS

CN 6,8-Dloxa-3-azabicyclo(3,2.1]octane-7-methanol, 3-butyl-5-phenyl-, (15,55,75)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

677353-62-7 CAPLUS
6,8-Dioxa-3-azabicyclo[3.2.1]octane-7-carboxylic acid,
3-butyl-5-(4-hydroxyphenyl)-2-oxo-, methyl ester, (1R,55,7R)-rel- (9CI)
(CA INDEX NAME)

L7 ANSWER 3 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

7 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 3-Morpholinone, 6-(3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

RN 142363-72-2 CAPLUS CN Morpholine, 2-(3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

RN 547770-05-8 CAPLUS CN Phenol, 3-[(2R)-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME)

RN 547770-06-9 CAPLUS
CN Phenol, 3-{(25)-4-propyl-2-morpholinyl}- (9CI) (CA INDEX NAME)
Absolute stereochemistry. Rotation (+).

RN 547770-07-0 CAPLUS
CN Phenol, 3-[(2R)-4-propyl-2-morpholinyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry

L7 ANSWER 4 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 27 Jun 2003
B The use of a composition comprising a selective dopamine D3 receptor agonist is
disclosed, wherein said dopamine D3 receptor agonist is at least about
15-times more functionally selective for a dopamine D3 receptor as
compared with a dopamine D2 receptor when measured using the same
functional assay. in the preparation of a medicament for the treatment and/or
prevention of sexual dysfunction.

ACCESSVENCHINT NUMBER: 2003:491050 CAPLUS
DOCUMENT NUMBER: 109:63048
TITLE: Selective dopamine D3 receptor agonists for the
treatment of sexual dysfunction
INVENTOR(S): Van der Graaf, Pieter Hadewijn: Wayman, Christopher
Peter: Baxter, Andrew Douglas: Cook, Andrew Simon:
Wong, Stephen Kwok-Fung
PATENT ASSIGNEE(S): Pitzer Limited, UK: Pfizer Inc.
SOURCE: PCT Int. Appl., 247 pp.
CODE: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

T 142363-68-69 142363-72-29 547770-05-89
W0 2002-GB5595 W 20021210

T 142363-68-69 142363-72-29 547770-11-69
547770-12-79 547770-07-09 547770-11-69
547770-12-79 547770-23-89 547770-21-89
547770-22-99 547770-26-39 547770-26-39
547770-27-49 547770-28-39 547770-26-39
547770-30-99 547770-32-19 547770-32-19
547770-30-99 547770-33-19 547770-33-19
547770-38-79 547770-33-99
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(selective dopamine D3 receptor agonists for the treatment of sexual dysfunction)
IN 142363-68-6 CAPLUS

L7 ANSWER 4 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

● HC1

RN 547770-11-6 CAPLUS CN 3-Morpholinone, 6-(3,5-dimethoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

RN 547770-12-7 CAPLUS CN Morpholine, 2-(3,5-dimethoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

RN 547770-13-8 CAPLUS CN 1,3-Benzenediol, 5-{(2R)-4-propyl-2-morpholinyl}- (9CI) (CA INDEX NAME)

RN 547770-14-9 CAPLUS CN 1,3-Benzenediol, 5-[(25)-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME) Absolute stereochemistry.

L7 ANSWER 4 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

547770-19-4 CAPLUS 3-Morpholinone, 6-(4-fluoro-3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

547770-20-7 CAPLUS Morpholine, 2-(4-fluoro-3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

547770-21-8 CAPLUS Phenol, 2-fluoro-5-{{2R}-4-propyl-2-morpholinyl}- {9CI} (CA INDEX NAME) Absolute stereochemistry.

547770-22-9 CAPLUS Phenol, 2-fluoro-5-[(2S)-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME) Absolute stereochemistry.

OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

547770-30-9 CAPLUS Benzoic acid, 2-(phenylmethoxy)-5-(4-propyl-2-morpholinyl)-, methyl ester (9CI) (CA INDEX NAME)

547770-31-0 CAPLUS Benzoic acid, 2-(phenylmethoxy)-5-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

547770-32-1 CAPLUS Benzamide, 2-(phenylmethoxy)-5-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

547770-33-2 CAPLUS Benzamide, 2-hydroxy-5-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

Page 1427/06/2005

ANSWER 4 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

547770-25-2 CAPLUS
3-Morpholinone, 6-[4-(phenylmethoxy)phenyl]-4-propyl- (9CI) (CA INDEX NAME)

547770-26-3 CAPLUS
Morpholine, 2-[4-(phenylmethoxy)phenyl]-4-propyl- (9CI) (CA INDEX NAME)

547770-27-4 CAPLUS
Phenol, 4-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

547770-28-5 CAPLUS Phenol, 2-bromo-4-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

547770-29-6 CAPLUS Morpholine, 2-[3-bromo-4-(phenylmethoxy)phenyl)-4-propyl- (9CI) (CA INDEX

ANSWER 4 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN L7

547770-34-3 CAPLUS Phenol, 2-nitro-4-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

547770-35-4 CAPLUS Phenol, 2-amino-4-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

547770-38-7 CAPLUS
3-Morpholinone, 6-[6-(2,5-dimethyl-lH-pyrrol-1-yl)-3-pyridinyl]-4-propyl-(SCI) (CA INDEX NAME)

547770-39-8 CAPLUS Morpholine, 2-[6-(2,5-dimethyl-1H-pyrrol-1-yl)-3-pyridinyl)-4-propyl-(9CI) (CA INDEX NAME)

L7 ANSWER 4 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 20 Mar 2003

AB Enantiomerically pure bicyclic 1,4-oxazepinone I was obtained by the CU(II)-catalyzed decomposition of an α-diazo carbonyl compound II tethered to a chiral morpholinone, through the cascade evolution of the spirocyclic ammonium ylide formed. LiAlH4 reduction and transesterification of the lactone moiety of the oxazepinone afforded pure chiral pyrolidine III and 3-prolinone bicyclic hemiacetal IV, resp., both bearing a quaternary ACCESSION NUMBER: 2003:215683 CAPLUS

2003:215683 CAPLUS 139:133481

TITLE:

139:133481
Stereospecific [1,2]-rearrangement of a spirocyclic ammonium ylide with ring expansion sequence Saba, Antonio Dipartimento di Chimica, Facolta di Scienze, Sassari, I-07100, Italy Tetrahedron Letters (2003), 44(14), 2895-2898
CODEN: TELEAY; ISSN: 0040-4039 AUTHOR(S): CORPORATE SOURCE:

SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE: Elsevier Science Ltd.

English CASREACT 139:133481 OTHER SOURCE (S):

566189-01-3P

586189-01-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (asym. synthesis of pyrrolidine derivative and prolinone bicyclic hemiacetal via Cu-catalyzed stereoselective (1,2]-sigmatropic rearrangement of diazo(diphenyloxomorpholinyl)oxopentanoate) 566189-01-3 CAPLUS

4-Morpholinepentanoic acid, α-diazo-β,6-dioxo-2,3-diphenyl-, ethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L7 ANSWER 6 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 05 Jun 2002

AB The present study describes the synthesis and in vitro pharmacol. of a novel series of dopaminergic agents in which the classical phenylethylamine pharmacophore is replaced by a thienylethylamine moiety. In general, the novel compds. showed a moderate affinity for the dopamine (DA) D2 and D3 receptors. When the thienylethylamine moiety is fixed in a rigid system, the affinity for the DA receptor is significantly increased. However, in the tricyclic hexahydrothianaphthoxazine structure, the affinity for the DA receptors is diminished.

ACCESSION NUMBER: 2002:222015 CAPLUS

DOCUMENT NUMBER: 137:134484
Further Characterization of Structural Requirements

TITLE:

Further Characterization of Structural Requirements for Ligands at the Dopamine D2 and D3 Receptor: Exploring the Thiophene Moiety Dijkstra, Durk: Rodenhuis, Nienke, Vermeulen, Erik S.; Fugsley, Thomas A.; Mise, Lawrence D.; Wikstroem, Hkan AUTHOR (S):

V. Department of Medicinal Chemistry, University of Groningen, Groningen, NL-9713, Neth. Journal of Medicinal Chemistry (2002), 45(14), 3022-3031 CORPORATE SOURCE:

SOURCE:

CODEN: JMCMAR; ISSN: 0022-2623 American Chemical Society

PUBLISHER: Journal English CASREACT 137:134484

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S):

444559-24-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation and dopaminergic activity of thienylethylamines and tricyclic hexahydrothianaphthoxazines)
444559-24-4 CAPLUS
2H-Naphth[1,2-b]-1,4-oxazin-9-o1, 3,4,4a,5,6,10b-hexahydro-2-phenyl-4-propyl-, hydrochloride, (2R,4aR,10bR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

HC1

444559-42-6P 444559-43-7P

444559-42-69 444559-43-7P
RE: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and dopaminergic activity of thienylethylamines and tricyclic hexahydrothianaphthoxazines)
44459-42-6 CAPLUS
444559-42-6 CAPLUS
44H-Naphth[1,2-b]-1,4-oxazin-3{4H}-one, 4a,5,6,10b-tetrahydro-9-methoxy-2-phenyl-4-propyl-, (2R,4aR,10bR)-rel- (9CI) (CA INDEX NAME)

L7 ANSWER 6 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

444559-43-7 CAPLUS
2H-Naphth[1,2-b]-1,4-oxazine, 3,4,4a,5,6,10b-hexahydro-9-methoxy-2-phenyl-4-propyl-, hydrochloride, {2R,4aR,10bR}-rel- (9CI) (CA INDEX NAME)

485816-14-6P
RL: SPN [Synthetic preparation]: PREP (Preparation)
(preparation and dopaminergic activity of thienylethylamines and tricyclic hexahydrothianaphthoxazines)
485816-14-6 CAPLUS
2H-Naphth[1,2-b]-1,4-oxazin-3(4H)-one, 4a,5,6,10b-tetrahydro-9-methoxy-2-phenyl-4-propyl-, [2R,4as,10bs)-rel- [9CI] (CA INDEX NAME)

Relative stereochemistry.

ANSWER 7 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 05 Dec 2001

AB Wittig reaction of Ph3P:CHCN with the lactone carbonyl of (5R,65)-4-(benzyloxycarbonyl)-5,6-diphenyl-2,3,5,6-tetrahydro-4H-1,4-oxazin-2-one gave cyanomethylated adduct I, whose subsequent reduction afforded morpholinoethylamine II as a dihydrochloride salt in quant. yield with excellent diastereoselectivity. After having its primary amino group protected with thoz, II was coupled with morpholinobutyl iodide III. The resulting adduct was hydrogenated to remove the Cbz groups and the chiral auxiliaries to afford hypusine (IV) as a dihydrochloride salt in an overall 531 yield.

ACCESSION NUMBER: 2001:874823 CAPLUS
DOCUMENT NUMBER: 136:151418 Asymmetric Synthesis of (+)-Hypusine

TITLE: AUTHOR(S):

2001:87423 CAPLUS
136:151418
Asymmetric Synthesis of (+)-Hypusine
Jain, Rajendra P.: Albrecht, Brian K.: DeMong, Duane
E.: Williams, Robert M.
Department of Chemistry, Colorado State University,
Fort Collins, CO, 80523, USA
Organic Letters (2001), 3(26),
CODEN: ORLEF7: ISSN: 1523-7060
American Chemical Society
Journal
English
CASREACT 136:151418

CORPORATE SOURCE:

SOURCE:

PUBLISHER:

111

DOCUMENT TYPE: LANGUAGE:

LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:151418

IT 394251-34-4P
R1: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. preparation of hypusine dihydrochloride)
RN 384251-34-4 (CAPLUS
CN 4-Morpholinecarboxylic acid, 3-(4-[(2S,3R,6R)-2,3-diphenyl-6-[2-[((phenylmethoxyl)carbonyl)anino|ethyl]-4-morpholinyl]butyl]-2-oxo-5,6-diphenyl-, phenylmethyl ester, (3S,5S,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

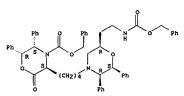
L7 ANSWER 6 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

REFERENCE COUNT:

THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN



25

REFERENCE COUNT:

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 8 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 07 Sep 2001

AB Title compds. [e.g., I: RR = O or each R = H: Rl = (un) substituted Ph: R2
= H, Me, CHZPh: R3 = (un) substituted phenyl(methyl), CH(COZH)CHZPh, allyl,
ctc.: R6 = H, Me, COZH, CHZOH: Z = O or NH] were prepared Thus,
PHOCOCHNHCHZPh was N-acylated by 1,4-dioxane-Z,3-dicarboxylic acid
monomethyl ester and the product cyclized to give I (RR = O, Rl = R3 =
CHZPh, R2 = H, R6 = COZMe, Z = O). The method is suitable for solid phase
synthesis and the preparation of combinatorial libraries.

ACCESSION NUMBER:
201:654659 CAPLUS
DOCUMENT NUMBER:
135:211044
Preparation of 3-aza-6,8-dioxabicyclo[3.2.1]octanecarb
oxylates and analogs
Giovanni; Machetti, Fabrizio: Scarpi, Dina
Universita Degli Studi di Firenze, Italy
EUC. PACL Appl., 26 pp.
COEN: EPXXDW
DOCUMENT TYPE:
LANGUAGE:
PAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE EP 1130022 A1 20010905 EP 2000-104135 20000229
R: AT, BE, CH, DE, DX, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
CA 2401693 AA 20010907 CA 2001-EP2185 20010227
W: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LR, LS, LT, LU, LV, MA, MD, MG, MK, NN, MW, MX, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SIT SK, SL, TJ, TM, TT, TZ, LUA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, BF, BJ, CF, CG, US 2003176414 A1 20030918 US 2002-220556 20021101
RITT APPLN. INFO: WO 2001-EP2185 W 20010227
R SOURCE(S):

OTHER SOURCE(S): CASREACT 135:211044; MARPAT 135:211044 IT 357667-16-4P 357667-64-2P 357667-70-0P

ANSWER 8 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

357667-72-2 CAPLUS 6,8-Dioxa-3-azabicyclo[3.2.1]octane-3-acetic acid, α -(3-aminopropyl)-7-carboxy-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)

357667-73-3 CAPLUS
Butanedioic acid, (7-carboxy-2-oxo-5-phenyl-6,8-dioxa-3-azabicyclo(3.2.1|oct-3-yl)- (9CI) (CA INDEX NAME)

357667-78-8 CAPLUS 6,8-Dioxa-3-azabicyclo[3.2.1]octane-3-acetic acid, 7-carboxy-5-(4-hydroxyphenyl)-a-(1-methylethyl)-2-oxo- (9CI) (CA INDEX NAME)

357667-81-3 CAPLUS 6,8-Dioxa-3-azabicyclo(3.2.1]octane-3-acetic acid, 7-carboxy-a-(1-hydroxyethyl)-5-(4-hydroxyphenyl)-2-oxo- (9CI) (CA INDEX NAME)

ANSWER 8 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
357667-71-1P 357667-72-2P 357667-73-3P
357667-8-8P 357667-84-8P 357667-82-4P
357667-83-5P 357667-84-6P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 3-aza-6,8-dioxabicyclo[3.2.1]octanecarboxylates and analogs)
357667-16-4 CAPLUS
6,8-Dioxa-3-azabicyclo[3.2.1]octane-7-carboxylic acid,
2-oxo-5-phenyl-3-propyl- (9CI) (CA INDEX NAME)

357667-64-2 CAPLUS 6,8-Dioxa-3-azabicyclo{3.2.1}octane-7-carboxylic acid, 5-(4-hydroxyphenyl)-2-oxo-3-propyl- (9CI) (CA INDEX N

357667-70-0 CAPLUS 6,8-Dioxa-3-azabicyclo(3.2.1)octane-3-acetic acid, 7-carboxy- α -(1-hydroxyethyl)-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)

357667-71-1 CAPLUS 6,8-Dloxa-3-acetic acid, 7-carboxy- α -[2-(methylthio)ethyl]-2-oxo-5-phenyl- (9C1) (CA INDEX NAME)

ANSWER B OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

CH- CH2- CH2- SMe . со₂н

357667-83-5 CAPLUS 6,8-Dioxa-3-azabicyclo[3.2.1]octane-3-acetic acid, α -(3-aminopropyl)-7-carboxy-5-(4-hydroxyphenyl)-2-oxo- (9CI) (CA INDEX NAME)

(CH2)3-NH2 CO2H

357667-84-6 CAPLUS
Butanediotc acid, [7-carboxy-5-(4-hydroxyphenyl)-2-oxo-6,8-dioxa-3-azabicyclo[3.2.1]oct-3-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 8 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

ANSWER 9 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 27 Mar 2001

AB The authors have developed a route for an enantioselective construction of the simplified omuralide analog I in nine steps, with the use of (R)-atrolactic acid (II) as a recoverable chiral controller.

ACCESSION NUMBER: 2001:214617 CAPLUS
DOCUMENT NUMBER: 135:19883
TITLE: AN OWNED Enantioselective Synthetic Route to Omuralide Analogues with the Potential for Species Selectivity in Proteasome Inhibition
Crane, Sheldon N.; Corey, E. J.
CORPORATE SOURCE: Department of Chemical Biology, Harvard University, Cambridge, MA, 02138, USA
OURCE: Organic Letters (2001), 3(9), 1395-1397
CODEN: ORLEFT; ISSN: 1532-7060
PUBLISHER: American Chemical Society
Journal
LANGUAGE: CASREACT 135:19883
THE 342787-11-9P

CODEN: ORLER; ABOUT AMERICAN CODEN: ORLER; AMERICAN CHEMICAL Society

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:19883

IT 342797-11-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(novel enantioselective synthetic route to omuralide analogs with the potential for species selectivity in proteasome inhibition)

RN 342797-11-9 CAPLUS

CN 4-Morpholinepropanoic acid, a, a, 2-trimethyl-f, 3, 6-trioxo-2-phenyl-, ethyl ester, (2R)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 87 CAPLUS COPYRIGHT 2005 ACS ON STN
ED Entered STN: 12 Feb 2001
AB The solid-phase synthesis of 1,4-benzothiazin-3(4H)-ones and
1,4-benzoxain-3(4H)-ones is reported. Alkylation of immobilized
4-hydroxy-3-nitrobenzamide and 3-nitro-4-sulfanylbenzamide, followed by
reduction and cyclization gave resin-bound 1,4-benzoxain-3(4H)-ones and
1,4-benzothiazin-3(4H)-ones, resp. Further alkylation and acylation was
performed on the amide N in the presence of NaH followed by TFA cleavage.
ACCESSION NUMBER: 2001:102282 CAPLUS
DOCUMENT NUMBER: 124:326472
TITLE: Solid-phase combinatorial synthesis of
1,4-benzoxain-3(4H)-one and 1,4-benzothiazin-3(4H)one derivatives.
AUTHOR(S): Lee, C. L.; Chan, K. P.; Lam, Y.; Lee, S. Y.
Department of Chemistry, National University of
Singapore, 117543, Singapore
Tetrahedron Letters (2001), 42(6), 1167-1169
CODEN: TELEAY; ISSN: 0040-4039
Elsevier Science Ltd.
DOCUMENT TYPE:
JOURNAL OF THE SOURCE: English

DOCUMENT TYPE: LANGUAGE:

English CASREACT 134:326472 OTHER SOURCE(S): 336163-89-4P

336163-69-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase combinatorial synthesis of benzoxazinones and
benzothiazinones)
336163-89-4 CAPLUS
2H-1,4-Benzoxazine-6-carboxamide, 4-butyl-3,4-dihydro-3-oxo-2-phenyl(9CI) (CA INDEX NAME)

REFERENCE COUNT:

18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
Entered STN: 27 Sep 2000
Inappropriate thrombus formation within blood vessels is the leading cause of mortality in the industrialized world. Factor Xa [FXa) is a trypsin-like serine protease that plays a key role in the blood coagulation cascade and represents an attractive target for anticoagulant drug development. From a high-throughput in vitro mass screen of our chemical library, we identified 4-[5-[(2R,6S)-2.6-dimethyltetrahydro-1(2H)-pyridinyl]pentyl]-2-phenyl-2H-1,4-benzoxazin-3(4H)-one as an inhibitor of FXa with an ICSO of 27 LM. Through a combination of SAR studies and mol. modeling, we synthesized 3-(4-[5-[(2R,6S)-2.6-dimethyltetrahydro-1(ZH)-pyridinyl)pentyl]-3-oxo-3,4-dihydro-2H-1,4-benzoxazin-2-yl)-1-benzenecarboximidamide which was a potent FXa inhibitor with an ICSO of 3 LM. This compound exhibited high selectivity for FXa over other related aerine proteases and was efficacious when dosed i.v. in rabbit and dog antithrombotic models.

SESION NUMBER: 2000:675083 CAPLUS
MENT NUMBER: 134:36674
E: Rational Design, Synthesis, and Biological Activity of Benzoxazinones as Novel Factor Xa Inhibitors Dudley, Dancete A.: Bunker, Amy M.: Chi, Liquo: Cody, Wayne L.: Holland, Debra R.: Ignasiak, Diane P.: Janiczek-Dolphin, Nancy McClanahan, Thomas B.: Metz, Thomas E.: Narasimhen, Lakshmi S.: Rapundalo, Stephen T.: Trautschold, Julia A.: van Huis, Ched A.: Edmunds, Jermy J.

PORATE SOURCE: Pitch Cody Prizer, Global Research and Development, Ann Arbor, MI, ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: AUTHOR (S): Jermy J.
Jermy J.
Pfizer Global Research and Development, Ann Arbor, MI,
48105, USA
Journal of Medicinal Chemistry (2000), 43(22),
4063-4070 CORPORATE SOURCE: SOURCE: CODEN: JMCMAR: ISSN: 0022-2623 American Chemical Society PUBLISHER: DOCUMENT TYPE: LANGUAGE: Journal English CASREACT 134:36674 OTHER SOURCE(S): 313220-95-0P S13220-95-07
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 (rational design, synthesis, and biol. activity of benzoxazinones as novel factor % inhibitors)
313220-95-0 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl]]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-N-hydroxy-, trihydrochloride, rel- (9CI) (CA INDEX NAME) Relative stereochemistry. ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN 313220-93-89 313220-94-99 313220-97-29 313220-00-09 313221-01-19 313221-03-39 313221-04-49 313221-05-59 313221-06-69 313221-07-79 (Continued) 313221-09-0P
RL: BBC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (rational design, synthesis, and biol. activity of benzoxazinones as novel factor Xa inhibitors)
313220-79-0 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 2-(3,4-dichlorophenyl)-4-[5-{(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl]-, rel-, bis(trifluoroacetate) (9CI) (CA INDEX NAME) CM 1 CRN 313220-78-9 CMF C26 H32 C12 N2 O2 Relative stereochemistry. 2 CRN 76-05-1 CMF C2 H F3 02 313220-81-4 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2-(4-chlorophenyl)-4-[5-[(2R,6S)-2,6-dimethyl-piperidinyl]pentyl]-, rel-, bis(trifluoroacetate) (9CI) (CA IMDEX NAME) CRN . 313220-80-3 CMF C26 H33 C1 N2 O2

ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (CH2)5 ●3 HC1 244616-91-97
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (USess) (rational design, synthesis, and biol. activity of benzoxazinones as novel factor Xs inhibitors)
244616-91-9 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, dihydrochloride, rel- (9CI) (CA INDEX NAME) 244616-91-9P Relative stereochemistry. ●2 HC1 313220-79-0P 313220-81-4P 313220-83-6F 313220-84-7P 313220-85-8P 313220-86-9F 313220-88-1P 313220-90-5P 313220-91-6F L? ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Relative stereochemistry. (CH2) 5 CM 2 F- C- CO2H 313220-83-6 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 2-(2-chlorophenyl)-4-[5-[(2R,6S)-2,6-dimethyl-l-piperidinyl]pentyl]-, rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME) CM 1 Relative stereochemistry. (CH2) 5

Ngrazier 10727168 L7 ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN CM 2 (Continued) 313220-84-7 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 4-[5-((2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl}-2-(4-methylphenyl)-, dihydrochloride, rel- (9CI) (CA INDEX NAME) Relative stereochemistry. ●2 HCl 313220-85-8 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 4-[5-{(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-2-(4-methoxyphenyl)-, rel-, trifluoroacetate (2:3)
(9CI) (CA INDEX NAME) CM 1 CRN 244616-88-4 CMF C27 H36 N2 O3 Relative stereochemistry. ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN mono(trifluoroacetate) (9CI) (CA INDEX NAME) (Continued) CM 1 CRN 313220-87-0 CMF C29 H40 N2 O5 Relative stereochemistry.

CM 2 CRN 76-05-1 CMF C2 H F3 O2

Relative stereochemistry.

со2н

313220-90-5 CAPLUS Benzenecarbothioamide, 4-[4-[5-[{2R,6S}-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2R-1,4-benzoxazin-2-yl]-, rel-, bis(trifluoroacetate) (9CI) (CA INDEX NAME) CM 1 CRN 313220-89-2 CMF C27 H35 N3 O2 S

ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

CM 2 CRN 76-05-1 CMF C2 H F3 O2

F- C- CO2H

313220-86-9 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2-(3,4-dimethoxyphenyl)-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

313220-88-1 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl}-2-(3,4,5-trimethoxyphenyl)-, rel-,

ANSWER 11 OF. 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

313220-91-6 CAPLUS
Benzenecarboximidamide, 4-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-N-hydroxy-,dihydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

●2 HC1

L7 ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 313220-93-8 CAPLUS
CN Benzenecarboximidamide, 4-[4-[5-[(2R,65)-2,6-dimethyl-1piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel-,
tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CN 1

CRN 313220-92-7
CMF C27 H36 N4 02

Relative stereochemistry.

CM 2 CRN 76-05-1 CMF C2 H F3 O2

F-C-CO2H

RN 313220-94-9 CAPLUS
CN Benzenecarbothiosmide, 3-[4-[5-{(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl}-, rel-, bis(trifluoroacetate)
(9CI) (CA INDEX NAME)

CM 1

CRN 244616-94-2 CMF C27 H35 N3 O2 S

Relative stereochemistry.

L7 ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 2

CRN 76-05-1 CMF C2 H F3 O2

F | F- C- CO₂H

RN 313220-98-3 CAPLUS

Senzenecarboximidamide, 3-[4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-N-methyl-,dihydrochloride, rel- (9Cl) (CA INDEX NAME)

Relative stereochemistry.

●2 HCl

RN 313220-99-4 CAPIUS Morpholine, 4-[3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dhydro-3-oxo-24-1,4-benzoxazin-2-yl]phenyl]iminomethyl]-, trihydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

CM 2

CRN 76-05-1 CMF C2 H F3 O

RN 313220-97-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2-[3-(aminomethyl)phenyl]-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-, rel-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

INDEX NAME

CRN 244618-42-6 CMF C27 H37 N3 O2

Relative stereochemistry.

L7 ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●3 HC1

RN 313221-00-0 CAPLUS
Benzenecarboximidamide, 3-[4-[6-[[2R,6S]-2,6-dimethyl-1-piperidinyl]]hexyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, dihydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

●2 HC1

RN 313221-01-1 CAPLUS
CN Benzenecarboximidamide, 3-[4-[4-[(2R,6S)-2,6-dimethyl-1-piperidinyl]butyl]3,4-dihydro-3-xon-2H-1,4-benzoxazin-2-yl]-, dihydrochloride, rel- (9CI)
(CA INDEX NAME)

L7 ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●2 HCl

313221-03-3 CAPLUS SI3221-03-3 CAPLUS

Benzenecarboximidamide, 3-{4-{5-{(2R,5S)-2,5-dimethyl-1-pyrrolidinyl]pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl}-, rel-,tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 313221-02-2 CMF C26 H34 N4 O2

Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 313221-06-6 CAPLUS Benzenecarboximidamide, 3-[4-(5-aminopentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

(CH2) 5 - NH2

●2 HC1

313221-07-7 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[bis(1-methylethyl)amino]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

(CH2)5-N(Pr-1)2

●2 HC1

313221-08-8 CAPLUS
Benzenecarbox(inidamide, 3-[4-[5-(dihexylamino)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

(CH2) 5 - Me (CH2)5-N- (CH2)5-Me

●2 HC1

ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

CO2H

313221-04-4 CAPLUS Benzenecarboximidamide, 3-[3,4-dihydro-3-oxo-4-[5-(1-piperidinyl)pentyl]-2H-1,4-benzoxarin-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

313221-05-5 CAPLUS Benzenecarboximidamide, 3-[3,4-dihydro-4-[5-(4-morpholinyl)pentyl]-3-oxo-2H-1,4-benzoxazin-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

L7 ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

313220-77-BF RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
{rational design, synthesis, and biol. activity of benzoxazinones as
novel factor Xa inhibitors)
313220-77-8 CAPLUS
2H-1, 4-Benzoxazin-3|4H)-one, 4-[5-{(2R,6S)-2,6-dimethyl-1piperidinyl]pentyl]-2-phenyl-, rel- {9CI} (CA INDEX NAME)

Relative stereochemistry.

244621-32-7P 244621-33-8P 244621-34-9P 244621-32-79 244621-33-8P 244621-34-9P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (rational design, synthesis, and biol. activity of benzoxazinones as novel factor Xa inhibitors) 244621-32-7 CAPLUS Benzonitrile, 3-[4-(5-bromopentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

(CH2)5-Br

244621-33-8 CAPLUS
Benzonitrile, 3-(4-(5-(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl)-, rel- (9Cl) (CA INDEX NAME)

ANSWER 11 OF 87 CAPLUS' COPYRIGHT 2005 ACS on STN

244621-34-9 CAPLUS Benzenecarboximidamide, 3-{4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-N-[(trifluoroacetyl)oxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

42

REFERENCE COUNT:

THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 12 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses) (prepn. of 2-phenylmorpholine derivs. as phosphodiesterase inhibitors) 251315-75-0 CAPLUS Morpholine, 2-[3-(cyclohexyloxy)-4-methoxyphenyl]-4-(2,2-dimethyl-1-oxopropyl)-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 12 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 25 Nov 1999

AB The title compds. [I; R1 = (un)substituted C1-8 alkyl or C3-7 cycloalkyl; R2 = C1-4 alkyl; R3 = H, (un)substituted C1-6 alkyl, (un)substituted aryl optionally containing 2 l heteroatoms selected from O, N, and S; R4 = (un)substituted aryl optionally containing ≥1 heteroatoms selected from O, N, and S; C62R5, CONNR5, C(S)OR5, C(S)NRS, C(S)N

DOCUMENT TYPE: Patent Japanese FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE DATE KIND JP 11322730
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
IT 251315-75-0P A2 19991124 MARPAT 132:12315

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 08 Oct 1999

AB Title compds. [I; R1 = cycloalkyl(alkyl), heterocyclyl(alkyl), aryl(alkyl), etc.: R2 = H or alkyl; R3R4 = (un)substituted CH:CHCH:CH, -N:CHCH:CH, -CH:NCH:CH, etc.; X = O, S, NH; Z = ZZZ3R5; R5 = H, (un)substituted (heteroatom-interrupted) alkyl or -cycloalkyl(alkyl); Z1 = O, SOO-2, OCH2, SCR2, etc.: Z2 = bond or (heteroatom-interrupted) (cyclo)alkylene; Z3 = bond, (un)substituted heterocyclylene, -arylene] were prepared Thus, 4-(MeO)CGH4CH2CO2Me was α-brominated and the product etherified by Z-(O2M)CGH4OH2CO2Me was α-brominated and the product etherified by Z-(O2M)CGH4OH2 to give, after reductive cyclitation, I (R1 = CGH4(OME)-4, R2 = H, R3R4 = CH:CHCH:CH, X = Z1 = O)(II; Z = NH) which was N-alkylated by Br(CH2)Br and the product aminated by cia-2,6-dimethyl-1-piperidine to give II (Z = N(CH2)5R5, R5 = cia-2,6-dimethyl-1-piperidinyl]. Data for biol. activity of I were given. ACCESSION NUMBER: 1999:640847 CAPLUS
DOCUMENT NUMBER: 1999:640847 CAPLUS
TITLE: Preparation of benzoxazinones and -thiazinones as

131:257572
Preparation of benzoxazinones and -thiazinones as serine protease inhibitors
Berryman, Kent Alan: Downing, Dennis Michael: Dudley, Danette Andrea: Edmunds, Jeremy John: Narasimhan, Lakshmi Sourirajan: Rapundalo, Stephen Taras Warner-Lambert Company, USA
PCT Int. Appl., 175 pp.
CODEN: PIXXD2
Patent TITLE: INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: English LANGUAGE :

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.								APPLICATION NO.										
wo	9950	257			A1	A1		19991007		WO 1998-US26708					19981215			
	W:	AL,	AU,	BA,	BB,	BG,	BR,	CA,	CN,	CU,	CZ,	EE,	GE,	HR,	HU,	ID,	IL,	
		IS.	JP.	KP.	KR.	LC.	LK,	LR.	LT.	LV.	MG.	MK,	MN,	MX.	NO.	NZ,	PL,	
							TR,											
					TJ.													
	RW:	GH.	GM.	KE.	LS.	MW.	SD,	SZ.	UG.	ZW.	AT.	BE.	CH.	CY.	DE.	DK,	ES,	
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L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS ON STN
PRIORITY APPLN. INFO.: US 1998-80142P
W 1998-2026708
US 2000-622265

OTHER SOURCE(S):

MARPAT 131:257572

IS 2000-622265 A3 20000814

RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): RCT (Reactant): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): RACT (Reactant or reagent): USES (Uses)

(preparation of benzoxazinones and -thiazinones as serine protease inhibitors)

RN 244618-40-4 CAPLUS

RN 244618-40-4 (CAPLUS

Benzenecarboximudemide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-N-hydroxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244620-32-4 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-(5-bromopentyl)-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

30914-85-3P 30914-96-6P 30914-97-7P 30914-98-8P 30914-99-9P 30915-00-5P 244616-89-8P 244616-89-8P 244616-93-8P 244616-93-8P 244616-93-8P 244616-93-8P 244616-93-3P 244616-93-3P 244618-34-5P 244618-33-7P 244618-43-8P 244618-45-8P 244618-43-7P 244618-45-3P 244618-65-3P 244618-65-3P 244618-65-3P 244618-95-3P 244618-65-3P 244618-95-3P 244618-97 244618-95-3P 244618-97 244618-96-8P 244618-96-8P 244618-96-8P 244618-96-8P 244618-96-8P 244618-96-8P 244618-96-8P 244618-96-8P 244618-96-8P

L7

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) inhibitors) 304-45-3 CAPLUS 304-45-3 CAPLUS 304-1, 4-Benzoxazin-3(4H)-one, 4-[3-{bis(1-methylethyl)amino}propyl]-2-phenyl- (9CI) (CA INDEX NAME)

30914-96-6 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[3-(2,5-dimethyl-1-pyrrolidinyl)propyl]-2-phenyl- (9CI) (CA INDEX NAME)

30914-97-7 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 4-[5-[bis(1-methylethyl)amino]pentyl]-2-phenyl- (9CI) (CA INDEX NAME)

30914-98-8 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[5-(2,5-dimethyl-1-pyrrolidinyl)pentyl]-2-phenyl- (9C1) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
244619-10-1P 244619-11-2P 244619-12-3P
244619-11-4P 244619-14-5P 244619-18-6P
244619-19-0P 244619-20-3P 244619-18-9P
244619-19-0P 244619-20-3P 244619-24-7P
244619-25-8P 244619-23-6P 244619-24-7P
244619-25-8P 244619-23-6P 244619-30-5P
244619-31-6P 244619-35-0P 244619-30-5P
244619-31-6P 244619-35-0P 244619-36-1P
244619-31-6P 244619-35-0P 244619-36-1P
244619-31-6P 244619-31-6P 244619-36-1P
244619-31-0P 244619-31-8P 244619-36-1P
244619-31-0P 244619-31-3P 244619-36-1P
244619-31-0P 244619-31-3P 244619-34-3P
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244619-31-3P 244619-31-3P 244619-31-3P
244620-31-3P 244620-31-3P 244620-31-3P
244620-31-3P 244620-31-3P 244

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

2H-1,4-Benzoxazin-3(4H)-one, 4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-2-phenyl- (9CI) (CA INDEX NAME)

30915-00-5 CAPLUS

28-1,4-Benzoxazin-3(4H)-one, 4-[3-(2,6-dimethyl-1-piperidinyl)propyl)-2-phenyl- (9CI) (CA INDEX NAME)

Z44016-80-7 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[5-[(2R,68)-2,6-dimethyl-1-piperidinyl]pentyl]-2-(4-methoxyphenyl)-, rel- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244616-89-5 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244616-90-8 CAPLUS
Benzenecarboximidamide, 3-[4-[5-([2R,6S]-2,6-dimethyl-1-piperidinyl]]-3,4-dihydro-3-oxo-2R-1,4-benzoxazin-2-yl]-, rel-,bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244616-89-5 CMF C27 H36 N4 O2

Relative stereochemistry.

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 244616-94-2 CAPLUS Benzenecarbothioamide, 3-[4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244616-95-3 CAPLUS
Benzenecarboximidanide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]entyl]-3,4-dihydro-3-oxo-2R-1,4-benzoxazin-2-yl]-4-hydroxy-,monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

• HCl

244616-96-4 CAPLUS Benzenecarboximidamide, 3-[{25}-4-[5-[(2R,65)-2,6-dimethyl-1-piperiddinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4-hydroxy-(SCI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244616-91-9 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-,dihydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

●2 HC1

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244618-38-0 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4-methoxy-, rel- [9CI) (CA INDEX NAME)

Relative stereochemistry.

244618-39-1 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 4-[5-[(2R,6S)-2,6-dimethyl-1piperidinyl]pentyl]-2-(3-hydroxyphenyl)-, rel- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 244618-41-5 CAPLUS
Benzenecarboximidic acid, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, hydrazide, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

246618-42-6 CAPUS 2H-1,4-Benzoxazin-3(4H)-one, 2-[3-(aminomethyl)phenyl]-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-, zel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244618-43-7 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2-(3-aminophenyl)-4-(5-[(2R,6S)-2,6-dimethyl-p-iperidinyl)pentyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244618-46-0 CAPLUS
Benzenecarboximidamide, 3-{4-{5-{(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl}-4-hydroxy-,rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244618-60-8 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-2-(3-pyridinyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244618-44-8 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-2-[3-(methylamino)phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244618-45-9 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2-[3-(dimethylamino)phenyl]-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 244618-61-9 CAPLUS 24-1,4-Benzoxazin-3(4H)-one, 2-(4-amino-3-pyridinyl)-4-[5-{(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244618-62-0 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2-[4-(dimethylamino)-3-pyridinyl]-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244618-65-3 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2-(2-amino-4-pyridinyl)-4-[5-[(2R,68)-2,6-dimethyl-1-piperidinyl)pentyl]-, rel- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244618-66-4 CAPLUS
2-Pyridinecarboximidamide, 4-{4-{5-{(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl}-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244618-95-9 CAPLUS
Benzenecarboximidamide, 3-[4-[4-(2R,6s)-2,6-dimethyl-1-piperidinyl]butyl]3,4-dihydro-3-oxo-Zh-1,4-benzoxarin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244619-06-5 CAPLUS
Benzenecarboximidamide, 3-[3,4-dihydro-3-oxo-4-[5-(1-piperidiny1)penty1]-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

244619-07-6 CAPLUS
Benzenecarboximidamide, 3-[3,4-dihydro-3-oxo-4-[5-(2,2,6,6-tetramethyl-1-piperidinyl)pentyl]-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

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L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244618-96-0 CAPLUS Benzenecarboximidamide, 3-(4-[6-[(2R,6S)-2,6-dimethyl-1-piperidinyl]hexyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244618-98-2 CAPLUS
4H-1,4-Benzoxarine-4-propanamide, 2-[3-[aminoiminomethyl]phenyl]-N[[(2R,6S)-2,6-dimethyl-1-piperidinyl]methyl]-2,3-dihydro-3-oxo-, rel[9CI] (CA INDEX NAME)

Relative stereochemistry.

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 244619-08-7 CAPLUS 2-Piperidinecarboxylic acid, 1-[5-[2-[3-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl]pentyl]- (9CI) (CA INDEX NAME)

244619-09-8 CAPLUS
3-Piperidinecarboxylic acid, 1-[5-[2-[3-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl]pentyl]- (9Cl) (CA INDEX NAME)

244619-10-1 CAPLUS
4-Piperidinecarboxylic acid, 1-[5-[2-[3-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4N-1,4-benzoxazin-4-yl]penyl]- (SCI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-11-2 CAPLUS
CN Benzenecarboximidamide, 3-{4-{5-{3,5-dimethyl-1-piperidinyl}pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl}- (9CI) (CA INDEX NAME)

RN 244619-12-3 CAPLUS
CN Benzenecarboximidamide, 3-[3,4-dihydro-4-[5-(4-hydroxy-1-piperidinyl)pentyl]-3-oxo-2H-1,4-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244619-15-6 CAPLUS

8enzenecarboximidamide, 3-[4-[5-[2-[(dimethylamino)methyl]-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

RN 244619-16-7 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-[4-(dimethylamino)-1-piperidinyl]pentyl]3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl)- [9CI] (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-13-4 CAPLUS
CN Benzenecarboximidamide, 3-{3,4-dihydro-4-{5-(2-imino-1-piperidiny1)penty1}3-oxo-2H-1,4-benzoxazin-2-y1}- (9C1) (CA INDEX NAME)

RN 244619-14-5 CAPLUS
CN Benzenecarboximidamide, 3-{3,4-dihydro-3-oxo-4-{5-{4-oxo-1-piperidinyl}pentyl}-2H-1,4-benzoxazin-2-yl}- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244619-17-8 CAPLUS
CN 4-Piperidinesulfonic acid, 1-[5-[2-[3-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl]pentyl]- (9CI) (CA INDEX NAME)

RN 244619-18-9 CAPLUS
CN Benzencarboximidemide, 3-[3,4-dihydro-3-oxo-4-[5-(2-phenyl-1-piperidinyl)pentyl-2H-1,4-benzoxazin-2-yl]- (9Cl) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-19-0 CAPLUS

Senzenecarboximidamide, 3-[4-[5-[2,5-dimethyl-1-pyrrolidinyl)pentyl]-3,4-dihydro-3-oxo-24-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

RN 244619-20-3 CAPLUS
CN Benzenecarboximidamide, 3-[3,4-dihydro-3-oxo-4-[5-(1-pyrrolidinyl)pentyl]2H-1,4-benzoxazin-2-yll- (9CI) (CA INDEX NAME)

RN 244619-21-4 CAPLUS
CN Proline, 1-[5-[2-[3-(aminoiminomethyl) phenyl]-2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl]penyl]- (SCI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continue

RN 244619-24-7 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-[2,5-bis(methoxymethyl)-1pyrrolidinyl)pentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl)- (9CI) (CA
INDEX NAME)

RN 244619-25-8 CAPLUS
CN Benzenecarboximidamide, 3-{3,4-dihydro-4-{5-{2-{hydroxymethyl}-1-pyrrolidinyl}pentyl}-3-oxo-2H-1,4-benzoxazin-2-yl}- (9CI) (CA INDEX NAME)

RN 244619-26-9 CAPLUS
CN Benzenecarboximidamide, 3-[3,4-dihydro-4-[5-[2-(hydroxymethyl)-5-methyl-1-pyrrolidinyl)pentyl)-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

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L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-22-5 CAPLUS
CN Acetamide, N-[1-{5-[2-[3-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4H1,4-benzoxazin-4-yl]pentyl]-3-pyrrolidinyl]-N-methyl- (9C1) (CA INDEX NAMF)

RN 244619-23-6 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-(3-amino-1-pyrrolidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Cor

RN 244619-27-0 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-[bis(1-methylethyl)amino]pentyl]-3,4dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

RN 244619-28-1 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-(diethylamino)pentyl]-3,4-dihydro-3-oxo-2H1,4-benzoxazin-2-yl]- (9C1) (CA INDEX NAME)

RN 244619-29-2 CAPLUS
CN Benzenecarboximidamide, 3-[3,4-dihydro-4-(5-(methylamino)pentyl]-3-oxo-2H1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

C-NH2

RN 244619-30-5 CAPLUS
CN Benzencezboximidamide, 3-{3,4-dihydro-4-{5-(1-methyl-1H-imidazol-2-yl)pentyl}-3-oxo-2H-1,4-benzoxazin-2-yl]- (9C1) (CA INDEX NAME)

Me N (CH2) 5

RN 244619-31-6 CAPLUS
CN Benzenecarboximidamide, 3-{4-[5-(2,5-dimethyl-1H-imidazol-1-yl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

Me N Me (CH2)5

RN 244619-32-7 CAPLUS
CN Benzenecarboximidamide, 3-[3,4-dihydro-4-[5-(4-morpholinyl)pentyl]-3-oxo2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

C-NH2

RN 244619-35-0 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-{2,6-dimethyl-1-piperazinyl}pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- {9Cl} (CA INDEX NAME)

H N Me (CH2) 5 C-NH2

RN 244619-36-1 CAPLUS
CN Benzenecarboximidamide, 3-[3,4-dihydro-3-oxo-4-[5-(1H-pyrazol-1-yl)pentyl]2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

C-NH.

RN 244619-37-2 CAPLUS

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L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

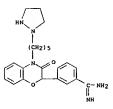
C-NH2

RN 244619-33-8 CAPLUS
CN Benzenecarboximdamide, 3-{4-[5-(3,5-dimethyl-4-morpholinyl)pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

Me | N | Me | (CH2) 5 | C- NH2 | NH

RN 244619-34-9 CAPLUS
CN Benzenecarboximidamide, 3-[3,4-dihydro-3-oxo-4-[5-(1-piperazinyl)pentyl]2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Benzenecarboximidamide, 3-[3,4-dihydro-3-oxo-4-[5-1-pyrazolidinyl)pentyl]2H-1,4-benzoxazin-2-yl]- (SCI) (CA INDEX NAME)



RN 244619-38-3 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-(2,5-dimethyl-1-pyrazolidinyl)pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-Z-yl]- (9CI) (CA INDEX NAME)

RN 244619-39-4 CAPLUS

Enzenecarboximidamide, 3-[6-chloro-4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244619-40-7 CAPLUS

Senzenecarboximidamide, 3-[4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl)]pentryl]-6-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-41-8 CAPLUS

Rnzenecarboximidamide, 3-[4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-6-mercapto-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9C1) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-44-1 CAPLUS

Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-6-methyl-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- [9CI] (CA INDEX NAME)

Relative stereochemistry.

RN 244619-45-2 CAPLUS
CN 2H-1, 4-Benzowszine-6-carboxylic acid, 2-[3-(aminoiminomethyl)phenyl]-4-[5-[(2R,68)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

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L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continue

RN 244619-42-9 CAPLUS

Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl)-3,4-dihydro-6-{methylthio}-3-oxo-2H-1,4-benzoxazin-2-yl}-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-43-0 CAPLUS

Senzenecarboximidamide, 3-{4-(5-{(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl}-3,4-dihydro-3-oxo-6-(trifluoromethyl)-2H-1,4-benzoxazin-2-yl|-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-46-3 CAPLUS
CN 2H-1,4-Benzoxazine-6-carboxylic acid, 2-[3-(aminoiminomethyl)phenyl]-4-[5[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-, methyl
ester, rei- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-47-4 CAPLUS

Benzenecarboximidamide, 3-[6-cyano-4-[5-[{2R,65}-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-48-5 CAPLUS
CN 2R-1,4-Benzoxezine-6-carboximidamide, 2-[3-(aminoiminomethyl)phenyl]-4-[5-(2R,65)-2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-, rel-(9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-49-6 CAPLUS
CN 2N-1,4-Benzoxazine-6-carboximidamide, 2-[3-(aminoiminomethyl)phenyl]-4-[5[(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-N-hydroxy-3-oxo-,
rel- (9C1) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-50-9 CAPLUS
CN 2H-1,4-Benzoxazine-6-carboximidic acid, 2-{3-{aminoiminomethyl}phenyl}-4[5-{(2R,6S)-2,6-dimethyl}-1-piperidinyl}pentyl}-3,4-dihydro-3-oxo-,
hydrazide, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-53-2 CAPLUS
CN Benzenecarboximidamide, 3-[6-{aminomethy1}-4-[5-[(2R,6S)-2,6-dimethy1-1-piperidiny1]penty1]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-y1]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-54-3 CAPLUS

Benzenecarboximidamide, 3-[4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-6-nitro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

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L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-51-0 CAPLUS
CN 2H-1,4-Benzoxazine-6-carboxamide, 2-[3-(aminoiminomethyl)phenyl]-4-[5[(2R,65)-2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-, rel- (9CI)
(CA INDEX NAME)

Relative stereochemistry.

RN 244619-52-1 CAPLUS

CN Benzenecarboximidamide, 3-[4-[5-[(2R,6s)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-6-thydroxymethyl)-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-55-4 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-6-hydroxy-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-56-5 CAPLUS

Benzenecarboximidamide, 3-{4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl)-3,4-dihydro-6-methoxy-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-57-6 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-[(2R,6s)-2,6-dimethyl-1piperidinyl)pentyl]-3,4-dihydro-3-oxo-6-(phenylmethoxy)-2H-1,4-benzoxazin2-yl)-, rel- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244619-58-7 CAPLUS
CN Acetic acid, {{2-{3-{aminoiminomethyl}phenyl}-4-{5-{(2R,6S)-2,6-dimethyl-1-piperidinyl}pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]oxy}-, rel-{9CI} (CA INDEX NAME)

Relative stereochemistry.

RN 244619-59-8 CAPLUS
Propanoic.acid, 3-[[2-[3-(aminoiminomethyl)phenyl]-4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]oxyl-, rel- (9C1) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-62-3 CAPLUS
CN 6-Alanine, N-[2-[3-[aminoiminomethyl]phenyl]-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-63-4 CAPLUS

Sutanoic acid, 4-[[2-[3-(aminoiminomethyl)phenyl]-4-[5-{(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]amino]-, rel- (9Cl) (CA INDEX NAME)

Relative stereochemistry.

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L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-60-1 CAPLUS

Sutanoic acid, 4-[[2-{3-(aminoiminomethyl)phenyl}-4-{5-((2R,6S)-2,6-dimethyl)-1-piperidinyl}pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]oxy|-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-61-2 CAPLUS
CN Glycine, N-[2-[3-(aminoiminomethyl)phenyl]-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]-, rel- [9CI) (CA INDEX NAME)

Relative stereochemistry

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-64-5 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-[{2R,6S}-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-6-(2-hydroxyethoxy)-3-oxo-2H-1,4-benzoxazin-2-yl}-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-65-6 CAPLUS
CN Benzencarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-6-(1H-tetrazol-5-ylmethoxy)-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-66-7 CAPLUS
CN Benzenecarboximidamide, 3-[6-amino-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl)-, rel- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244619-67-8 CAPLUS

Rn Benzenecarboximidamide, 3-[6-(butylamino)-4-[5-[(2R,68)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-68-9 CAPLUS
CN Benzenecarboximidamide, 3-[6-[dimethylamino]-4-[5-[(2R,6S]-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- [9CI] (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-71-4 CAPLUS
CN Acetamide, N-[2-[3-(aminoiminomethyl)phenyl]-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry

RN 244619-72-5 CAPLUS
CN Cyclohexanecarboxamide, N-[2-[3-(aminoiminomethyl)phenyl]-4-[5-[(2R,6S)-2,6-dimethyl]-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

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L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-69-0 CAPLUS

Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1piperidinyl)pentyl-3,4-dihydro-3-oxo-6-(phenylamino)-2H-1,4-benzoxazin-2yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-70-3 CAPLUS
CN Benzenecarboximidamide, 3-(4-{5-{(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-6-{(phenylmethyl)amino}-2H-1,4-benzoxazin-2-yl]-, rel- {9CI} (CA INDEX NAME)

Relative stereochemistry

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Cont

RN 244619-73-6 CAPLUS
CN Cyclohexaneacetamide, N-{2-{3-(aminoiminomethyl)phenyl}-4-{5-{(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl}-, rel- (9CI) (CA INDEX NAME)

· Relative stereochemistry.

RN 244619-74-7 CAPLUS
CN Benzamide, N-[2-{3-(aminoiminomethyl)phenyl}-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl)phenyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-75-8 CAPLUS
Benzeneacetamide, N-[2-[3-(aminoiminomethyl)phenyl]-4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]-, rel- [9CI] (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244619-76-9 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-6-[(methylsulfonyl)amino]-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244619-77-0 CAPLUS Benzenecarboximidamide, 3-[6-[(cyclohexylsulfonyl)amino]-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244619-80-5 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-6-[([phenylmethyl)sulfonyl]amino]-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244619-81-6 CAPLUS Benzenearboximidamide, 3-[(2R)-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4-methoxy-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

(CH2) 5

244619-78-1 CAPLUS

Benzenecarboximidamide, 3-[6-[[(cyclohexylmethyl)sulfonyl)amino]-4-[5-[(2R,6S)-2,6-dimethyl)-1-piperidinyl)pentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244619-79-2 CAPLUS .

Benzenecarboximidamide, 3-[4-[5-[(2R,68)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-6-[(phenylsulfonyl)amino]-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 244619-82-7 CAPLUS
Benzenecarboximidamide, 3-[(2S)-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4-methoxy-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

244619-83-8 CAPLUS
Benzenecarboximidamide, 3-[{2R}-4-[5-{(2R,6S}-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4-hydroxy-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

244619-84-9 CAPLUS
Benzenecarboximidamide, 3-[(2R)-4-{5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244619-85-0 CAPLUS
CN Benzenecarboximidamide, 3-[(2S)-4-[5-((2R,6S)-2,6-dimethyl-1-piperidinyl)penyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 244619-86-1 CAPLUS CN 2H-1,4-Benzoxazin-3{4H}-one, 4-{5-(diethylamino)pentyl}-2-phenyl- (9CI) (CA INDEX NAME)

RN 244619-87-2 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 2-phenyl-4-[5-(1-pyrrolidinyl)pentyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-91-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2-(2-chlorophenyl)-4-(5-(2,5-dimethyl-1-pyrrolidinyl)pentyl)- (9CI) (CA INDEX NAME)

RN 244619-92-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2-(2-chlorophenyl)-4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 244619-93-0 CAPLUS
CN 4H-1,4-Benzoxezine-4-pentanimidamide, 2,3-dihydro-3-oxo-2-phenyl- (9CI)
(CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-88-3 CAPLUS
CN | H-Isoindole-1,3(2H)-dione, 2-[5-(2,3-dihydro-3-oxo-2-phenyl-4H-1,4-benzoxazin-4-yl)pentyl]- (9CI) (CA INDEX NAME)

RN 244619-89-4 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[5-(1H-imidazol-1-yl)pentyl]-2-phenyl-(9Cl) (CA INDEX NAME)

RN 244619-90-7 CAPLUS CN 2H-1,4-Benzoxazin-3{4H}-one, 2-(4-chlorophenyl)-4-{5-(2,6-dimethyl-1-piperidinyl)pentyl}- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continue

RN 244619-94-1 CAPLUS
CN 2H-1,4-Benzoxezin-3(4H)-one, 2-phenyl-4-[5-{1-piperidinyl}pentyl]- (9CI)
(CA INDEX NAME)

RN 244619-95-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2-(4-chlorophenyl)-4-(5-(2,5-dimethyl-1-pyrrolidinyl)pentyl]- (9CI) (CA INDEX NAME)

N 244619-96-3 CAPLUS N 2H-1,4-Benzoxazin-3(4H)-one, 2-phenyl-4-(5-(1-pyrrolidinyl)pentyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 244619-87-2 CMF C23 H28 N2 O2

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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244619-98-5 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 4-[6-(2,5-dimethyl-1-pyrrolidinyl)hexyl]-2-phenyl- (9CI) (CA INDEX NAME)

244620-00-6 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2-(2-naphthalenyl)-4-{5-(1-piperidinyl)pentyl}- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244620-04-0 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 8-chloro-2-phenyl-4-[5-(1-piperidinyl)pentyl]-(9C1) (CA INDEX NAME)

244620-05-1 CAPLUS
2H-1,4-Benzoxazine-6-carbonitrile, 3,4-dihydro-3-oxo-2-phenyl-4-[5-(1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

244620-07-3 CAPLUS
2.6-Piperidinedione, 1-[5-(2,3-dihydro-3-oxo-2-phenyl-4H-1,4-benzoxazin-4-yl)pentyl]- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244620-01-7 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-(5-aminopentyl)-2-phenyl- (9CI) (CA INDEX NAME)

(CH2)5-NH2

244620-02-8 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-methyl-2-phenyl-4-[5-(1-piperidinyl)pentyl]-(9CI) [CA_INDEX_NAME)

244620-03-9 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 7-methoxy-2-phenyl-4-[5-(1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



244620-08-4 CAPLUS 4H-1,4-Benzoxazine-4-propanenitrile, 2,3-dihydro-3-oxo-2-phenyl- (9CI) (CA INDEX NAME)

244620-09-5 CAPLUS 4H-1,4-Benzoxazine-4-butanenitrile, 2,3-dihydro-3-oxo-2-phenyl- (9CI) (CA INDEX NAME)

244620-10-8 CAPLUS HH-1,4-Benzoxazine-4-pentanenitrile, 2,3-dihydro-3-oxo-2-phenyl- (9CI) (CA INDEX NAME)

(CH2)4-CN

244620-11-9 CAPLUS Guanidine, [3-(2,3-dihydro-3-oxo-2-phenyl-4H-1,4-benzoxazin-4-yl)propyl]-(9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244620-12-0 CAPLUS

Guanidine, [5-(2,3-dihydro-3-oxo-2-phenyl-4H-1,4-benzoxazin-4-yl)pentyl)[9CI) (CA INDEX NAME)

244620-13-1 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 2-(4-methoxyphenyl)-4-[5-(1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

244620-14-2 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 7-methyl-2-phenyl-4-[5-(1-piperidinyl)pentyl]-(9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244620-19-7 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 2-(4-methoxyphenyl)-4-[5-(1-piperazinyl)pentyl]- (9CI) (CA INDEX NAME)

244620-20-0 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2-(4-hydroxyphenyl)-4-[5-(1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

244620-21-1 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-2-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244620-15-3 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 5-methyl-2-phenyl-4-[5-(1-piperidinyl)pentyl]-(9C1) (CA INDEX NAME)

244620-16-4 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-methoxy-2-phenyl-4-{5-(1-piperidinyl)pentyl)- (9CI) (CA INDEX NAME)

244620-18-6 CAPLUS 2H-1,4-Benzoxatin-3(4H)-one, 6-chloro-2-phenyl-4-{5-(1-piperidinyl)pentyl}-(SCI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244620-22-2 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2-(4-methoxyphenyl)-4-[5-(4-methyl-1-piperazinyl)pentyl]- (9CI) (CA INDEX NAME)

244620-23-3 CAPLUS
Benzonitrile, 4-[4-[5-{2,6-dimethyl-1-piperidinyl)pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

244620-24-4 CAPLUS Benzenecarboxinidamide, 4-[4-[5-[2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- [9CI] (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244620-25-5 CAPLUS
CN Benzenecerbothioamude, 4-[4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- [9CI] (CA INDEX NAME)

RN 244620-27-7 CAPLUS
CN Benzenecarboximidamide, 4-[4-[5-{2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-N-hydroxy- (9CI) (CA INDEX NAME)

RN 244620-28-8 CAPLUS
CN BenZenecarboximidic acid, 4-(4-(5-(2,6-dimethyl-1-piperidinyl)pentyl)-3,4dihydro-3-oxo-2M-1,4-benzoxazin-2-yl]-, hydrazide (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244620-34-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-2(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 244620-35-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2-(3,4-dimethoxyphenyl)-4-(5-(2,6-dimethyl-1-piperidinyl)pentyl)- (9CI) (CA INDEX NAME)

RN 244620-36-8 CAPLUS
CN 2H-1,4-Benzoxezin-3(4H)-one, 2-(4-bromophenyl)-4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-(9C1) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244620-29-9 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 6-amino-2-phenyl-4-[5-(1-piperidinyl)pentyl]-(9C1) (CA 1NDEX NAME)

RN 244620-30-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(5-bromopentyl)-2-(3,4-dimethoxyphenyl)[9C1] (CA INDEX NAME)

RN 244620-31-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(5-bromopentyl)-2-(3,4,5-trimethoxyphenyl)(9C1) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continue

RN 244620-37-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-methyl-2-phenyl-4-[5-(1-piperidinyl)pentyl](9C1) (CA INDEX NAME)

RN 244620-38-0 CAPLUS (4H)-one, 4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-2-[4-[[henylmethyl]ndinolphenyl]- (9CI) (CA INDEX NAME)

RN 244620-39-1 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2-(4-methoxypheny1)-4-[5-(2,2,6,6-tetramethyl-1-peridinyl)-pertyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244620-41-5 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(5-bromopentyl)-2-(3,4-dichlorophenyl)-{9Cl} (CA INDEX NAME)

RN 244620-43-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2-(3,4-dichlorophenyl)-4-[5-(2,2,6,6-tetramethyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 244620-47-1 CAPLUS
CN Piperidine, 1-[5-[2,3-dihydro-2-(4-methoxyphenyl)-3-oxo-4H-1,4-benzoxazin-4-yl]-1-oxopentyl)-2,2,6,6-tetramethyl-(9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continue

RN 244620-50-6 CAPLUS
CN 2H-1,4-Benzowazin-3(4H)-one, 2-[5-(aminomethyl)-2-hydroxyphenyl]-4-[5-(2,6-dimethyl)-1-piperidinyl)pentyl]- (SCI) (CA INDEX NAME)

RN 244620-51-7 CAPLUS
CN 2H-1, 4-Benoxazin-3(4H)-one, 2-[3-(aminomethyl)phenyl]-4-[5-(2,6-dimethyl-1-plperidinyl)penyl]- (SCI) (CA INDEX NAME)

RN 244620-52-8 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-7-methyl-3-oxo-2H-1,4-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

RN 244620-53-9 CAPLUS
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L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244620-48-2 CAPLUS CN Benzenecarboximidamide, 3-[4-[4-(2,6-dimethyl-1-piperidinyl)butyl]-3,4-dihydro-3-oxo-22-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

RN 244620-49-3 CAPLUS
CN Benzenecarboximidamide, 3-[4-[6-[2,6-dimethyl-1-piperidinyl]hexyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Benzenecarboximidamide, 3-[4-[5-{2,6-dimethyl-1-piperidinyl)pentyl}-3,4dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4-methoxy- (9CI) (CA INDEX NAME)

RN 244620-54-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2-[5-(aminomethyl)-2-methoxyphenyl]-4-[5-(2,6-dimethyl-1-plperidinyl)pentyl)- (9CI) (CA INDEX NAME)

RN 244620-55-1 CAPLUS

Benzenecarboximidamide, 3-[4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-N-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)

RN 244620-56-2 CAPLUS CN Benzenecerboximidamide, 3-[4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) dihydro-3-oxo-2H-1,4-benzoxazin-2-yl}-N-hydroxy- (9CI) (CA INDEX NAME)

RN 244620-57-3 CAPLUS
CN Benzenecarboximidamide, 3-[7-chloro-4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- [9CI] (CA INDEX NAME)

RN 244620-58-4 CAPLUS : CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(5-bromopentyl)-2-phenyl- (9CI) (CA INDEX NAME)

RN 244620-59-5 CAPLUS
CN Acetamide, N-[2-[3-{aminoiminomethyl}]phenyl]-4-[5-[2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]- (9CI) (CA

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244620-64-2 CAPLUS
CN Benzenecarboximidamide, 3-{4-{5-{2,6-dimethyl-1-piperidinyl}pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl}-N-methyl- (9CI) (CA INDEX NAME)

RN 244620-65-3 CAPLUS
CN 2H-1,4-Benzoxazine-6-acetic acid, 2-[3-(aminoiminomethyl)phenyl]-4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)

RN 244620-68-6 CAPLUS
CN 2H-1,4-Benzoxazine-7-carboxylic acid, 2-[3-(aminoiminomethyl)phenyl]-4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-(9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) INDEX NAME)

RN 244620-60-8 CAPLUS
CN Acetamide, N-[2-[5-(aminoiminomethyl)-2-hydroxyphenyl]-4-[5-[2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-2-methyl-3-oxo-2H-1,4-benzoxazin-6-yl]-(9Cl) (CA INDEX NAME)

RN 244620-63-1 CAPLUS CN Benzenecarboximidamide, 3-[4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazln-2-yl]-4-hydroxy- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244620-69-7 CAPLUS
CN Benzenecarboximidamide, 3-[3,4-dihydro-3-oxo-4-[4-(2-pyridinylamino)butyl]2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

RN 244620-70-0 CAPLUS
CN 2H-1,4-Benzoxazine-7-carboxylic acid, 2-{3-{aminoiminomethyl}phenyl}-4-{5(2,6-dimethyl-1-piperidinyl)pentyl}-3,4-dihydro-3-oxo-, methyl ester (9CI)
(CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 244620-71-1 CAPLUS Benzenecarboximidamide, 3-[4-{5-(dihexylamino)pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

244620-72-2 CAPLUS
Benzenecarboximidamide, 3-[3,4-dihydro-4-[4-(methyl-2-pyridinylamino)butyl]-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME) RN CN

244620-73-3 CAPLUS
Morpholine, 4-[[3-[4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]phenyl]iminomethyl]- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244620-76-6 CAPLUS
Pentitol, 5-[2-[3-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl]-1,2,5-trideoxy-1-(2,6-dimethyl-1-piperidinyl)- (9CI) (CA INDEX NAME)

244620-78-8 CAPLUS
2H-1,4-Benzoxazine-6-carboxylic acid, 2-[3-(aminoiminomethyl)phenyl]-4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)

244620-81-3 CAPLUS
Benzenecarboximidamide, 3-[4-(5-aminopentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244620-74-4 CAPLUS
Benzenecarboximidamide, 3-(3,4-dihydro-3-oxo-4-[4-(2-pyrimidinylamino)butyl]-2H-1,4-benzoxazin-2-yl]- (9C1) (CA INDEX NAME)

244620-75-5 CAPLUS
Benzenecarboximidamide, 3-[4-[4-(cyclohexylamino)butyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244620-82-4 CAPLUS 2H-1,4-Benzoxazine-6-carboxylic acid, 2-[3-(aminoiminomethyl)phenyl]-4-[5-(2,6-dimethyl)-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-, methyl ester (9CI) (CA INDEX NAME)

244620-84-6 CAPLUS
Benzenecarboximidamide, 3-[4-[5-(2,6-dimethyl-1-piperidinyl)-3-pentenyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244620-87-9 CAPLUS
4-Thiazolecarboxylic acid, 3-acetyl-2,3-dihydro-2-(2-methoxyphenyl)-,
4-cyano-2-[4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H1,4-benzoxazin-2-yl|phenyl ester (9CI) (CA INDEX NAME)

244621-17-8 CAPLUS 24-1,4-Benroxazine-6-carboximidamide, 3,4-dihydro-N-hydroxy-3-oxo-2-phenyl-4-(5-(1-piperidinyl)pentyl)- (9CI) (CA INDEX NAME)

244621-18-9 CAPLUS 2H-1,4-Benzoxazine-6-carboximidamide, 3,4-dihydro-3-oxo-2-phenyl-4-[5-(1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244621-32-7P 244621-33-BP 244621-34-9P
244621-42-9P 244621-43-0P 244621-44-1P
244621-45-2P 244621-45-2P 244621-55-2P
244621-54-3P 244621-55-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of benzoxazinones and -thiazinones as serine protease inhibitors)
244621-32-7 CAPLUS
Benzonitrile, 3-[4-(5-bromopentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

244621-33-8 CAPLUS
Benzonitrile, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- [9Cf] (CA INDEX NAME)

Relative stereochemistry.

RN 244621-34-9 CAPLUS

Page 4327/06/2005

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244621-19-0 CAPLUS Benzenecarbothioamide, 3-[4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- [9CI) (CA INDEX NAME)

244621-20-3 CAPLUS Benzenecarboximidamide, 3-[3,4-dihydro-3-oxo-4-[5-(tricyclo[3.3.1.13,7]dec-1-ylaminolpentyl]-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

244623-37-8 CAPLUS 2H-1,4-Benzoxazine-6-carbonitrile, 3,4-dihydro-3-oxo-2-phenyl-2,4-bis(5-(1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Benzenecarboximidamide, 3-{4-{5-{(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxarin-2-yl}-N-{\text{trifluoroacetyl}oxy}-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244621-42-9 CAPLUS Benzonitrile, 3-[4-(5-bromopentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxezin-2-yl|-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)

244621-43-0 CAPLUS
Benzonitrile, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4-(phenylmethoxy)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244621-44-1 CAPLUS Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) piperidinyl|pentyl|-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl|-N-hydroxy-4-(phenylmethoxy)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244621-45-2 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4-(phenylmethoxy)-N-[(trifluoroacetyl)oxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244621-52-1 CAPLUS
Benzonitrile, 3-1(2S)-4-(5-bromopentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl)-4-(phenylmethoxy)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005.ACS on STN (Continued)
piperidinyl]pentyl]-3, 4-dihydro-3-oxo-2H-1, 4-benzoxazin-2-yl]-N-hydroxy-4(phenylmethoxy)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 11

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244621-53-2 CAPLUS
Benzonitrile, 3-[(2S)-3,4-dihydro-4-(5-iodopentyl)-3-oxo-2H-1,4-benzoxazin-2-yl)-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

244621-54-3 CAPLUS
Benzonitrile, 3-[(2S)-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxarin-2-yl]-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

244621-55-4 CAPLUS Benzenecarboximidamide, 3-[(2S)-4-[5-[(2R,6S)-2,6-dimethyl-1-

L7 ANSWER 14 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 22 Jul 1999
AB A study of the reaction of Ph magnesium bromade with various
N-(cyanomethyl)oxazolidines showed that product formation (essentially
3-imidazolines and 2-aminomorpholines) is highly sensitive to the
substitution pattern and stereochem, and appears to involve initial
complexation of the Grignard reagent to ring-oxygen.
ACCESSION NUMBER: 1999:44846 CAPLUS
DOCUMENT NUMBER: 2999:44846 CAPLUS
Substitution and stereochemical effects in the
reactions of combined aminonitrile-oxazolidines with a
Grignard reagent
Le Bail, Marc: Perard, Joelle: Aitken, David J.:
Husson, Henri-Philippe
CORPORATE SOURCE: Laboratoire de Chimat Therapeutique associe au CNRS,
Faculte des Sciences Pharmaceutiques et biologiques,
Universite Rene Descartes, Paris, 75270, Fr.
SOURCE: Tetrahedron Letters (1999), 40(29), 5309-5313
CODEN: TELEAY; ISSN: 0040-4039
Elsevier Science Ltd.
JOURNAIL
ANGUAGE: English

DOCUMENT TYPE: LANGUAGE:

PARTITYPE: Journal
UNGE: English
241825-84-3P 241825-86-5P
RL: SPN (Synthetic preparation): PREP (Preparation)
(substitution and stereochem. effects in the reactions of
N-(cyanomethyl)oxarolidines with a Grignard reagent)
241825-84-3 CAPLUS
2-Morpholinamine, 2,5-diphenyl-4-(1-phenylbutyl)-, (2S,5R)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

241825-86-5 CAPLUS 2-Morpholinamine, 3-methyl-2,5-diphenyl-4-(1-phenylbutyl)-, (2S,3R,5R)-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 15 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 29 Jun 1999
The synthesis, pharmacol. and toxicol. of derivs. of 1-(2-arylmorpholino)3-phenyl-3-propanone oxime and related anilides are described. The
structures of the new compds. were proved by IR, IH NMR and occasionally
with 13C NMR. The acute toxicity of the compds. in mice was determined to
comparative pharmacol. study of the in vivo effect on the central nervous
system was realized by screening tests on pentobarbital-induced sleeping
time, locomotor activity, and behavior despair test for antidepressive
activity. The most active compound was 1-(2-phenylmorpholino)-3-phenyl-3propanone oxime which showed low toxicity and antidepressive activity at a
dose of 1/10 LDS0.

SION NUMBER: 1999:400879 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER: TITLE:

AUTHOR (S):

1999:400879 CAPLUS
131:111361
Synthesis, toxicological and pharmacological
assessment of morpholino oximes
Avramova, Petya D.; Danchev, N. D.; Buyukliev, R. T.
Department Pharmaceutical Chemistry, Faculty Pharmacy,
Sofia, 1000, Bulg.
Pharmazie (1999), 54(6), 409-411
CODEN: PHARAT: ISSN: 0031-7144
GOVI-Verlag Pharmazeutischer Verlag
Journal CORPORATE SOURCE:

SOURCE:

PUBLISHER DOCUMENT TYPE:

English 232613-47-7P

232613-47-7P

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (preparation, toxicity, and antidepressive activity of morpholinopropiophenone oximes)

232613-47-7 CAPLUS
1-Propanone, 3-[2-[4-chlorophenyl)-4-morpholinyl]-1-phenyl-, oxime (9CI) (CA INDEX NAME)

232613-46-6P 232613-48-8P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation, toxicity, and antidepressive activity of morpholinopropiophenone oximes)
232613-46-6 CAPLUS

1-Propanone, 1-phenyl-3-(2-phenyl-4-morpholinyl)-, oxime (9CI) (CA INDEX

L7 ANSWER 15 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

232613-52-4 CAPLUS
1-Propanone, 3-[2-(4-bromophenyl)-4-morpholinyl]-1-phenyl-, hydrochloride
(9CI) (CA INDEX NAME)

232613-50-2P

RI: SPN (Synthetic preparation); PREP (Preparation) (preparation, toxicity, and antidepressive activity of morpholinopropiophenone oximes) 232613-50-2 CAPLUS

orpholinium, 2-(4-chlorophenyl)-4-methyl-4-[3-oxo-3-(phenylamino)propyl)-iodide (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 15 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

232613-48-8 CAPLUS
1-Propanone, 3-[2-(4-bromophenyl)-4-morpholinyl]-1-phenyl-, oxime (9CI)
(CA INDEX NAME)

220464-90-4 232613-51-3 232613-52-4
RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation, toxicity, and antidepressive activity of
morpholinopropiophenone oximes)
220464-90-4 CAPLUS
1-Propanone, 3-[2-(4-chlorophenyl)-4-morpholinyl]-1-phenyl-, hydrochloride

(CA INDEX NAME)

● HCl

232613-51-3 CAPLUS 1-Propanone, 1-phenyl-3-(2-phenyl-4-morpholinyl)-, hydrochloride (9CI) (CA INDEX NAME)

ANSWER 16 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 15 Apr 1999 and A new pathway for the synthesis of 2-(1-hydroxyalkyl)- and 2-(1-(arylamino)alkyl)morpholines via α -hydroxy- or α -aminoalkylation of 3-morpholinones, followed by reduction with LiAlH4 of the intermediate compds. to the target substituted morpholines, is described.

of the intern described. ACCESSION NUMBER: DOCUMENT NUMBER: 1999:232336 CAPLUS

AUTHOR (S) .

An enw synthesis of 2-(1-hydroxyalky1)- and 2-(1-aminoalky1)morpholines via 3-morpholiness Dobrev, Alexander; Nechev, Lubomir; Ivanov, Christo; Bon, Maryse Faculty of Chemistry, University of Sofia, Sofia, 1126, Bulg.
Journal of Chemical Research, Synopses (1999), (3), 188-189, 1001-1047
CODEN: JRPSDC; ISSN: 0308-2342
Royal Society of Chemistry
Journal
English CORPORATE SOURCE:

SOURCE:

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

English CASREACT 131:5228

OTHER SOURCE (S): 16187-72-7

RE: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2-(1-hydroxyalkyl) - and 2-(1-aminoalkyl)morpholines via
3-morpholinones)
16187-72-7 CAPLUS

3-Morpholinone, 4-butyl-6-phenyl- (8CI, 9CI) (CA INDEX NAME)

225506-58-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of 2-(1-hydroxyalkyl)- and 2-(1-aminoalkyl)morpholines via 3-morpholinones) 225506-58-1 CAPLUS

3-Morpholinone, 4-butyl-2-(hydroxyphenylmethyl)-6-phenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

1999:220867 CAPLUS 130:338074

TITLE: AUTHOR(S):

CORPORATE SOURCE:

130:338074
Syntheses of bisoxazolidines and morpholones
Santes, Victor; Ortiz, Aurelio; Santillan, Rosa;
Gotierrez, Atilano: Farfan, Norberto
Departamento de Quimica, Centro de Investigacion y de
Estudios Avanzados del IFN, Mexico D.F., 07000, Mex.
Synthetic Communications (1999), 29(8), 1277-1286
CODEN: SYNCAV; ISSN: 0039-7911
Marcel Dekker, Inc.
Journal

SOURCE:

CODEN: SYNCAV; ISSN: 0039-7911

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of)

RN 224447-58-9 CAPLUS

CN 2-Morpholinone, 4-(2,2-dimethylpropyl)-5-methyl-6-phenyl-, (5S,6R)- (9CI)
(CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 18 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{O} \\ \text{Ph} & \text{CH}_2\text{-}\text{CH}_2\text{-}\text{C--Ph} \\ \\ \text{O} & \text{O} \end{array}$$

● HCl

155138-22-OP 155138-23-IP 220464-90-4P
220464-92-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of aryl(arylpropyl)morpholines as MAO-inhibiting antidepressants)
155138-22-O CAPLUS
1-Propanone, 1-(4-bromophenyl)-3-(3-methyl-2-phenyl-4-morpholinyl)-, hydrochloride (9CI) (CA INDEX NAME)

• HC1

155138-23-1 CAPLUS 1-Propanone, 1-(4-bromophenyl)-3-[2-(4-chlorophenyl)-4-morpholinyl]-, hydrochloride (9C1) (CA INDEX NAME)

ANSWER 18 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
Entered STN: 03 Feb 1999
The synthesis of 2-aryl-4-(3-arylpropyl)morpholines, is described. Acute toxicity studies of the compds. Were performed on mice. A comparative pharmacol. study of the in vivo effects on the central nervous system was undertaken using screening tests for hexobarbital induced sleeping time, locomotor activity, and behavior despair (for antidepressive activity). The most active compound, 4-(2-benzoylethyl)-2-pehnyl-3-methylmorpholine, was studied for MAO-A and MAO-B inhibition in rat brain mitochondria prepns.

prepns. ACCESSION NUMBER:

TITLE:

AUTHOR (S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER

DOCUMENT TYPE: LANGUAGE:

was studied for MAO-A and MAO-B inhibition in rat brain matechondria prepns.

SSION NUMBER: 1999:72677 CAPLUS

MENT NUMBER: 130:168308

E: Synthesis, toxicological, and pharmacological assessment of derivatives of 2-aryl-4-(3-arylpropyl)morpholines

AVRAMOVA, Petys: Danchev, Nicolai: Buyukliev, Rossen: Bogoslovova, Tatiana

ORATE SOURCE: Department Pharmacutical Chemistry, Faculty Pharmacy, Sofia, 1000, Bulg.

Archiv der Pharmazie (Weinheim, Germany) (1998), 331(11), 342-346

CODEN: ARPMAS: ISSN: 0365-6233

JISHER: Wiley-VCH Verlag GmbH

JUAGE: English

IS5138-24-27 220464-91-5F

RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study): PREP (Preparation); RACT (Reactant or reagent) (preparation of aryl (arylpropyl)morpholines as NAO-Inhibiting antidepressants)

155138-24-2 CAPLUS

1-Propanone, 1-(4-bromophenyl)-3-[2-(4-bromophenyl)-4-morpholinyl]-, hydrochloride (9CI) (CA INDEX NAME)

220464-91-5 CAPLUS 1-Propanone, 3-(3-methyl-2-phenyl-4-morpholinyl)-1-phenyl-, hydrochloride

L7 ANSWER 18 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

220464-90-4 CAPLUS
1-Propanone, 3-(Z-(4-chlorophenyl)-4-morpholinyl)-1-phenyl-, hydrochloride
(9CI) (CA INDEX NAME)

220464-92-6 CAPLUS 4-Morpholinepropanol, α ,2-bis(4-bromophenyl)- (9CI) (CA INDEX NAME)

155138-20-8P 155138-21-9P 220464-93-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of aryl(arylpropyl)morpholines as MAO-inhibiting antidepressants)
155138-20-8 CAPLUS
1-Propannon, 1-(4-chlorophenyl)-3-(3-methyl-2-phenyl-4-morpholinyl)-, hydrochloride (9CI) (CA INDEX NAME)

ANSWER 18 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HC1

155138-21-9 CAPLUS
1-Propanone, 1-(4-chlorophenyl)-3-[2-(4-chlorophenyl)-4-morpholinyl]-, hydrochloride (9CI) (CA INDEX NAME)

220464-93-7 CAPLUS 4-Morpholinepropanol, 3-methyl- α ,2-diphenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 20 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 21 Mar 1998

AB Pyrido[3,2-b]oxazinones I (R = H, Ph; R1 = H, 4-F, 3-CF3; n = 2, 3, 4, 5)

were prepared, pharmacol. evaluated, and compared with acetylsalicylic acid.
The compound with the maximal combination of safety and analgesic efficacy

was I (R = H, R1 = 4-F, n = 3) with ED50 values of 12.5 mg/kg po (mouse:
phenylquinone writhing test) and 27.8 mg/kg po (rat: acetic acid writhing

test). This compound proved to be more active than aspirin with a safety

index of 5.1.

ACCESSION NUMBER:
1998:168432 CAPLUS
DOCUMENT NUMBER:
128:244026

TITLE:
Substituted pyrido[3,2-b]oxazin-3(4H)-ones: synthesis

and evaluation of antiportcentive activity.

AUTHOR (S):

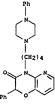
1998:168432 CAPLUS
129:244026
Substituted pyrido(3,2-b)oxazin-3(4H)-ones: synthesis and evaluation of antinociceptive activity
Savelon, L.; Bizot-Espiard, J. G.; Caignard, D. H.; Pfeiffer, B.; Renard, P.; Visud, M. C.; Guillaumet, G. Institut de Chimle Organique et Analytique, associe au CNRS, Universite d'Orleans, 40567, Fr. Bioorganic 4 Medicinal Chemistry (1998), 6(2), 133-142 CODEN: BMECP; ISSN: 0968-0896
Elsewier Science Ltd.
Journal
English CORPORATE SOURCE:

SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

204916-57-49

204916-57-49
RL: BAC [Biological activity or effector, except adverse); BSU [Biological study, unclassified]; SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and antinociceptive activity of) 204916-57-4 CAPLUS 2H-Pyrido(3,2-b)-1,4-oxazin-3(4H)-one, 2-phenyl-4-(4-(4-phenyl-1-piperazinyl)butyl)- (9CI) (CA INDEX NAME)



RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

Page 4727/06/2005

L7 ANSWER 19 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 19 Nov 1998
AB We report herein an efficient and practical synthetic method for the preparation of enantiomerically pure 2-[(2R)-ary]morpholin-2-y]]ethanols, key intermediates of tachykinin receptor antagoniat. Sharpless catalytic asym. dihydroxylation was employed to introduce the required absolute stereochem., and cyclization was accomplished by the Mitsunobu reaction.

ACCESSION NUMBER: 1998:733430 CAPLUS

DOCUMENT NUMBER: 130:66453
AN efficient synthesis of enantiomerically pure 2-[(2R)-ary]morpholin-2-y]]ethanols, key intermediates of tachykinin receptor antagonist
Nishi, Takahide; Ishibashi, Koki, Nakajima,
Katsuyoshi; Iio, Yukiko; Fukazawa, Tetsuya
Medicinal Chemistry Research Laboratories, Sankyo Co.,
Ltd., Tokyo, 140-8710, Japan
Tetrahedron: Asymmetry (1998), 9(18), 3251-3262

FUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal

DOCUMENT TYPE: LANGUAGE:

English CASREACT 130:66453 OTHER SOURCE (S) :

218292-45-6P

Z18292-43-6 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of enantiomerically pure (arylmorpholinyl)ethanols) 218292-45-6 CAPLUS 2-Morpholineethanol, 2-(3,4-dichlorophenyl)-4-[(2R)-3,3,3-trifluoro-2-methoxy-1-oxo-2-phenylpropyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 20 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(Reactant or reagent)
(prepn. and antinociceptive activity of pyrido[3,2-b]oxazin-3(4H)-ones)
204916-45-0 CAPLUS
2H-Pyrido[3,2-b]-1,4-oxazin-3(4H)-one, 4-(4-bromobutyl)-2-phenyl- (9CI)
(CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 21 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 19 Mar 1998

AB The title compds. [I; Rl = Cl-8 alkyl, C3-8 cycloalkyl, etc.; R2 = Cl-4 alkyl; R3 = H, Cl-5 alkyl, etc.; R4 = H, Cl-6 alkyl, etc.; R5, R6 = H, (un) substituted Cl-5 alkyl, etc.], optical isomers; pharmaceutically acceptable salts, hydrates, or solvates thereof, are prepared I have a potent phosphodiesterase (PDE) IV inhibitory activity, bronchodilatory and anti-inflammatory activities. Thus, 2-(2-chloroacetamido)-1-(3,4-dimethoxyphenyl)ethanol (preparation given) was treated with KOH in EtOH to give 51.41 I RI = R2 = Me, R3 = R4 = R5 = R6 = H), which showed ICSO of 3.7 X 10-5 M against PDE IV. Formulation containing I are also prepared ACCESSION NUMBER: 1998:163576 CAPLUS
DOCUMENT NUMBER: 128:204892

PPERATATION of 2-phenylmorpholin-5-one derivatives as

128:204892
Preparation of 2-phenylmorpholin-5-one derivatives as phosphodiesterase IV inhibitors
Ina, Shinji; Yamana, Kenjiro; Noda, Kyoji
Nikken Chemicais Co., Ltd., Japan
PCT Int. Appl., 95 pp.
CODEN: PIXXD2
Patent

INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PF

	PAT	ENT	N	٥.			KIN	DATE	:	AF	PLI	CAT	ION	NO.		DATE	:	
													~					
	WO	980	88	28			A1	1998	0305	WC	19	97-	JP2	970		1997	0826	
		w:		CA,	US													
		RW	: .	AT,	BE,	CH,	DE,	DK, ES,	FI,	FR, C	В,	GR,	IE,	IT,	LU,	MC, NI	, PT,	SE
	ΕP	924	20	4			Al	1999	0623	EF	19	97-	9358	390		1997	0826	
		R:		CH,	DE,	FR,	GB,	IT, LI										
	CA	226	46	85			С	2002	1015	C.F	۱ 19	97-	226	1685		1997	0826	
	CA	226	46	85			AA	1998	10305									
	JΡ	101	20	665			A2	1998	0512	JE	19	97-	2448	334		1997	0827	
	US	626	54	02			В1	2001	0724	US	19	99-	2428	318		1999	0225	
RIO	RIT	(AP	PL	N.	INFO	.:				JE	19	96-	242	542	P	1996	0827	
										WC	19	97-	JP29	970	W	1997	0826	

MARPAT 128:204892 OTHER SOURCE(S):

R SOURCE(S): MARKHI 160-160-17
204014-88-05
RL: BRC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of 2-phenylmorpholin-5-one derivs. as phosphodiesterase IV

ET ANSWER 22 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 24 Oct 1997

AB Phenmetrazine is a central nervous system stimulant and is currently used as an anotectic agent. The drug is abused and reported to cause death from overdose. We describe a liquid-liquid extraction protocol for phenmetrazine

from urine using 1-chlorobutane and subsequent derivatization using perfluorooctanoyl chloride for gas chromatog -mass spectrometric confirmation. Quantitation of urinary phenmetrazine can be easily achieved by using N-propylamphetamine as an internal standard The perfluorooctanoyl derivative of phenmetrazine showed a weak mol. ion at m/z 573 and a characteristic strong peak at m/z 467 in the electron ionization mass spectrometry thus siding unambiguous identification. The perfluorooctanoyl derivative of the internal standard did not show any mol. ion.

ion,

but showed strong characteristic peaks at m/z 482 and 440. The within run and between run precisions of the assay were 1.7% and 3.2% at a urinary phenmetrazine concentration of 20 μg/mL. The within run and between run precisions were higher (9.4% and 10.8%) at a urinary phenmetrazine concentration of 1.0 μg/mL, which was very close to the detection limit of the assay. The assay was linear for urinary phenmetrazine concentration of 1 to 100 μg/mL with a detection limit of 0.5 μg/mL.

ACCESSION NUMBER: 1997:675131 CAPPLUS

DOCUMENT NUMBER: 127:315616

DETERMINATION OF PROPERTY PROPERTY OF THE PROPERTY P

127:315616
Determination of phenmetrazine in urine by gas chromatography-mass spectrometry after liquid-liquid extraction and derivatization with perfluorooctanoyl chloride
Dasgupta, Amitava; Mahle, Christina E.
Clinical Chemistry and Toxicology Laboratories,
Albuquerque, NM, USA
Journal of Forensic Sciences (1997), 42(5), 937-941
CODEN: JFSCAS; ISSN: 0022-1198
American Society for Testing and Materials
Journal English

AUTHOR(S): CORPORATE SOURCE:

SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

197714-82-2

RL: PRP (Properties)
(phenmetrazine determination in urine by gas chromatog.-mass spectrometry

liquid-liquid extraction and derivatization with perfluorooctanoyl chloride)
197714-82-2 CAPLUS
Morpholine, 3-methyl-4-(2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-1oxooctyl)-2-phenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 21 OF 87 CA 204014-88-0 CAPLUS CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

3-Morpholinone, 4-butyl-6-[3-(cyclopentyloxy)-4-methoxyphenyl]- (9CI) (CA

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 23 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 13 Sep 1997

AB The title compds. (I; W = N-containing heterocyclyl, Y = single bond, lower alkylene, alkenylene, or alkynylene having CO optionally; Z = lower alkylene, R1 R2 = H, lower alkyl, etc.; R3, R4 = H, lower alkyl, alkenyl, alkynyl, aryl, etc.) are prepared I, possessing tumor necrosis factor inhibitory (NFKB) activity, are useful for prevention and treatment of inflammatory, virus, and autoimmunity diseases. Thus, 2-(4-chlorophenyl)-4-(3-piperazinopropyl)-2, 3-dihydro-1, 4-benzothiazin-3-one (preparation given) was reacted with 1,3-dimethyl-8-(3-bromopropyl)xanthin to give 73t the title compound (II). I were tested and showed inhibitory activity against luciferase.

ACCESSION NUMBER: 1997:887158 CAPLUS
DOCUMENT NUMBER: 127:190751
TITLE: Preparation of xanthin derivatives as necrosis factor inhibitors.

Preparation of xanthin derivatives as necrosis factor inhibitors

inhibitors Sugiura, Masaki; Sugita, Naohisa; Sakurai, Hiroaki; Ozeki, Masakatsu; Kotado, Shinichi Tanabe Seiyaku Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 17 pp. CODEN: JRXXAF Patent INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 09227561 JP 1996-33297 19960221 A2 19970902

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L7 ANSWER 23 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
PRIORITY APPLN. INFO.:
JP 1996-33297 19960221
OTHER SOURCE(S):
HARPAT 127:190751

194626-43-2P 194426-45-4P
R1: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): THU (Therapeutic use):
BIOL (Biological study): PREP (Preparation): USES (Usea)
(preparation of xanthin derivs. as necrosis factor inhibitors)
RN 194426-43-2 CAPLUS
CN 1H-Purine-2,6-dione, 8-[3-[4-(3-[2-(4-chlorophenyl)-2,3-dihydro-3-oxo-4H-
1,4-benzoxazin-4-yljpropyl]-1-piperazinyl]propyl]-3,7-dihydro-1,3-dimethyl-
, (2E)-2-butenedioate (1:1), monohydrate (9CI) (CA INDEX NAME)
                                      CRN 194426-42-1
CMF C31 H36 C1 N7 O4
                                                                      2
       Double bond geometry as shown
                                            CO2H
                                          194426-45-4 CAPLU3
1H-Purine-2,6-dione, 8-[3-[4-(3-[2-(4-chlorophenyl)-2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl]propyl]-1-piperazinyl]propyl]-3,7-dihydro-1-methyl-3-(2-methylpropyl)-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)
                                          CRN 194426-44-3
CMF C34 H42 C1 N7 O4
                                        ANSWER 24 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 17 Aug 1996
               Y - (CRR)_{p} - A - (CRR)_{q} - A_{r}^{-1} - B - A_{r}^{-2}
                                                                                                                                                                      (R1)n (R2)m
AB This invention relates to a class of novel dicarboxy amide derivs. of lipophilic amines I wherein: A is O, S, NR, SO, SO2, or a bond; B is (CRR)1-2, O, S, NR, SO, SO2, Rc:CR, C.tplbond.C, CO, or a bond; B is (CRR)1-2, O, S, NR, SO, SO2, Rc:CR, C.tplbond.C, CO, or a bond; B is (CMR)1-2, O, S, NR, SO, SO2, Rc:CR, C.tplbond.C, CO, or a bond; Y is, e.g., RNZ(CRR)dCRR, N-2-piperidyl, where Z is COMCR7((CRRR)dCORR), FOSR) where Z is COMCR7((CRRR)dCORR) where Z is complete the complete
     FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                                                                                                                                                                                                                                         APPLICATION NO.
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C1

C1

C1

CH2)3

CM 2

CRN 110-17-8

CMF C4 H4 04

Double bond geometry as shown.

HO2C

E CO2H

IT 194426-64-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of xanthin derivs. as necrosis factor inhibitors)

RN 194426-64-7 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 2-(4-chlorophenyl)-4-[3-(1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 24 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
W0 9618615 A1 19960620 W0 1995-US15364 19951129
W1: AL, AM, AT, AU, BB, BG, BR, BY, CA, CN, CZ, DE, DK, EE, ES, FI,
GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV,
MD, MG, MK, NN, MW; MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
SK, TJ
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE,
IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR,
NE, SN, TD, TG
US 5556990 A 19960917 US 1994-357481 19941216
CA 2207429 AA 19960620 CA 1995-2207429 19951129
AU 9643688 A1 19960703 AU 1996-43698 19951129
AU 9643688 A1 19960703 AU 1996-43698 19951129
EP 801644 A1 19971022 EP 9995-9942489 19951129
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE
PRIORITY APPLM. INFO: US 1994-357481 A 19941216
OTHER SOURCE(S): MARPAT 125:142750
IT 179821-70-GF
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SEN (Synthetic preparation); TRU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (USes)
(polyarylcarbamoylaza- and -carbamoylalkanedioic acids as squalene synthase inhibitors)
RN 179821-70-6 CAPLUS
CN Butanedioic acid, 2-hydroxy-2-[2-[2-methyl-2-[4-(2-naphthalenyl)phenyl]-4-morpholinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 25 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 04 Nov 1995
GI For diagram(s), see printed CA Issue.
AB The photog. material comprises an ether compound I (Arl, Ar2 = aryl, heterocyclic group; A = nonmetallic group forming 6-membered ring). The photog, material may further contain a hydroquinone derivative and/or tocopherol derivative It provides an image with improved light-stability and with good dye fading balance.
ACCESSION NUMBER: 1995:896729 CAPLUS
DOCUMENT NUMBER: 1995:896729 CAPLUS
TITLE: Silver halids

1995:896729 CAPLUS
124:71465
Silver halide color photographic material containing
diaryloxane derivative to improve dye stability
Morigaki, Masakazu: Negoro, Masayuki
Fuji Photo Film Co Ltd, Japan
Jpn. Kokai Tokkyo Koho, 53 pp.
CODEN: JKXXAF
Patent
Japanese
1

INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. JP 07199430 A2 19950804 JP 1993-349813 19931228
PRIORITY APPLM. INFO.: JP 1993-349813 19931228
IT 17198-54-3
RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)
(Ag halide color photog. material containing diaryloxane derivative to

dye stability)
17)959-54-3 CAPLUS
Morpholine, 2,6-bis(4-methylphenyl)-4-octyl- (9CI) (CA INDEX NAME)

L7 ANSWER 26 OF 87 CAPLUS COPYRIGHT 2005 ACS ON STN PRIORITY APPLN. INFO.: JP 1994-81217 JP 1993-98057

JP 1993-98057 19930423

OTHER SOURCE(S): MARPAT 123:198811

IT 167848-19-3F 167848-20-65 167848-21-7P
167848-23-9F 167848-23-9F 167848-29-5P
167848-30-8F 167848-31-9F 167848-22-0P
167848-33-1F 167848-38-6F 167848-39-7P
167848-40-0P

RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)
(intermediate for preparation of phenylmorpholine and -thiomorpholine derivs. as inhibitors of aldose reductase and synthesis of thromboxane A2)

A2) 167848-19-3 CAPLUS 4-Morpholineacetic acid, 2-(3-chlorophenyl)- α -ethyl-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)

167848-20-6 CAPLUS 4-Morpholineethanol, 2-(3-chlorophenyl)-β-ethyl- (9CI) (CA INDEX NAME)

167848-21-7 CAPLUS 4-Morpholineethanol, β -ethyl-2-phenyl- (9CI) (CA INDEX NAME)

сн2-он

167848-22-8 CAPLUS 2,4-Thiazolidinedione, 5-[{4-[2-[2-(3-chloropheny1)-4-morpholinyl]butoxy|phenyl]methyl]-3-(triphenylmethyl)- (9CI) (CA INDEX NAME)

ANSWER 26 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 15 Sep 1995

GI

AB The title compds. [I; Rl - R5 = H, linear or branched Cl-5 alkyl or alkoxy, halo, No, CF3; R6 = H, linear or branched Cl-5 alkyl: A = O, S; B = single bond, O, S; m = 1-5 integer: X = N, CH: Y = NH, O, S; Z = H, (CH2)nCO2R7; wherein R7 = H, linear or branched Cl-5 alkyl: n = 1-5 integer], useful for the treatment and prevention of hyperlipidemia, hyperglycemia, obesity, hypertension, osteoporosis, thrombus, and complications of diabetes, are prepared Thus, 5-(4-hydroxybenzyl)-3-triphenylmethylthizolidine-2,4-dione was condensed with Z-(3-chlorophenyl)-4-(2-hydroxy)-1-methylethylmorpholine by using PPh3 and di-Et arodicarboxylate in benzene at room temperature to give, after treatment with CF3CO2H, a title compound (II). II at I mg/kg body weight!, ACCSSION NUMBER: 1995:792597 CAPLUS
DOCUMENT NUMBER: 1995:792597 CAPLUS
TITLE: 1395:792597 CAPLUS
DOCUMENT NUMBER: 1995:792597 CAPLUS
INVENTOR(s): Yoshioka, Takao, Fujita, Takeshi, Alzawa, Julchi; Kanai, Tautomu; Sano, Hiromi; Horikoshi, Hiroyoshi; Fujiwara, Toshihiko
SANKYO CO, Japan
SOURCE: CODEN: JNXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Patent
LANGUAGE: Patent
LANGUAGE: Japanese
FRMILY ACC, NUM. COUNT: 1
PATENT INFORMATION:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO DATE JP 07002848 19950106 JP 1994-81217 19940420

ANSWER 26 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

167848-23-9 CAPLUS 2,4-Thiazolidinedione, 5-[[4-[2-(2-phenyl-4-morpholinyl)butoxy]phenyl]meth yl]-3-(triphenylmethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

167848-29-5 CAPLUS
4-Morpholineacetic acid, 2-(3-chlorophenyl)-5-oxo-α-propyl-, ethyleater (9CI) (CA INDEX NAME)

ANSWER 26 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

167848-30-8 CAPLUS
4-Morpholineethanol, 2-(3-chlorophenyl)-β-propyl- (9CI) (CA INDEX NAME)

но- сн2

167848-31-9 CAPLUS 4-Morpholineethanol, 2-phenyl-β-propyl- (9CI) (CA INDEX NAME)

сн₂- он

167848-32-0 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[[2-[2-(3-chloropheny1)-4-morpholiny]]penty]]penty]]penty]]penty]]-4-morpholiny][penty]]penty]]-4-(CAINDEX NAME)

167848-33-1 CAPLUS 2,4-Thiazolidinedione, 5-[[4-[[2-(2-phenyl-4-morpholinyl)pentyl]oxy]phenyl | methyl]-3-(triphenylmethyl)- (9CI) (CA INDEX NAME)

ANSWER 26 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

(CH2) 3

167848-40-0 CAPLUS
2,4-Thiazolidinedione, 5-[{4-[3-[2-(3-chlorophenyl)-4-morpholinyl]propoxy]phenyl]methyl]-3-(triphenylmethyl)- (9CI) (CA INDEX NAME)

167847-89-4P 167847-90-7P 167847-93-OP
167847-94-1P 167847-97-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylmorpholine and -thiomorpholine derivs. as inhibitors of aldose reductase and synthesis of thromboxane A2 for drugs)
167847-89-4 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[2-[2-(3-chlorophenyl)-4-morpholinyl]butoxy]phenyl]methyl]- (SCI) (CA INDEX NAME)

167847-90-7 CAPLUS 2.4-Thiazolidinedione, 5-[(4-[2-(2-phenyl-4-morpholinyl)butoxy)phenyl]meth yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 26 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A

167848-38-6 CAPLUS
4-Morpholinepropanoic acid, 2-(3-chlorophenyl)-5-oxo-, ethyl ester (9CI) (CR INDEX NAME)

167848-39-7 CAPLUS 4-Morpholinepropanol, 2-(3-chlorophenyl)- (9CI) (CA INDEX NAME)

ANSWER 26 OF 87 . CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

167847-93-0 CAPLUS
2,4-Thiazolidinedione, 5-{[4-[[2-[2-(3-chlorophenyl)-4-morpholinyl]pentyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

167847-94-1 CAPLUS 16/84/-94-1 CARGOS (2,4-Thiazolidinedione, 5-[[4-[[2-(2-phenyl-4-morpholinyl)pentyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

ANSWER 26 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

167847-97-4 CAPLUS 2,4-Thiazolidinedione, 5-[[4-[3-[2-(3-chlorophenyl)-4-morpholinyl]propoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$C1$$
 CH_2 CH

ANSWER 27 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

155138-22-0 CAPLUS 1-Propanone, 1-(4-bromophenyl)-3-(3-methyl-2-phenyl-4-morpholinyl)-, hydrochloride (9C1) (CA INDEX NAME)

155138-23-1 CAPLUS
1-Propanone, 1-(4-bromophenyl)-3-{2-(4-chlorophenyl)-4-morpholinyl}-,
hydrochloride (9CI) (CA INDEX NAME)

ANSWER 27 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 25 Jun 1994

AB 2- And 2,3-disubstituted tetrahydrooxazine derivs. I.HCl (X = H, Cl, Br; R = H, Me; Rl = 4-ClC6H4, 4-BrC6H4) were prepared by Mannich reaction of tetrahydrooxazines with paraformaldehyde and RlCOMe. I (X = H; R = Me; Rl = 4-ClC6H4) exerted an antireserpine effect stronger than that of impramine. I failed to show an aphrodisiac effect.

ACCESSION NUMBER: 1994:323429 CAPLUS

DOCUMENT NUMBER: 120:323429

AUTHOR(S): Derivatives of 2- and 2,3-disubstituted tetrahydrooxazines

AUTHOR(S): Avramova, Petya; Yordanova, K.; Ilarionov, Y.

CORPORATE SOURCE: Dep. Pharm. Chem., Fac. Pharm., Sofia, 1000, Bulg. 387-90

CODEN: BCHCE4; ISSN: 0324-1130

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 155138-20-8 P155138-21-97 155138-22-07

155138-23-8 CAPLUS

CN 1-Propanone, 1-(4-chlorophenyl)-3-(3-methyl-2-phenyl-4-morpholinyl)-, hydrochloride (9CI) (CA INDEX NAME)

155138-21-9 CAPLUS
1-Propanone, 1-(4-chlorophenyl)-3-[2-(4-chlorophenyl)-4-morpholinyl]-, hydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 27 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

155138-24-2 CAPLUS 1-Propanone, 1-(4-bromopheny1)-3-[2-(4-bromopheny1)-4-morpholiny1]-, hydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 28 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
Entered STN: 19 Mar 1994
A A dynamic chiral stationary phase for the ligand exchange chiral liquid chromatog. was prepared by tentatively loading (15,28)-N,N-carboxymethyl dodecylnorephedrine monosodium salt prepared from (15,28)-nocephedrien onto a com. reverse phase octadecyl-silica gel column and successfully used for the resolution of various amino acids without derivatization. The retention of the 2 enantiomers of amino acids on the column is significantly influenced by the organic modifier content, Cu(II) concentration and pH of the mobile phase. However, the enantioselectivity is significantly influenced mainly by the organic modifier content in the mobile phase. Based on the resolution trends of 2 enantiomers, a chiral recognition model concerning the enantioselective formation of ternary complex from the fixed ligand, amino acids and Cu(III) was proposed.

ACCESSION NUMBER: 1994:152651 CAPLUS
DOCUMENT NUMBER: 1994:152651 CAPLUS
DOCUMENT NUMBER: 1091:152651
TITLE: Optical resolution of racemic a-amino acids on a dynamic chiral stationary phase by ligand exchange chromatography

AUTHOR(S): Hyun, Myung Ho: Ryoo, Jae Jeong: Lim, Nam Eon Dep. Chem., Pusan Natl. Univ., Pusan, 609-735, S. Korea

SOURCE: Journal of Liquid Chromatography (1993), 16(15), 3249-61
CODEN: JLCHDB; ISSN: 0148-3919

DOCUMENT TYPE: LANGUAGE: English

IT 153083-84-2P
RL: RCT (Reactant); SPN (Synthetic preparation); ANST (Analytical study);

DOCUMENT TYPE: LANGUAGE: IT 153083-84-2P

153083-84-2P
RL: RCT (Reactant); SPM (Synthetic preparation); ANST (Analytical study);
PREP (Preparation); RACT (Reactant or reagent)
(preparation and ring cleavage of)
153083-64-2 CAPLUS
2-Morpholinone, 4-dodecyl-5-methyl-6-phenyl-, (SR-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 29 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HC1

ANSWER 29 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 19 Mar 1994

AB Title compds. I [R1, R2 = H, alkyl, cycloalkyl, (un)substituted Ph, (un)substituted phenylalkyl, etc.; A = alkylene; X = S, O; R3, R4 = H, alkyl, alkenyl, alkynyl, (un)substituted phenylalkyl, etc.) are prepared E.g., NaBH4 was added to a solution of 1-amino-2-naphthalenethiol in EtOH, the resulting mixture was stirred for 30 min, AcOH, NaOAc, and Me a-bromo-4-chlorophenylacetate were added, and the resulting mixture was stirred at room temperature overnight to give 3-(4-chlorophenyl)-1H-naphtho[2,1-b][1,4]thiazin-2-one, which was treated with 1-bromo-3-chloropropane in 961 KOH containing DMSO at room temperature overnight to give 3-(4-chlorophenyl)-1-(3-chloropropyl)-1H-naphtho[2,1-b][1,4]thiazin-2(3H)-one, which was refluxed with Et2NH in acetone containing NaI and K2COS overnight to give I [R1 = 4-chlorophenyl, R2 = H, X = S, A = (CH2)3, R3 = R4 = Et]. In an in vitro study I [R1 = 4-chlorophenyl, R2 = H, X = S, A = (CH2)3, R3 = R4 = Et] and the solution of the prepared allowed only 51.8% calcium to enter brain synaptosomes vs. 96 for the control.

ACCESSION NUMBER: 1094:134498 CAPLUS

DOCUMENT NUMBER: 120:134498

TITLE: Preparation of naphthothiazine analogs as calcium blockers

INVENTOR(S): Oozeki, Masakatsu; Kotado, Shinichi; Yasuda, Kosuke; Kudo, Koji; Maeda, Kayoko

PATENT ASSIGNEE(S): Tanabe Seiyaku Co, Japan

Jpn. Kokai Tokkyo Koho, 16 pp.

CODEN: JOCKAF

PAMENT ACC. NUM. COUNT: 1

PAMENT INFORMATION:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

JP 05185441 A2 19930727 JP 1992-209841 1992
PRIORITY APPLM. INFO: JP 1991-285410 A1 1992
OTHER SOURCE(5): MARPAT 120:134498
IT 152799-99-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as calcium blocker)
RN 152799-99-0 CAPIUS
CN 1H-Naphth[2,1-b)[1,4]oxazin-2(3H)-one, 3-(4-chlorophenyl)-1-[3-(dimethylamino)propyl]-, monohydrochloride (9CI) (CA INDEX NAME) 19920806

ANSWER 30 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 05 Mar 1994

AB Title compds. [I; Rl = (Ph-substituted) dialkylamino, (substituted) pyrrolidino, piperidino, hexamethylenimino, etc.; R2 = H, alkyl; R3 = (substituted) Ph, naphthyl, indanyl, quinolyl, 1,2,3,4-tetrahydroquinolyl, isoquinolyl, carbazotyl, dibenzoturyl, etc.), were prepared Thus, 4-nitrophenylalanine was converted in several steps to 4-amino-3-nitrophenylalanyl 4-methylpiperidineamide. This in CH2Cl2 containing ET3N was condensed with 4-amino-3,5-dichlorobenzenesulfonyl chloride and the product was hydrogenated in HCC2H over Pd/C to give 4-amino-N-[1-(1H-benzimidszol-5-ylmethyl)-2-(4-methylpiperidin-1-yl)-2-oxoethyl-3,5-dichlorobenzenesulfonamide. I showed ED200 of 1.7 - 9.2 µN in a test of thrombin-induced blood coagulation.

ACCESSION NUMBER: 1994:1007744 CAPIUS

DOCUMENT NUMBER: 1994:100744 CAPIUS

INVENTOR(S): Heckel, Armin; Sauter, Robert; Psiorz, Manfred; Binder, Klaus; Mueller, Thomas; Zimmermann, Rainer PATENT ASSIGNEE(S): Dr. Karl Thomae GmbH, Germany

EUL: Pat. Appl., 37 pp.

COODE: EPXXDW

DOCUMENT TYPE: German

FAMILY ACC. NUM. COUNT: 1

FATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 555824	A1	19930818	EP 1993-102052	19930210
R: AT, BE, CH,	DE, DK	, ES, FR,	GB, GR, IE, IT, LI, LU	, NL, PT, SE
DE 4204270	A1	19931104	DE 1992-4204270	19920213
US 5391556	A	19950221	US 1993-14598	19930208
AU 9332968	A1	19930819	AU 1993-32968	19930211
AU 663556	B2	19951012		
CA 2089466	AA	19930814	CA 1993-2089466	19930212
NO 9300517	A	19930816	NO 1993-517	19930212
HU 63624	A2	19930928	HU 1993-385	19930212
JP 06016648	A2	19940125	JP 1993-24205	19930212
ZA 9300975	A	19940812	ZA 1993-975	19930212
IL 104703	A1	19970713	IL 1993-104703	19930212
RIORITY APPLN. INFO.:			DE 1992-4204270	A 19920213
THER SOURCE(S):	MARPAT	120:10774	4	

R SOURCE(S): MARPAT 120:10//44

152134-83-31p

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as antithrombotic)

152134-83-3 CAPLUS

Morpholine, 4-[2-[[(4-amino-3,5-dichlorophenyl)sulfonyl]amino]-3-(1H-benzimidazol-5-yl)-1-oxopropyl]-2-phenyl- (9CI) (CA INDEX NAME)

L7 ANSWER 30 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 31 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

151518-92-2 CAPLUS
1H-Naphth[2,1-b][1,4]exazine, 3-(4-chlorophenyl)-1-(3-(dimethylamino)-1-oxopropyl]-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 151259-24-4 CMF C23 H23 C1 N2 O2

CM 2

ANSWER 31 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 25 Dec 1993

AB The title compds. I [R1, R2 = H, (un) substituted lower alkyl, cycloalkyl, (un) substituted Ph, etc: A = alkylene group: X = S, O: R3, R4= H. (un) substituted lower alkyl, alkenyl, etc] as Ca antagonists are prepared I and salts thereof are effective for the prevention and treatment of cerebral ischemia, symptoms due to cerebral nerve damage, convulsion, and/or epilepsy. For example, 3-(4-chlorophenyl)-1-(dicthylaminoacetyl)-2,3-dihydro-1H-naphtho[2,1-b][1,4]thiazine was prepared and its antiepileptic activity was teated with mice.

ACCESSION NUMBER: 1993:662529 CAPLUS

DOCUMENT NUMBER: 1993:662529 CAPLUS

INVENTOR(S): Nophthoxazines and naphthothiazines as calcium antiagonists

Oozeki, Masakatsu; Kotado, Shinichi; Yasuda, Kosuke; Kudo, Koji Maeda, Kayoko

Tanabe Seiyaku Co, Japan

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

DOCUMENT TYPE: LANGUAGE:

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE A2 19930803 JP 05194235 A2
PRIORITY APPLN. INFO.:
OTHER SOURCE(5): MARPA
IT 151259-24-4P 151518-92-2P JP 1992-226344 19920709 JP 1991-276092 A1 19910725 MARPAT 119:262529

IS1259-24-4P 151518-92-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as calcium antagonist)
151259-24-4 CAPLUS
1H-NaphtP(2,1-b)[1,4]oxazine, 3-(4-chlorophenyl)-1-[3-(dimethylamino)-1-oxopropyl)-2,3-dihydro- (9CI) (CA INDEX NAME)

L7 ANSWER 32 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 24 Jan 1993
AB The influence of the hydroxazine derivative PS1 on blood serum levels of sex and gonadotropic hormones were studied in male rabbits. One hour after i.v. administration, serum levels of testosterone and prolactin were increased, but returned to normal during the second hour. PS1 did not influence estradiol, progesterone, FSH, and LH levels. The aphrodisiac activity of PS1 is discussed.

ACCESSION NUMBER: 1993:16129 CAPLUS
DOCUMENT NUMBER: 118:16129
Effects of a hydroxazine derivative on serum levels of sex and gonadotropic hormones in male rabbits
AUTHOR(S): 11arionov, I.; Milanov, S.; Danchev, N.
NIFF, MA, Sofia, Bulg.
CODEN: PNTYA2; ISSN: 0428-0296
DOCUMENT TYPE: Journal TYPE: 300478

DOCUMENT TYPE: LANGUAGE: IT 117278-53-2

117278-33-2
RL: BIOL (Biological study)
(blood serum hormones responses to, as male aphrodisiac)
117278-33-2 CAPLUS
1-Propanone, 2-methyl-3-(2-methyl-2,3-diphenyl-4-morpholinyl)-1-phenyl(9CI) (CA INDEX NAME)

ANSWER 33 OF 87 CAPLUS COPYRIGHT 2005 ACS ON STN Entered STN: 05 Sep 1992

AB Some substituted 3-phenylmorpholines I (Ar = 2-, 3-MeOC6H4, 2-, 3-ClC6H4, 3-O2NC6H4, 2-, 3-HOC6H4) and 3-thienylmorpholines I (Ar = 2-, 3-thienyl), isosteres of 3-(3-hydroxyphenyl)-N-n-propylpiperidine (3-PP), were prepared and submitted to binding assays on D-2 dopaminergic and 5-HT1 and 5-HT2 serotonergic receptors, in comparison with 3-PP and its analog 1-propyl-3-(2-hydroxy/methoxyphenyl)piperidine. Thus, ArcOMe (Ar = 3-O2NC6H4, 2-, 3-thienyl) were brominated to BrCHZCOAr which cyclized with HO(CH2)ZNH(CH2)ZNH to give I. The results show the loss of D-2 affinity for all morpholines, while a certain activity was still observable for piperidine derivs. Regarding the serotonergic affinity, only I (Ar = 2-, 3-MeOC6H4, 2-, 3-ClC6H4) were moderately active on the 5-HTIA receptor.

ACCESSION NUMBER: 1992:490221 CAPLUS
DOCUMENT NUMBER: 1992:490221 CAPLUS
DOCUMENT NUMBER: 1992:490221 CAPLUS
TITLE: Oxygen isosteric derivatives of 3-(3-hydroxyphenyl)-N-n-propylpiperidine
Perrone, Roberto: Berardi, Francesco: Leopoldo, Marcello: Tortorella, Vincenzo: Lograno, Marcello D.; Daniele, Eugenia: Govoni, Stefano
CORPORATE SOURCE: Dip. Farmaco-chim.; Univ. Bari, Bari, 70126, Italy
Journal CAPLOS (SI) Service (Reactant): SNN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

142363-78-89 142363-79-99
Rt: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenation of)
142363-78-8 CAPLUS
Morpholine, 2-[2-(phenylmethoxy)phenyl]-4-propyl- (9CI) (CA INDEX NAME)

142363-79-9 CAPLUS
Morpholine, 2-[3-(phenylmethoxy)phenyl}-4-propyl- (9CI) (CA INDEX NAME)

L7 ANSWER 33 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

142363-70-0 CAPLUS 3-Morpholinone, 6-(3-chlorophenyl)-4-propyl- (9CI) (CA INDEX NAME)

142363-72-2 CAPLUS Morpholine, 2-(3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

142363-73-3 CAPLUS Morpholine, 2-(2-chlorophenyl)-4-propyl- (9CI) (CA INDEX NAME)

142363-74-4 CAPLUS
Morpholine, 2-(3-chlorophenyl)-4-propyl- (9CI) (CA INDEX NAME)

Page 5527/06/2005

ANSWER 33 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

42363-68-6 CAPLUS -Morpholinone, 6-(3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

142363-69-7 CAPLUS 3-Morpholinone, 6-(2-chlorophenyl)-4-propyl- (9CI) (CA INDEX NAME)

ANSWER 33 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

142363-82-4 CAPLUS Morpholine, 2-(2-methoxyphenyl)-4-propyl-, hydrochloride (9CI) (CA INDEX NAME)

142363-83-5 CAPLUS Morpholine, 2-(3-methoxyphenyl)-4-propyl-, hydrochloride (9CI) (CA INDEX NAME)

● HC1

142363-84-6 CAPLUS Morpholine, 2-(2-chlorophenyl)-4-propyl-, hydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 33 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

142363-85-7 CAPLUS
Morpholine, 2-(3-chlorophenyl)-4-propyl-, hydrochloride (9CI) (CA INDEX NAME)

142363-75-5P 142363-80-2P 142363-81-3P 142363-86-BP 142363-89-1P 142363-90-4P 142363-91-5P 142363-92-6P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 142363-75-5 CAPUS Morpholine, 2-(3-nitrophenyl)-4-propyl- (9CI) (CA INDEX NAME)

142363-80-2 CAPLUS Phenol, 2-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

ANSWER 33 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

● HCl

142363-90-4 CAPLUS
Morpholine, 2-(3-(phenylmethoxy)phenyl]-4-propyl-, hydrochloride (9CI)
(CA INDEX NAME)

142363-91-5 CAPLUS
Phenol, 2-(4-propyl-2-morpholinyl)-, hydrochloride (9CI) (CA INDEX NAME)

142363-92-6 CAPLUS Phenol, 3-(4-propyl-2-morpholinyl)-, hydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 33 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

142363-81-3 CAPLUS Phenol, 3-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

n-Pr

142363-86-8 CAPLUS Morpholine, 2-(3-nitrophenyl)-4-propyl-, monohydrochloride (9CI) (CA INDEX NAME)

#C1

142363-89-1 CAPLUS Morpholine, 2-(2-(phenylmethoxy)phenyl]-4-propyl-, hydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 33 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

● HC1

ANSWER 34 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 31 May 1992

ED GI

CH (OH) CH2NHCMe3

AB Morpholine derivs. I (R = alkyl, cycloalkyl, (substituted) aralkyl, heterocyclylalkyl, etc.: RI = (substituted) pyridyl, tetrazolopyridyl, etc.] are prepared Cyclization of 5.6 g amino alc. II with ClcH2COCI in CH2Cl2 gave 2.16 g oxomorpholine derivative III (X = 0), which (2.09 g) was reduced with BH3-Me2S in THF under N to give 1.99 g morpholine derivative III (X = 2 H) (IV). Reduction of 1.66 g IV with SnCl2.2H2O-HCl in MeOH gave 1.39 g I (R = Me3C, RI = 6-amino-3-pyridyl), which was converted to its citrate salt. The daily doses of I were 0.01-1.0 mg/kg as animal growth promoters, 2-150 mg as bronchodilators, 200-1000 mg as antidepressants and antiobesity agents.

ACCESSION NUMBER: 1992:214513 CAPLUS
DOCUMENT NUMBER: 116:214513
TITLE: Preparation of —

1992:214513 CAPLUS
116:214513
Preparation of morpholine derivatives as animal growth
promoters, bronchodilators, antidepressants, and
antiobesity agents
Fisher, Michael H.; Wyvratt, Matthew J.
Merck and Co., Inc., USA
U.S., 10 pp.
CODEN: USXXAM
Parent

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: English

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
US 5077290	A	19911231	US 1990-597976	19901011		
US 5124328	A	19920623	US 1991-767285	19910926		
RIORITY APPLN. INFO.:			US 1990-597976	3 19901011		
MUTER COUNCE (C)	*****	114.014513				

PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
HARPAT 116:214513
IT 140690-68-2P 140690-69-3P 140690-73-9P
140690-71-7P 140690-72-8P 140690-73-9P
141137-41-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

L7 ANSWER 34 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

140690-72-8 CAPLUS
Phenol, 3-(3-(2-16-amino-3-pyridinyl)-4-morpholinyl}-3-methylbutyl}- (9CI)
(CA INDEX NAME)

140690-73-9 CAPLUS Phenol, 4-[3-[2-(6-amino-3-pyridinyl)-4-morpholinyl]butyl]- (9CI) (CA INDEX NAME)

ANSWER 34 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (prepn. of, as drug and animal growth promoter) 140690-68-2 CAPLUS (Continued)

140690-69-3 CAPLUS 2-Pyridinamine, 5-[4-(1,1-dimethyl-3-phenylpropyl)-2-morpholinyl]- (9CI) (CA INDEX NAME)

140690-70-6 CAPLUS 2-Pyridinamine, 5-[4-[3-(3-methoxyphenyl)-1,1-dimethylpropyl]-2-morpholinyl]- (9CI) (CA INDEX NAME)

140690-71-7 CAPLUS Phenol, 4-[3-[2-16-amino-3-pyridinyl]-4-morpholinyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 34 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

141137-41-9 CAPLUS 2-Pyridinamine, 5-[4-(1,1-dimethylpropyl)-2-morpholinyl]- (9CI) (CA INDEX NAME)

AB AYNRIRZ [I; A = (substituted) N-heterocycle; Y = (substituted) C2-4
alkylene; R1, R2 = C2-6 alkyl; NRIRZ = (substituted) 5-7-membered
heterocycle containing optional O atom] were prepared A solution of 2.05 g
phthalimide derivative II in EtZO was added dropwise to a suspension of LiAlH4
in THF with cooling under N, and the mixture was refluxed 4 h to give 1.58 g
isoindoline derivative III which showed 80% inhibition of glutamic acid at 2
+ 10-4 M. Also prepared were 17 addnl. I and many salts.

ACCESSION NUMBER: 1991:247137 CAPULS
DOCUMENT NUMBER: 114:247137
Preparation of nitrogen heterocyclic alkylamines as
glutamate antagonists
INVENTOR(S): Mazeki, Mitsuor, Morifuji, Naoya; Hashimoto, Koichi;
Shinozaki, Atsuhiko
PATENT ASSIGNEE(S): Nippon Chemiphar Co., Ltd., Japan
SOURCE: John Chemiphar Co., Ltd., Japan
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION: KIND DATE APPLICATION NO. DATE

A2 19910123 PATENT NO. APPLICATION NO. 19880411

Relative stereochemistry.

L7 ANSWER 36 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 19 Apr 1991
AB A series 37 previously synthesized arylpropanolamine carbonates and carbamates was tested for anti-reserpine effects (inhibition of reserpine-induced hypothermia and ptosis) and aphrodisiac effects in mice and rats given the agents i.p. at 0.1 LD50 doses. Relationship between antidepressant, anxiolytic, and aphrodisiac activities were revealed and may serve for indirect estns. of either activity.

ACCESSION NUMBER: 1991:135923 CAPLUS
DOCUMENT NUMBER: 1991:135923 CAPLUS
DOCUMENT NUMBER: 114:135923
TITLE: Relationship between antireserpine and aphrodisiac effects. Pharmacologic aspects
AUTHOR(S): 11arionov, I.; Avramova, P.
CORPORATE SOURCE: MA. Sofia, Bulg.
SOURCE: PARTMAC (Sofia, Bulgaria) (1990), 40(3), 32-8
CODEN: FRTYA2; ISSN: 0428-0296
DOCUMENT TYPE: Journal Bulgarian
Bulgarian
Bulgarian
Bulgarian
Bulgarian
Bulgarian

UAGE: Bulgarian
66064-00-4 66064-01-5
RL: PRP (Properties)
(aphrodisiac and anti-reserpine effects of)
66064-00-4 CAPUS
Carbonic acid, 2-methyl-3-(3-methyl-2-phenyl-4-morpholinyl)-1-phenylpropyl
phenyl ester (9CI) (CA INDEX NAME)

66064-01-5 CAPLUS 4-Morpholinepropanol, β , 3-dimethyl- α , 2-diphenyl-, carbamate (ester) (9CI) (CA INDEX NAME)

L7 ANSWER 35 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 37 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
Entered STN: 06 Apr 1991
AB The neuropharmacol. effects of PS-1 (2,3-dimethyl-3-phenyl-transhydroxazinopropiophenone-HCl) were studied in mice and rats. PS-1 had
stimulating properties of the amphetamine type. Unlike amphetamine, PS-1
did not induce drug dependence and withdrawal syndrome after a prolonged
treatment.
ACCESSION NUMBER: 1991:114959 CAPLUS
DOCUMENT NUMBER: 114:114959
TITLE: Neuropharmacological study on a hydroxasinic
derivative

AUTHOR(S): CORPORATE SOURCE: SOURCE:

1991:114959 CAPLUS
114:114959 CAPLUS
114:114959 CAPLUS
Neuropharmacological study on a hydroxasinic
derivative
Ilarionov, I.; Bantutova, I.; Yakimova, K.
MA, Sofia, Bulg.
Eksportmentelna Meditsina i Morfologiya (1990), 29(2),
28-33

CODEN: EKMMA8; ISSN: 0367-0643

DOCUMENT TYPE: LANGUAGE: IT 132412-71-

132412-71-6

ANSWER 38 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 06 Jul 1990

CODEN: FMTYA2; ISSN: 0428-0296

DOCUMENT TYPE: Journal
LANGUAGE: Sulgarian
OTHER SOURCE(S): CASREACT 113:6254

T1 127978-73-0P

RI: SPN (Synthetic preparation): PREP (Preparation)
(preparation of, by cyclocondensation reaction of dimethoxyphenacyl bromide with ethanolamine derivative)

RN 127578-75-0 CAPIUS

CN Morpholine, 4-butyl-2-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

ANSWER 39 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

126806-71-1 CAPLUS Morpholine, 4-butyl-2-phenyl-2-propoxy- (9CI) (CA INDEX NAME)

126806-72-2 CAPLUS Morpholine, 2-butoxy-4-butyl-2-phenyl- (9CI) (CA INDEX NAME)

126806-92-6 CAPLUS
2-Morpholinol, 4-butyl-2-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

● HC1

126806-93-7 CAPLUS Morpholine, 4-butyl-2-ethoxy-2-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

ANSWER 39 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 26 May 1990

AB The title compds. I [R1 = H, alkyl; R2 = Me, Bu; R2R3 = (CH2)4; R3, R4 = H; R3R4 = (CH2)4] were prepared by reaction of R4CH(OH)CHR3NHR2 with BrCH2COPh, followed by 0-alkylation of the obtained hydroxymorpholines with excess alcs. I [R1 = Bu, R2 = Me, R3 = R4 = H) and I [R1 = Pr, R2R3 = (CH2)4, R4 = H] showed significant antinociceptive activity. I could be classified into 2 subgroups, according to their lipophilicity. Within the subgroups, R4 values from reversed phase thin-layer chromatog. were a reliable index of the lipophilic characteristics.

ACCESSION NUMBER: 1990:199268 CAPLUS

TITLE: Lipophilicity of some substituted morpholine derivatives synthesized as potential antinociceptive agents

AUTHOR(S): Rekka, Eleni: Retsas, Stavros: Demopoulos, Vassilis J.; Kourounakis, Panos N.

CORPORATE SOURCE: Sch Pharm., Univ. Thessaloniki, Thessaloniki, 540 06, Greece

Archiv der Pharmarie (Weinheim, Germany) (1990), 323(1), 53-6

COODEN: ARPMAS: ISSN: 0365-6233

DOCUMENT TYPE: Journal English

OTHER SOURCE(S): CASREACT 112:198268

IT 126806-79-7 126806-70-09 126806-71-1P

126806-79-7 126806-70-09 126806-71-1P

126806-79-7 CAPLUS

CN 2-Morpholinol, 4-butyl-2-phenyl- (9CI) (CA INDEX NAME)

126806-70-0 CAPLUS Morpholine, 4-butyl-2-ethoxy-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 39 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

● HC1

126806-94-8 CAPLUS Morpholine, 4-buty1-2-pheny1-2-propoxy-, hydrochloride (9CI) (CA INDEX NAME)

• HC1

126806-95-9 CAPLUS Morpholine, 2-butoxy-4-butyl-2-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

● HCl

ANSWER 40 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 20 Aug 1989

AB The psychotropic effects of the title derivative (I; 18 and 30 mg/kg), a possible antidepressant, were studied in rats with learned helplessness (passivity) in avoidance tests with conditioned (sound, light) and unconditioned (electroshock) stimuli. The effects of I were compared with those of impramine. The percentage of escape reactions occurring during 30 daily tests was increased by both agents. The latency period between stimuli and escape reactions also decreased after single oral doses or repeated treatment for 15 days. The effect was more pronounced with the conditioned stimuli. I also had an aphrodisiac effect in mice in reversing learned conditioned reflex impotence.

ACCESSION NUMBER: 1989:450296 CAPLUS

DOCUMENT NUMBER: 111:50296

TITLE: Influence of a tetrahydroxazine derivative on conditioned and unconditioned reflex activity in experimental animals

AUTHOR(S): Ilarinov, I.; Danchev, N.

EXSPERIMENT SOURCE: ENAPORATE SOURCE: Experimentalna Meditaina i Morfologiya (1989), 28(1), 5-9-000-9-1500

5-9 CODEN: EKMMA8; ISSN: 0367-0643 Journal Bulgarian

behavior)
117278-53-2 CAPLUS
1-Propanone, 2-methyl-3-(2-methyl-2,3-diphenyl-4-morpholinyl)-1-phenyl-(9CI) (CA INDEX NAME)

ANSWER 41 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 41 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 21 Jan 1989

AB . The title compds. [I; A = CO, CH(OR) where in R = H, acyl, aroyl; Rl = alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, aryl, aralkyl; R3 = H. alkyl; R4 = alkyl; X = H, halo, alkyl], useful as herbicides, are prepared Refluxing a mixture of 2.27 g amine derivative II with 1.7 g 2.3-dimethylmaleic anhydride in HOAc gave 1.7 g maleimide derivative II (Rl = Et, R2 = R3 = H, R4 = Me, A = CO), which showed >95% control of barnyard grass, etc., at 0.5 kg/ha with 10% damage to rice plants, vs. 50-90% damage with a reference compound ACCESSION NUMBER: 1899:23902 CAPLUS DOCUMENT NUMBER: 110:23902 Preparation of (dialkylmaleimido) benzoxazine derivatives as herbicides

INVENTOR (S):

1989:23902 CAPLUS
110:23902
Preparation of (dialkylmaleimido) benzoxazine
derivatives as herbicides
Kume, Toyohiko; Goshima, Toshio; Kaji, Shuzo;
Yamaguchi, Naoko; Yanagi, Akihiko; Hayakawa, Hidenori;
Yaqi, Shiqeki
Nihon Tokushu Noyaku Seizo K. K., Japan
Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
Patent
Japanese
1

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT B	10.		F	CIND	DATE		AP	PLICATION NO.	DATE
			-						
JP 6301	1782			A2	19880121		JΡ	1986-157890	19860707
US 4729	784			А	19880308		US	1987-65443	19870623
EP 25560	01			A2	19880210		ΕP	1987-109174	19870626
EP 25560	01			A3	19890308				
EP 25560	01			81	19910911				
R:	BE.	CH.	DE. E	R. G	B. IT. LI.	NL			
BD 8703	123			Δ.	19880322		RD	1987-3423	19870706

BR 8703423 A 19880322 BR 1987-3423 19870706
PRIORITY APPLN INFO:
OTHER SOURCE(S):
CASREACT 110:23902; MARRAT 110:23902
IT 118124-37-1P
RI: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)
RN 118124-37-1 CAPLUS
CN 1H-Pyriole-2,5-dione, 1-(3,4-dihydro-3-oxo-2-phenyl-4-propyl-2H-1,4-benzoxazin-6-yl)-3,4-dimethyl- (9CI) (CA INDEX NAME)

ANSWER 42 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 24 Dec 1988

AB The title compds. [I; R = H, Rl = halo; R = Rl = H, OH, Cl-3 alkoxy, PhcH2O; RRI = OCH2O; R2 = 1,3-dioxolanyl, R3: R3 = H, (unisubstituted Phi: R4 = H1 Cl-6 alkyl, C3-6 alkenyl, PhCH2 HO(CH2)3, 4-MeoC6H4, substituted alkyl moieties Q-Q2; R5 = Cl-3 alkyl; n = 2,3; when R1 = halo, R4 H] and their oxalate and dioxalate salts were prepared as cytoprotective agents, useful in reducing gastric acid secretion and in the prevention and treatment of ulcers. 3-F3CC6H4NH2 and Me2CHCAPCHONO [II] were stirred 24 h at room temperature in furan to give 2-(3-(crifluoromethyl)phenyl] furan which was refluxed with II in THF while 2-H2NC6H4COH2 was added dropwise to give 1,4-epoxynaphthalene III. The latter was cleaved with 03, reduced to a diol with LiAlH4, esterified with MeSOZC1, and cyclocondensed with Phhck2NH2 to give I (R-R2 = H, R3 = 3-F3CC6H4, R4 = PhCH2). This was debenzylated by hydrogenation over Pd/C to give I (R-R2 = R4 = H, R3 = 3-F3CC6H4), converted to its monooxalate salt (IV). In rats 25 mg IV/kg orally reduced EtOH-induced stomach mucosal and submucosal lesions 56%. At the same dose IV reduced gastric secretion in rats 71%.

ACCESSION NUMBER: 1988:631042 CAPLUS

DOCUMENT NUMBER: 1998:631042 CAPLUS

INVENTOR(S): Wachter, Michael P.; Karanewsky, Donald S.

OTTHO Pharmaceutical Corp., USA

DOCUMENT TYPE: Patch Captus Patch English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

KIND APPLICATION NO. PATENT NO. DATE

L7 ANSWER 42 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
US 4761413 A 1980802 US 1986-945273 19861222
US 4855426 A 19809080 US 1988-181619 19880414
US 4910198 A 19900320 US 1989-358036 19890526
PRIORITY APPLN. INFO.: US 1986-945273 A3 19861222
US 1987-945-9452 A3 19880414
US 1972-86-89 117572-98-97
117572-86-89 117572-98-97
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study): PREF (Preparation); USES (Uses)
(prepn of, as ulcer inhibitor)
RN 117572-83-5 CAPLUS
CN 1,5-5poxy-1H-3-benzazepine, 2,3,4,5-tetrahydro-3-[3-(4-morpholinyl)propyl)-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

N- (CH₂)₃-N 0 cF₃

RN 117572-84-6 CAPLUS
CN 1.5-Epoxy-lim-3-benzazepine, 2,3,4,5-tetrahydro-3-[3-(4-morpholiny1)propyll1-[3-(trifluoromethyl)phenyl]-, ethanedicate (1:1) (SCI) (CA INDEX RAME)

CM 1 CRN 117572-83-5 CMF C24 H27 F3 N2 O2

CRN 144-62-7

L7 ANSWER 42 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) CN 1,5-Epoxy-1H-3-benzazepine, 2,3,4,5-tetrahydro-1-phenyl-3-[3-[3-[1-piperidiny]methyl)phenoxylpropyl]- (9CI) (CA INDEX NAME)

RN 117572-95-9 CAPLUS
CN 1,5-Epoxy-1H-3-benzazepine, 2,3,4,5-tetrahydro-1-phenyl-3-[3-[3-[1-piperidinylmethyl)phenoxy]propyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1 CRN 117572-94-8

N-CH2 0-(CH2)3-N 0

CM 2

но- с- с- он

RN 117572-98-2 CAPLUS
CN 1,5-Epoxy-1H-3-benzszepine, 2,3,4,5-tetrahydro-3-[3-(4-morpholinyl)propyl]1,5-diphenyl- (9C1) (CA INDEX NAME)

RN 117572-99-3 CAPLUS
CN 1,5-Epoxy-1H-3-benzazepine, 2,3,4,5-tetrahydro-3-[3-(4-morpholinyl)propyl]1,5-diphenyl-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

L7 ANSWER 42 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

HO-C-C-OH

RN 117572-85-7 CAPLUS
CN 1,5-Epoxy-1H-3-benzazepine, 2,3,4,5-tetrahydro-3-[3-[3-[1-piperidinylmethyl)phenoxy]propyl]-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

F3C O- (CH2) 3 - N

RN 117572-86-8 CAPLUS
CN 1,5-Epoxy-1H-3-benzazepine, 2,3,4,5-tetrahydro-3-[3-[3-[1-piperidinylmethyl]phenoxy]propyl]-1-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM :

CRN 117572-85-7 CMF C32 H35 F3 N2 O2

CM 2 CRN 144-

CRN 144-62-7 CMF C2 H2 O4

HO- C- C- OF

RN 117572-94-8 CAPLUS

L7 ANSWER 42 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) CM $\,$ 1

CRN 117572-98-2 CMF C29 H32 N2 O2

CM 2

CRN 144-62-7 CMF C2 H2 O4

Ñ . Î

L7 ANSWER 43 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 25 Nov 1988
AB The compound 2-methyl-2,3-phenyl-3-methyltetrahydroxazine propiophenone hydrochloride was evaluated by by the following pharmacol. effects: antireserpine and antihaloperidol effects in the jumping test, annorexic effects, and local anesthetic action. ED50's and LD50's as well as therapeutic indexes of this compound were determined in comparison with d-amphetamine, imipramine, and phendimetrazine. The local anesthetic effect of the compound was comparable to that of cocaine. The newly investigated compound was most similar to the preparation of d-amphetamine.
ACCESSION NUMBER: 198:583400 CAPLUS
DOCUMENT NUMBER: 198:583400 CAPLUS
Pharmacological studies on a tetrahydroxazinic

DOCUMENT NUMBER: TITLE: Pharmacological studies on a tetrahydroxazinic

AUTHOR(S):

CORPORATE SOURCE:

Pharmacological studies on a tetrahydroxazinic derivative Ilarionov, I. M. M., Sofia, Bulg. Eksperimentalna Meditsina i Morfologiya (1988), 27(3), 17-22 SOURCE:

CODEN: EKMMA8; ISSN: 0367-0643

DOCUMENT TYPE:

Bulgarian 117278-53-2

RI. PRP (Properties)
(neuropharmacol. and stimulant effect of)
117278-53-2 CAPLUS
1-Propanone, 2-methy1-3-(2-methy1-2,3-dipheny1-4-morpholiny1)-1-pheny1-(9C1) (CA INDEX NAME)

ANSWER 44 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

97843-14-6 CAPLUS 1H-Indazol-3-amine, N-{3-(2-phenyl-4-morpholinyl)propyl}-, monohydrochloride (9CI) (CA INDEX NAME)

● HC1

ANSWER 44 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 16 Nov 1985

AB Indazole derivs. (I; R = H, Cl, Me, NeO, H2N; Rl-4 = H, alkyl, halo, Ph; X = O, S; Z = alkylenel and their physiol. compatible salts were prepared I were effective antiinflammatants and antiulcers at 100 mg/kg oral in rats. Thus, stirring a mixture of 9.43 g II and 11 g III in MeOH at 80° gave 71% I (R-R3 = H, R4 = 3-Me, X = O, Z = CHZCHZCO), which (1.05 g) was reduced with 0.44 g LiAlH4 in dioxane at 80° to give 81% I (R-R3 = H, R4 = 3-Me, X = O, Z = CHZCHZCHZ).

ACCESSION NUMBER: 1985:560501 CAPLUS
DOCUMENT NUMBER: 1985:565051 CAPLUS

DOCUMENT NUMBER: TITLE:

PATENT ASSIGNEE (S):

Aminoindazole derivatives Asahi Chemical Industry Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 11 pp. CODEN: JKXXAF

Patent

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60061569	A2	19850409	JP 1983-169214	19830916
PRIORITY APPLN. INFO.:			JP 1983-169214	19830916
TT 97842-95-0P 97843-1	4-6P			

97842-33-07 97843-14-07
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, antiinflammatory and antiulcer activity of)
97842-93-0 CAPLUS
HH-Indaxol-3-amine, N-[3-(2-phenyl-4-morpholinyl)propyl]- (9CI) (CA INDEX

ANSWER 45 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 04 Oct 1985

AB Indatole derivs. (I; R = H, Cl, Me, MeO, H2N; Rl, R2, R3, R4 = H, alkyl, halo, Ph; X = O, S; Z = alkylene) and their physiol. compatible salts were prepared I were effective antilnfiammatants and antiulcers at 100 mg/kg oral in rats. Thus, stirring a mixture of 10.8 g II (R5 = R6 = R7 = H) and 14.45 g phthalic anhydride in dioxane at 120° gave 87% II (R5 = R7 = PH) and 14.45 g phthalic anhydride in dioxane at 120° gave 87% II (R5 = R7 = PH) and 14.50 give 59% IV. Hydrolysis of 3.59 g IV with 2.5 g N2H4.H2O in EtoH gave 71% I (R-R3 = H, R4 = 3-He, X = O, Z = CH2CH2CO), which (1.05 g) was reduced with LiAlH4 in dioxane to give 70% I (R-R3 = H, R4 = 1-Me, X = O, Z = CH2CH2CH2C).

ACCESSION NUMBER: 103:104962 CAPLUS DOCUMENT NUMBER: 103:104962

DATE JP 60061568
PRIORITY APPLN. INFO.:
IT 97843-32-8P 19850409 JP 1983-169213 JP 1983-169213 19830916

97843-32-9P RL: SPN (Synthetic preparation): PREP (Preparation) (preparation, antiinflammatant and antiulcer activity of) 97843-32-9 CAPLUS

1H-Indazol-3-amine, 1-(3-(2-phenyl-4-morpholinyl)propyl)- (9CI) (CA INDEX

L7 ANSWER 45 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN. (Continued)

97843-49-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, antiinflammatory, and antiulcer activity of)
97843-49-7 CAPLUS
1H-Indazol-3-amine, 1-{3-(2-phenyl-4-morpholinyl)propyl}-, dihydrochloride

● 2 HCl

ANSWER 46 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

ANSWER 46 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 29 Sep 1984

AB Thirty-seven title compds. I (R = H, Me; R1 = H, Me, Et, Me2CH, Ph; R2 = H, H0; R3 = R, Me, Et, R32 = piperidino, morpholino: n = 0, 1), effective analgesics at 20-200 mg/kg, were prepared Thus, 0.42 mol NaH in oil was added to 0.4 mol pyridine derivative II in DNF at 7-13*, 0.4 mol MeCHBECO2Et was added, and the mixture stirred at 23-30* to give 84.44 III, which (0.1 mol) was treated with 0.1 mol NaH in oil in xylene at 83*, 0.238 mol EC2NCH2CH2Br was added, and the mixture refluxed to give 74.81 I (R = R2 = H, R1 = Me, R3 = Et, n = 0).

ACCESSION NUMBER: 1984:510931 CAPLUS
101:110931 2 H-Pyrido[3,2-b]-1,4-oxazine derivatives as analgesic compositions
PATENT ASSIGNEE(S): Nippon Redarii K. K., Japan
Jpn. Kokai Tokkyo Koho, 20 pp.
CODEN: JRXXAP
Fatent
LANGUAGE: Japanese

DOCUMENT TYPE: LANGUAGE: LANGUAGE: FALENT JAPANESE FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 59048489
PRIORITY APPLN. INFO.: JP 1982-159886 JP 1982-159886 19820914 A2 19840319

86287-28-9F
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
86267-28-9 CAPLUS
2H-Pyrido(3,2-b)-1,4-oxazin-3(4H)-one, 4-[3-(diethylamino)-2-hydroxypropyl]-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 47 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 18 Aug 1984

Bromination of PhCH:CH2 in the presence of ethylene oxide by Br2-CCl4 gave a mixture containing 85% BrCH2CH2OCHPhCH2Br (1) and 15% BrCH2CH2OCH2CHPhBr

a mixture containing 85% BrCH2CH2OCHPhCH2Br (I) and 15% BrCH2CH2OCH2CHPhBr
which

were aminated by Bu2NH to give II (R = Bu). Analogous amination by Et2NH,
piperidine, or morpholine gave 60-72% II [R = Ex, R2 = (CK2)5,
CH2CH2OCH2CH2]. Similarly, chlorination of PhCH:CH2 and ethylene oxide
gave only ClCH2CH2OCHPhCH2Cl which was aminated by R2NH (R = Bu, Et, R2 =
(CSE)5, CH2CH2CH2CH2 to give 59-76% R2NCH2CH2OCHPhCH2Cl.

ACCESSION NUMBER: 1984:455012 CAPLUS
DOCUMENT NUMBER: 101:55012

TITLE: Cohalogenation of styrene with oxirane with subsequent
reaction of the synthesized ethers with secondary
amines

AUTHOR(S): Egeonu, Ch. Kh.; Gurbanov, P. A.; Movsumzade, M. M.;
Agaeva, A. E.

CORPORATE SOURCE: Azerb. Inst. Nefti Khim., Baku, USSR
Dokledy - Akademiya Nauk Azerbaidzhanskoi SSR (1983),
39(9), 56-60

CODEN: DAZRA7; ISSN: 0002-3078

JOURNAL

LANGUAGE: Russian
CASREACT 101:55012

OTHER SOURCE(S): IT 91045-43-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 91045-43-1 CAPLUS

Morpholinium, 4,4-dibutyl-2-phenyl-, bromide (9CI) (CA INDEX NAME)

ANSWER 48 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 08 Jun 1984

R1 N N R1

ACUTHOR(S):

ACUTHOR(S):

ACUTHOR(S):

CORPORATE SOURCE:

ACUTHOR(S):

ACUTHOR(S):

CORPORATE SOURCE:

CORPORATE

DOCUMENT TYPE: LANGUAGE: IT 89970-32-1P

B9970-32-IP
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation, local anesthetic, and analgesic activity of)
89970-32-1 CaPLUS
2H-Pyrido(3,2-b)-1,4-oxazine, 3,4-dihydro-4-(1-oxopropyl)-2-phenyl- (9CI)
(CA INDEX NAME)

ANSWER 49 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

88059-37-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
88059-37-4 CAPLUS
1H-IndoLe, 4-(4-propyl-2-morpholinyl)-, (2E)-2-butenedicate (1:1) [9CI]
(CA INDEX NAME)

CM 1

CRN 88059-36-3 CMF C15 H20 N2 O

CM 2

ble bond geometry as shown.

ANSWER 49 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 12 May 1984

AB N-Substituted 4-(2-morpholinyl)indoles I (R = Me, Et, Pr) were prepared from 4-acetylindole (II) which was itself prepared from 4-cyanoindole. Bromination of ketone II followed by reaction with amines and subsequent NaBH4 reduction, gave amino alcs. These were convected to a-chloro amides that were cyclized to lactams. LiAlH4 reduction served both to remove the protecting group and to reduce the lactams to the 4-(2-morpholinyl)indoles.

ACCESSION NUMBER: 1984:6427 CAPLUS

1984:6427 CAPLUS 100:6427 DOCUMENT NUMBER:

TITLE: Synthesis of 4-(4-alkyl-2-morpholinyl)indoles Clark, Robin D. AUTHOR(S):

Inst. Org. Chem., Syntex Res., Palo Alto, CA, 94304, USA CORPORATE SOURCE:

SOURCE: Journal of Heterocyclic Chemistry (1983), 20(5), 1393-5

CODEN: JHTCAD: ISSN: 0022-152X

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): Journal English CASREACT 100:6427

88059-33-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reduction of) 88059-35-2 CAPIUS 1H-Indole-1-carboxylic acid, 4-(5-oxo-4-propyl-2-morpholinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

ANSWER 50 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 12 May 1984

AB Twenty-five pyridooxazin-3-one derivs. (I; R = H, Me, Et, Ph; R1 = H, Me)
were synthesized by three different methods, and obtained as
hydrochlorides. The local anesthetic activity of I was inferior to that
of cocaine or lidocaine and their analysis activity was approx. equivalent to
of cocaine or lidocaine and their analysis activity was approx. equivalent to
of cocaine or lidocaine and their analysis activity was approx. equivalent to
of cocaine or lidocaine and their analysis activity was approx. equivalent to
of cocaine or lidocaine activity of lidocaine activity was approx. equivalent to
of cocaine or lidocaine activity of lidocaine activity of

86267-29-0 CAPLUS 2H-Pyrido[3,2-b]-1,4-oxazin-3(4H)-one, 4-[3-(dipropylamino)-2-hydroxypropyl]-2-phenyl- (9CI) (CA INDEX NAME)

L7 ANSWER 50 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

86267-30-3 CAPLUS
2H-Pyrido[3,2-b]-1,4-oxazin-3(4H)-one, 4-[2-hydroxy-3-(1-pyrrolidiny1)propy1]-2-phenyl- (9CI) (CA INDEX NAME)

86267-31-4 CAPLUS 2H-Pyrido(3,2-b)-1,4-oxazin-3(4H)-one, 4-[2-hydroxy-3-(1-piperidinyl)propyl)-2-phenyl- (9CI) (CA INDEX NAME)

86267-32-5 CAPLUS

ANSWER 51 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 12 May 1984 $^{\circ}$

AB The title compds. I (X = 0, S, (CH2)n (where n = 0, 1, 2), CO, imino; R = H, (substituted) alkyl, aryl; Rl = H, Me] were prepared Thus, stirring a mixture of 3.1 g trans-He(CH2)5COCH:CHCO(CH2)7COCH (II), 40 mL CHCl3, 1.1 g Et3N, 1.5 g clCOCCH2CHCMP4, and 1.3 g 1-benzylpiperazine at room temperature overnight gave 3.90 g trans-I (X = benzylpimino, R = Rl = H). I had higher anti-tumor and blood platelet aggregation-inhibiting activities than II. ACCESSION NUMBER: 1983:179423 CAPLUS DOCUMENT NUMBER: 99:179423 CAPLUS OCCUMENT NUMBER: 99:179423 CAPLUS SOURCE: Nippon Shinyaku Co., Ltd., Japan JDIN. Kokai Tokkyo Koho, 5 pp. CODEN JOXXAF

DOCUMENT TYPE: Patent JOXXAF

DOCUMENT TYPE: Patent JOXXAF

PATENT INFORMATION:

PATENT NO. APPLICATION NO.

JP 1981-104629

JP 1981-104629 KIND DATE JP 58008062
PRIORITY APPLN. INFO.:
OTHER SOURCE (5):
IT 85462-39-1P A2 19830118 CASREACT 98:179423

65462-39-1P
RL: BAC (Biological activity or effector, except adverse): BSU (Biological
study, unclassified): SPN (Synthetic preparation): THU (Therapeutic use):
BIOL (Biological study): PREP (Preparation): USES (Uses)
(preparation and pharmacol. activities of)
85462-39-1 CAPLUS
Morpholine, 2-phenyl-4-(1,9,12-trioxo-10-octadecenyl)-, (E)- (9CI) (CA
INDEX NAME)

Double bond geometry as shown.

ANSWER 50 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2H-Pyrido[3,2-b]-1,4-oxazin-31(4H)-one, 4-[2-hydroxy-3-(4-morpholiny1)propy1]-2-phenyl- (9CI) (CA INDEX NAME)

L7 ANSWER 51 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 52 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 12 May 1984

AB Reductive amination of 4-R1C6H4COCH2OAc (R1 = H, Br, C1, MeO) with HOCH2CH2NHR (R = Me, Et, Pr, CHMe2, Bu, CH2Ph) and HCO2H according to the Leuckart-Wallach reaction gave morpholines I. The intermediates of the reaction were discussed. 4-R1C6H4COCH2X (X = halo) reacted analogously.

ACCESSION NUMBER: 1992:544826 CAPLUS

DOCUMENT NUMBER: 97:144826

New method for the synthesis of 2,4-disubstituted morpholines.

AUTHOR(S): Yordanova, K.; Shvedov, V.; Dantchev, D.

CORPORATE SOURCE: Pharm. Fak., Med. Akad., Sofia, 1000, Bulg. Chemische Berichte (1992), 115(7), 2635-42

CODEN: CHBEAM; ISSN: 0009-2940

DOCUMENT TYPE: German

DOCUMENT TYPE: LANGUAGE:

DOCUMENT TYPE: JOURNAL LANGUAGE: German OTHER SOURCE(S): CASREACT 97:144826 IT 21532-11-6P 21532-12-7P 23972-47-6P 23972-48-7P 23980-51-0P 23980-57-6P 83081-11-2P 83081-12-3P 93081-13-4P 83081-24-7P 83081-25-8P

83081-24-TP 83081-25-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by Leuckart-Wallach alkylation of (alkylamino)ethanol with
acetoxyacetophenone)
21532-11-6 CAPLUS
Morpholine, 2-phenyl-4-propyl- (8CI, 9CI) (CA INDEX NAME)

21532-12-7 CAPLUS Morpholine, 4-butyl-2-phenyl- (8CI, 9CI) (CA INDEX NAME)

ANSWER 52 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Morpholine, 4-butyl-2-phenyl-, hydrochloride (8CI, 9CI) (CA INDEX NAME)

HC1

83081-11-2 CAPLUS Morpholine, 2-(4-bromophenyl)-4-butyl- (9CI) (CA INDEX NAME)

83081-12-3 CAPLUS
Morpholine, 2-(4-bromophenyl)-4-butyl-, hydrochloride (9CI) (CA INDEX
NAME)

83081-13-4 CAPLUS Morpholine, 2-(4-bromophenyl)-4-butyl-, (22)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 83081-11-2 CMF C14 H20 Br N O

L7 ANSWER 52 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

23972-47-6 CAPLUS Morpholine, 4-butyl-2-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

23972-48-7 CAPLUS
Morpholine, 4-butyl-2-(4-chlorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HC1

23980-51-0 CAPLUS
Morpholine, 2-phenyl-4-propyl-, hydrochloride (8CI, 9CI) (CA INDEX NAME)

n-Pr

23980-57-6 CAPLUS

ANSWER 52 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) L7

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

83081-24-7 CAPLUS Morpholine, 4-butyl-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

83081-25-8 CAPLUS Morpholine, 4-butyl-2-(4-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HC1

L7 ANSWER 53 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
AB erythro- And threo-PhCR(OH)CHMeCH2NRIR2 (I, R = H, Et; R1 = H, R2 = CO2Ph, CONH2, Ac, Me; R1 = Et, R2 = CO2Ph, CONH2; R1 = R2 = Me; R1R2 = (CH2)5, CH2CH2OCHMeCHPh] were separated by fractional crystallization and chromatog.
on silica
or alumina. Derivs. PhCR(OR3)CHMeCH2NRIR2 (R3 = CO2Ph, CONH2, Ac, Me) were also prepared NMR showed that I favored the erythro-axial conformation and their O-substituted derivs. retain the preference for conformations with gauche H-atoms.

ACCESSION NUMBER: 1882:199199 CAPLUS
DOCUMENT NUMBER: 96:199199
TITLE: (dialkylaminolpropanols and O-substituted derivatives Spacy. S.: Avramova, P.: Palamareva, M.
CORRORATE SOURCE: Inst. Org. Chem., Sofia, Bulg.
323(5), 793-800
CODENT TYPE: Journal Liver Praktische Chemie (Leipzig) (1981), 323(5), 793-800
CODENT TYPE: Journal Liver Praktische Chemie (Leipzig)
DOCUMENT TYPE: Journal Liver Praktische Chemie (Leipzig)
CORDENT SOURCE(S): CASREACT 96:199199

IT 66064-06-00 CAPLUS

N 65064-06-0 CAPLUS

L7 ANSWER 54 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HCl

RN 74686-85-4 CAPLUS CN Carbonic acid, 2-methyl-3-(3-methyl-2-phenyl-4-morpholinyl)-1-phenylpropyl phenyl ester, hydrochloride (9CI) (CA INDEX NAME)

• HC1

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L7 ANSWER 54 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984

Ph Me

O NCH2CHMeCOPh @ HCl

II

AB Pharmacol. expts. in cats, mice, and rats indicated that
2-methyl-3-(2-phenyl-3-methyltetrahydrooxazino)prophenone-HCl (I) {
66063-96-3) and 1-(phenoxycarboxy)-1-phenyl-2-methyl-3-(2-phenyl-3-methyltetrahydrooxazino)propane-HCl (II) {
66063-96-3) and 1-(phenoxycarboxy)-1-phenyl-2-methyl-3-(2-phenyl-3-methyltetrahydrooxazino)propane-HCl (II) {
66063-96-3) and 1-(phenoxycarboxy)-1-phenyl-2-methyl-3-(2-phenyl-3-methyltetrahydrooxazino)propane-HCl (II) {
66063-96-3 (APLUS)
DOCUMENT NUMBER: 93:107096
AUTHOR(S):
CORPORATE SOURCE: Med. Akad., Sofia, Bulg.
CORPORATE SOURCE: Med. Akad., Sofia, Bulg.
DOCUMENT TYPE: Journal
LANGUAGE: Med. Akad., Sofia, Bulg.
COBEN: ENDOAS; ISSN: 0367-0643
DOCUMENT TYPE: Journal
Bulgarian .

T1 66063-96-5 74686-85-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. of)
RN 66063-96-5 CAPLUS
NAME)
```

```
Ph
Me
I, RR1= 0, HC1
NCH2CHMeCPhRR1 II, R=H, R1= 02COPh
III, R=H, R1=02CNH2

AB Several synthetic carbonic and carbamic acid esters showed potent antidepressant activity in antireserpine test in mice. These compds. also showed central nervous system stimulatory activities. PS-1 (I) {
65064-01-5] reversed the reserpine-induced hypothermia, whereas I, PS-4 (65064-06-0), and II effectively antagonized reserpine-induced ptosis in mice. The antireserpine activity of these esters was, in some cases, stronger than impramine. The esters were administered i.p., at doses 200-400 mg/kg body weight Toxicities included tetanic convulsions and death within 4-18 min after injection of a LD.
ACCESSION NUMBER: B8:164048 CAPLUS
DOCUMENT NUMBER: B8:164048 CAPLUS
SOURCE: Chair Pharm. Org. Chem. Sofia, Bulg.
TITLE: Synthesis, pharmacologic and toxicologic study of carbonic and carbamic acid esters. Part 1
AVERMOVA, P.: Dryanovska, L.: Ilarionov, Y. Chair Pharm. Org. Chem. Sofia, Bulg.
DOCUMENT TYPE: Journal English
TO 65063-96-5 66064-00-4 65064-01-5
65064-08-00
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(antidepressant activity and toxicity of)
NHOW CHAIR CAPLUS
CN 1-Propanone, 2-methyl-3-(3-methyl-2-phenyl-4-morpholinyl)-1-phenyl-, hydrochloride (9CI) (CA INDEX NAME)
```

HC1

RN 66064-00-4 CAPLUS
CN Carbonic acid, 2-methyl-3-(3-methyl-2-phenyl-4-morpholinyl)-1-phenylpropyl
phenyl ester (9C1) (CA INDEX NAME)

ANSWER 55 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

CH2-CH-CH-O-C-OPh

4-Morpholinepropanol, β,3-dimethyl-α,2-diphenyl-, carbamate (ester) (9CI) (CA INDEX NAME)

66064-06-0 CAPLUS 4-Morpholinepropanol, β,3-dimethyl-α,2-diphenyl- (9CI) (CA INDEX NAME)

L7 ANSWER 57 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
Morpholinones I (R = Cl-4 alkyl, Ph, CH2Ph, cyclohexyl; R1 = Me, Et, Ph)
were prepared by cyclizing R1CH(OH)CH2NHR with ClCH2CO2Et. R1CH(OH)CH2NHR
were prepared by treating the epoxides II with RNH2. The insecticidal activity of I was low.
ACCESSION NUMBER: 1975:72896 CAPLUS
DOCUMENT NUMBER: 1975:72896 CAPLUS
EXCEPTION OF ACTION OF A PROPERTY AND A PROPERTY OF A PROPER

Preparation of 2-morpholinones and their biological activities

AUTHOR (S):

activities
Tawaa, Shinkichi; Eto, Morifusa; Maekawa, Kazuyuki
Fac. Agric., Kyushu Univ., Fukuoka, Japan
Journal of the Faculty of Agriculture, Kyushu
University (1974), 18(4), 253-68
CODEN: JFAKAU; ISSN: 0023-6152
Journal CORPORATE SOURCE: SOURCE:

UAGE: English 55475-08-6P 55475-10-0P 55475-11-1P

55475-12-2P

55475-12-2P
RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): BIOL (Biological study): PREP (Preparation)
(preparation and insecticidal activity of)
55475-08-6 CAPLUS
2-Morpholinone, 6-phenyl-4-propyl- (9CI) (CA INDEX NAME)

DOCUMENT TYPE:

55475-10-0 CAPLUS 2-Morpholinone, 4-butyl-6-phenyl- (9CI) (CA INDEX NAME)

55475-11-1 CAPLUS 2-Morpholinone, 4-(2-methylpropyl)-6-phenyl- (9CI) (CA INDEX NAME)

Page 6827/06/2005

L7 ANSWER 56 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.

4-Substituted-2, 3-Dihydro-1, 4-benzoxazine-3-ones (I) with an aminoalkyl or hydroxyalkyl group on the N were prepared and tested for analgesic activity in mice. I with a propanediol group had analgesic activity, white an aminoalkyl group on the N had little or no activity. The most interesting compound tested was I, R = CH2CHHCOH2OH, R1 = Me, R2 = R3 = H, R4 = CI [52042-24-7].

ACCESSION NUMBER: 84:12313 CAPLUS DOCUMENT NUMBER: 84:12313

DOCUMENT NUMBER: TITLE:

1976:12313 CAPLUS
B4:12313
B4:12313
Synthesis and pharmacological activity of
4-substituted-2,3-dihydro-1,4-benzoxazin-3-ones
Thuillier, Germaine: Laforest, Jacqueline: Bessin,
Pierre: Bonnet, Jacqueline: Thuillier, Jean
Cent. Rech. Pharmacol. Albert Roland, Chilly-Mazarin,
Fr.
European Journal of Medicinal Chemistry (1975), 10(1),
37-42
CODEN: EJMCA5; ISSN: 0223-5234
Journal
French
CASREACT 84:12313 AUTHOR (S):

CORPORATE SOURCE:

CODEN: EJMCA5; ISSN: 0223-5234

DOCUMENT TYPE: JOURNAL
LANGUAGE: French
OTHER SOURCE(S): CASREACT 84:12313

R1: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(USes)

(uses)
(analgesic activity of)
57462-98-3 CAPLUS
2H-1,4-Benzoxarin-3(4H)-one, 6-chloro-4-(2,3-dihydroxypropyl)-2-phenyl(9CI) (CA INDEX NAME)

ANSWER 57 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 55475-12-2 CAPLUS 2-Morpholinone, 4-(1-methylpropyl)-6-phenyl- (9CI) (CA INDEX NAME)

55475-35-9P 55475-37-1P 55492-84-7P IT

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 55475-35-9 CAPLUS

2-Morpholinone, 6-phenyl-4-propyl-, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 55475-08-6 CMF C13 H17 N O2

CM 2

55475-37-1 CAPLUS 2-Morpholinone, 4-(2-methylpropyl)-6-phenyl-, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 55475-11-1 CMF C14 H19 N O2

CRN 88-89-1 CMF C6 H3 N3 O7

L7 ANSWER 57 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

i-Bu

CM 2

CRN 88-89-1

CMF C6 H3 N3 O7

O2N

NO2

NO2

RN 55492-84-7 CAPLUS

CN 2-Morpholinone, 4-(1-methylpropyl)-6-phenyl-, compd. with 2, 4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 55475-12-2

CMF C14 H19 N O2

Me

CH Et

N

CM 2

L7 ANSWER 58 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 12 May 1984

AB DL-2-Amino-1-phenylpropanol reacted with N-benzyloxy-carbonyl-L-aspartic acid a-p-nitrophenyl β-benzyl ester in DMF at room temperature to give benzyl 3-benzyloxycarbonylamino-N-DL-(1-methyl-2-hydroxyphenethyl)-L-succinamate, which was hydrogenated in MeOH containing Pd to yield 3-amino-N-dL-(1-methyl-2-hydroxyphenethyl)-L-succinamic acid. Similarly, apprx.48 addnl. compds. were prepared with sweetening and antiinflammatory activity.

ACCESSION NUMBER: 1974:146537 CAPLUS

DOCUMENT NUMBER: 80:146537

TITLE: 3-Amino-N-substituted succinamic acids and intermediates 1974:146537 CAPLUS
80:146537 CAPLUS
80:146537
3-Amino-N-substituted succinamic acids and intermediates
Mazur, Robert H.; Schlatter, James M.; Goldkamp,
Arthur H.
G.D. Searle and Co.
U.S., 8 pp.
CODEN: USXXAM INVENTOR (S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: A Al Al A PATENT NO. DATE APPLICATION NO. DATE US 3803223 US 442431 US 4011260 US 4025551 PRIORITY APPLN. INFO.: 19740409 19760323 19770308 19770524 19700720 19740214 US 1970-56753 US 1974-442431 US 1975-642890 US 1968-704229 US 1970-56753 US 1974-442431 19751222 A2 19680209 A2 19700720 A2 19740214 US 1974-442431 A2 19740214

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
25353-93-99 CAPLUS
4-Morpholinebutanoic acid, 3-methyl-y-oxo-2-phenyl-β[[(phenylmethoxy)carbonyl]amino]-, phenylmethyl ester (9CI) (CA INDEX NAME) IT

RN 27842-06-4 CAPLUS CN 4-Morpholinebutanoic acid, B-amino-3-methyl-y-oxo-2-phenyl-(9CI) (CA INDEX NAME) L7 ANSWER 57 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued O2N NO2 OH NO2 .

L7 ANSWER 58 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L7 ANSWER 59 OF 87 CAPLUS COPYRIGHT 2005 ACS ON STN

ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
A Morpholine derivs. I (R = 3-pyridyl, 5-thiazolyl, p-ClC6H4OCMe2) were prepared by treating 3-methyl-2-phenylmorpholine with RCOC1. I are hypocholesteremics and appetite depressants.

ACCESSION NUMBER: 1974:48010 CAPLUS

BOCUMENT NUMBER: 80:48010

TITLE: Morpholine derivatives
Dynachim S.a r.l.
For Demande, 16 pp.

COODE: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE FR 2168139 A1 19730831 FR 1972-1441 19720117
PRIORITY APPLN. INFO.: FR 1972-1441 A 19720117
IT 37435-07-P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37435-07-7 CAPLUS
CN Morpholine, 4-12-(4-chlorophenoxy)-2-methyl-1-oxopropyl]-3-methyl-2-phenyl(9CI) (CA INDEX NAME)

ANSWER 60 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HCl

L7 ANSWER 60 OF 87 CAPLUS COPYRIGHT 2005 ACS ON STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB Eight oxazines (I, R = H, Bu, or CH2Ph: R1 = CO2Et, CO2Me, CO2H, or CH2OH) and (or) their hydrochlorides were prepared from PhCH:CHCO2R2 (R2 = Me or E1) by treatment with HOCH2CH2C1 and Me3COBs to give R2OZCCHBFCHPHOCH2CH2C1 and reaction of these with RNH2 (R = Bu or CH2Ph), optionally followed by hydrogenolytic cleavage of the benzyl group, hydrolysis of I (R1 = CD2R2) to give the acids, and reduction of these to give I (R1 = CH2OH).

ACCESSION NUMBER: 1974:27266 CAPLUS
DOCUMENT NUMBER: 80:27266
TITLE: Tetrahydro-2-phenus 1974:27266 CAPLUS
80:27266 Tetrahydro-2-phenyl-1,4-oxazines
Mauvernay, Roland Y.; Bush, Norbert; Simond, Jacques;
Monteil, Andre: Moleyre, Jacques
Centre Europeen de Recherches Mauvernay
Ger. offen., 14 pp.
CODEN: GXXBX
Patent
German

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: German 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2318024	A1	19731031	DE 1973-2318024	19730410
FR 2179578	A1	19731123	FR 1972-12892	19720413
GB 1411666	A	19751029	GB 1973-17718	19730412
IORITY APPLN. INFO.:			FR 1972-12892 F	19720413
50784-43-5P 50784-5	50-4P			

S0784-43-39 50704-30-04 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 50784-43-5 CAPLUS 3-Morpholinecarboxylic acid, 4-butyl-2-phenyl-, methyl ester (9CI) (CA INDEX NAME)

50784-50-4 CAPLUS 3-Morpholinecarboxylic acid, 4-butyl-2-phenyl-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 61 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
G1 For diagram(s), see printed CA Issue.
AB the title compds. (I), antidepressants, were prepared by oxidizing the corresponding ales. E.g., 4-{4-(p-(p-(lucrophenyl)-4-hydroxybutyl)morpholine in C6H6 was stirred with MnO2 to give I (R = F, X = H). Among 7 more I similarly prepared were the following (R and X given): Cl, H: OMe, H: H, 3-Me; H, 2,6-Me2; H, 2,6-Ph2.
ACCESSION NUMBER: 1973:16196 CAPLUS
DOCUMENT NUMBER: 1973:16196
NORTHOLING HEAD AND A STATE OF TABLE OF TA

78:16196
Morpholine derivatives
Yamamoto, Hisao; Nakao, Masaru; Sasajima, Kikuo;
Maruyama, Isamu; Katayama, Shigenari
Sumitomo Chemical Co., Ltd.
Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
Patent INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: Patent

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE

19691212

L7 ANSWER 62 OF 87 CAPLUS COPYRIGHT 2005 ACS ON STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB The morpholide (1) was prepared by treating 3-methyl-2-phenylmorpholine with p-C1C6H40CMe2COL and EL3N.
DOCUMENT NUMBER: 1972:501634 CAPLUS
TITLE: 77:101634 Phenoxyalkanoyl morpholides
INVENTOR(s): Aries, Robert
SOURCE: FI.. 13 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE DATE PR 2094499 19720310 FR 1970-23209 19700623
37435-07-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
37435-07-7 CAPULS
Morpholine, 4-[2-[4-chlorophenoxy]-2-methyl-1-oxopropyl]-3-methyl-2-phenyl-(9CI) (CA INDEX NAME)

ANSWER 63 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

36163-29-8 CAPLUS Morpholine, 4-heptyl-2-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

36163-30-1 CAPLUS Morpholine, 4-(3-methylbutyl)-2-[3-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 63 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
G For diagrams(a), see printed CA Issue.
AB The title compds. (I, R = allyl, Bu, iso-Bu, isopentyl, C7H15, cyclohexyl, or PhCH2) were prepared (Fr. P.V. 142,274) and pharmacol. activity tested on mice and rats. They were effective in daily doese of 2-50 mg, preferably 10 mg for adults, and can be administered orally in the usual solid pharmaceutical compons.

ACCESSION NUMBER: 1972:144845 CAPLUS
DOCUMENT NUMBER: 76:144845

TITLE: Tranquilizing, analgesic, and antiinflammatory 4-substituted 2-[(3-trifluoromethyl)phenyl]tetrahydro-

INVENTOR (S):

4-substituted 2-[(3-trifluoromethyl)phenyl]tet 1,4,-oxazines Mauvernay, Roland Y.; Busch, Norbert; Moleyre, Jacques; Simond, Jacques Centre Europeen de Recherches Mauvernay Fr. M., 11 pp. CODEN: FROXAJ

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE FR 7745 19700 26629-95-B 36163-28-7 36163-29-8 19700309 FR 1968-173894 19681115

26629-95-8 36163-28-7 36163-29-8 36163-30-1 RL: BIOL (Biological study) (pharmaceutical) 26629-95-8 CAPLUS Morpholine, 4-butyl-2-{3-(trifluoromethyl)phenyl}- (9CI) (CA INDEX NAME)

36163-28-7 CAPLUS Morpholine, 4-(2-methylpropyl)-2-{3-(trifluoromethyl)phenyl}- (9CI) (CA INDEX NAME)

L7 ANSWER 64 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 12 May 1984

AB Dihydropyrido[3,2-b]-1,4-oxazines were prepared Reactions of these compds. with alkylating agents, LiAlH4, Br. CuCN, and phosphorus sulfide were investigated.

ACCESSION NUMBER: 1972:34186 CAPLUS

DOCUMENT NUMBER: 76:34186 Reactions of 3,4-dihydro-2H-pyrido[3,2-b]-1,4-oxazines

AUTHOR(\$): Clauson-Kaas, Niels; Lei, Joergen: Heide, Henning

Farum, Den.

ACTA Chemica Scandinavica (1947-1973) (1971), 25(8), 3135-43 1972:34186 CAPLUS
76:34186 PROPERTY OF THE PRO

DOCUMENT TYPE: Journal LANGUAGE: English
IT 34950-60-2P 34950-68-0P 34950-69-1P 34950-77-1P

34950-77-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
34950-60-2 CAPLUS
4H-Pyrido[3,2-b]-1,4-oxazine-4-propanamine, 2,3-dihydro-N,N-dimethyl-2,2-diphenyl-, dihydrochloride (9CI) (CA INDEX NAME)

34950-68-0 CAPLUS 2H-Pyrido[3,2-b]-1,4-oxazin-3(4H)-one, 4-[3-(dimethylamino)propyl]-2,2-diphenyl-, monchydrochloride (9CI) (CA INDEX NAME)

Me2N- (CH2) 3

● HC1

.
34950-69-1 CAPLUS
2H-Pyrido[3,2-b]-1,4-oxazin-3(4H)-one, 4-[3-(dimethylamino)propyl]-2,2-diphenyl- (9CI) (CA INDEX NAME)

L7 ANSWER 64 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

Me2N- (CH2) 3

34950-77-1 CAPLUS 2H-Pyrido[3,2-b]-1,4-oxazin-3-ol, 4-[3-(dimethylamino)propyl]-3,4-dihydro-2,2-diphenyl- (9CI) (CA INDEX NAME)

(Continued)

Me2N- (CH2) 3

L7 ANSWER 66 OF 87 CAPLUS COPYRIGHT 2005 ACS ON STN
ED Entered STN: 12 May 1984
GI FOR diagram(s), see printed CA Issue.
AB Title compds (1) with antiphlogistic, antipyretic, and analgesic activation of the compds of the compd

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	DE 2044530	A	19710819	DE 1970-2044530	19700909
	SU 430553	D	19740530	SU 1970-1482213	19701007
	SU 437300	Ð	19740725	SU 1970-1754059	19701007
	FR 2079223	A5	19711112	FR 1970-40233	19701109
	CH 555356	A	19741031	CH 1970-17904	19701203
RI	ORITY APPLN. INFO.:			DD 1970-145276 A	19700204

RITY APPLN. INFO.:
33980-23-9 (APPLUS)
2H-1,4-Benzoxazin-3(4H)-one, 4-[3-(dimethylamino)propyl]-2-phenyl- (8CI)
(CA INDEX NAME)

L7 ANSWER 65 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
B I (R = H, Me, 4-ClC6H4, or Ph; Rl = Me2NCH2CH2, 2-piperidinoethyl, or a similar aminoalkyl group; R2 = H or Cl), useful as antispasmodics, antipyrettics, and analgesics, are prepared Thus, 2,3-dihydro-1,4-benzoxazin-3-one, NaNH2, Me2NCH2CH2Cl, and xylene are refluxed to prepare I (R = R2 = H, R1 = Me2NCH2CH2CL).
ACCESSION NUMBER: 76:14553 CAPLUS
DCCUMENT NUMBER: 76:14553
ETITLE: Basically substituted 2,3-dihydro-1,4-benzoxazin-3-ones

ones Zschiedrich, Johannes; Thomas, Eckhard Ger. (East), 3 pp. CODEN: GEXXA8 INVENTOR (S): SOURCE:

DOCUMENT TYPE: LANGUAGE: Patent German

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 19700204 DD 79293 34867-33-9P 19710120 · DD

Steel-19-5F
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
34867-33-5 CAPLUS
286-1, 4-Benzoxazin-3(4H)-one, 6-chloro-4-(3-(dimethylamino)propyl]-2-phenyl(9C1) (CA INDEX NAME)

L7 ANSWER 67 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
BY The title compds. (1) were prepared by reaction of a primary ammine (RNH2)
with 2-[3-(trifluoromethy])-phenyl]-2-(2-chloroethoxy)-1-bromoethane (II).
Thus, n-hexylamine reacted with II to give 2-13-(trifluoromethyl)phenyl]-4hexyltetrahydro-1,4-oxazine. Similarly were prepared I '(R = pentyl, Pr, and
phenethyl)1. The results of pharmacodynamic tests are given.
ACCESSION NUMBER:
DOCUMENT NUMBER:
171:LE:
Tranquillizing, antiinflammatory, and analgesic
2-(3-trifluoromethyl)phenyl]-4-alkyltetrahydro-1,4-

75:88619
Tranquillizing, antiinflammatory, and analgesic
2-[(3-trifluoromethyl)phenyl]-4-alkyltetrahydro-1,4-oxazines
Mauvernay, Roland Y.; Busch, Norbert; Moleyre,
Jacques; Simond, Jacques
Centre Europeen de Recherches Mauvernay
Fr. Demande, 10 pp.
CODEN: FRXXBL
Patent

INVENTOR (S):

PATENT ASSIGNEE (S):

DOCUMENT TYPE: LANGUAGE:

LANGUAGE: FAMILY ACC, NUM. COUNT: 1 PATENT INFORMATION:

MIND DATE APP 19710122 FR PATENT NO. .APPLICATION NO. DATE 19690219 FR 2035753 33743-97-4P 33743-98-5P 33743-99-6P

33743-97-4F 33743-98-5F 33743-99-6F REP (Preparation) (PREP (Preparation) (preparation of) 33743-97-4 CAPLUS (Morpholine, 4-hexyl-2-(\alpha,a-trifluoro-m-tolyl) - (8CI) (CA INDEX NAME)

33743-98-5 CAPLUS Morpholine, 4-pentyl-2- $\{\alpha,\alpha,\alpha-trifluoro-m-tolyl\}$ - (8CI) (CA INDEX NAME)

ANSWER 67 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN 33743-99-6 CAPLUS Morpholine, 4-propyl-2- $\{\alpha,\alpha,\alpha-\text{trifluoro-m-tolyl}\}$ - (8CI) (CA INDEX NAME)

ANSWER 68 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L7 ANSWER 68 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
EN Entered STN: 12 May 1984
G1 For diagram(s), see printed CA Issue.
AB The muscle relaxant reactivity of 3-morpholinones I (R = 0) is observed in rats and mice. 3-Morpholinones, I (R = 0), and 3-morpholinenthiones, I (R = 0), and 3-morpholinenthione of 6-aryl-4H-1, 4-oxazin-3(2H)-ones (II).

ACCESSION NUMBER: 1911:100071 CAPLUS
DOCUMENT NUMBER: 74:100071
TITLE: 3-Morpholinone and 3-morpholinethione derivatives as muscular relaxants and tranquilizers
MCMCEL SUGRES: FI.M., I6 pp.
CODEN: FMXMAJ
DOCUMENT TYPE: Patent

DOCUMENT TYPE: PRILEMENT TYPE: Patent French

16187-71-6 CAPLUS 3-Morpholinone, 4-butyl-5-methyl-6-phenyl- (8CI) (CA INDEX NAME)

16187-72-7 CAPLUS 3-Morpholinone, 4-butyl-6-phenyl- (8CI, 9CI) (CA INDEX NAME)

L7 ANSWER 69 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB The tranquilizing title salts (I) were prepared Thus, refluxing III and
2-(p-fluorophenyl)-2-(3-chloropropyl)-1,3-dioxolane 16 hr in BuOH containing
K2CO3 and treating the product with oxalic acid hydrate gave 28 I (R = F,
n = 3). I (R = H, n = 2) was similarly prepared
ACCESSION NUMBER: 1971:88004 CAPLUS
COURDENT NUMBER: 14:88004
4-[1-(e-Benzoylalkyl)-3-pyrrolidinyl]-2H-1,4-benzoxazin-14(H)-one oxalates
INVENTOR(S): Helsley, Grover C.
APTENT ASSIGNEE(S): A. H. Robins Co., Inc.
Ger. Often. 12 pp.
CODEN: GMXXBX
POCUMENT TYPE:
LANGUAGE: WIN CODEN:
GERMAN

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2033641	A	19710114	DE 1970-2033641	19700707
US 3699105	А	19721017	US 1969-839705	19690707
GB 1307590	A	19730221	GB 1970-32427	19700703
ES 381458	A1	19721116	ES 1970-381458	19700704
ZA 7004609	A	19710331	ZA 1970-4609	19700706
FR 2059486	A5	19710604	FR 1970-25006	19700706
FR 2059486	В1	19741011		
CH 527214	A	19720831	CH 1970-527214	19700706
CA 954860	A1	19740917	CA 1970-87561	19700707
JP 49046317	B4	19741209	JP 1970-58806	19700707
PRIORITY APPLN. INFO.:			US 1969-839705 F	19690707
	4-Benzox	azin-3(4H)-	one, 4-{3-(2,5-dimethyl	-1-

30914-96-6P, 2H-1, 4-Benzoxazin-3(4H)-one, 4-[3-(2,5-dimethyl-1-pyrrolidinyl)propyl}-2-phenyl- 30914-98-8P, 2H-1, 4-Benzoxazin-3(4H)-one, 4-[5-(2,5-dimethyl-1-pyrrolidinyl)pentyl]-2-phenyl-RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of 100014-96-6 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[3-(2,5-dimethyl-1-pyrrolidinyl)propyl]-2-phenyl- (9CI) (CA INDEX NAME)

30914-98-8 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[5-(2,5-dimethyl-1-pyrrolidinyl)pentyl]-2-phenyl- (9CI) (CA INDEX NAME)

L7 ANSWER 69 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 70 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 26629-95-8 CAPLUS (Mocpho-196, 4-buty).-2-[3-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

E7 ANSWER 70 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
Entered STN: 12 May 1984
For diagram(s), see printed CA Issue.
AB The title compds. (I) are prepared Thus, 1040 ml CHCl3 containing 426 g
ClCHZCHZOCH:CH2 at - 10° treated dropwise with stirring with 640 g
Br gave 65\ ClCHZCHZOCHECHZBER (II), bl3 102°, n230 1.305. Anhydrous
Et20 (1500 ml) containing m-F3CCEH4MgBr (from 48.6 g Mg and 455.7 g
m-F3CCEH4Br) refluxed gently with stirring and treated dropwise with 550 g
II 300 ml anhydrous Et20 and the mixture refluxed 2 hr gave 54\,
ClCHZCHZOCH(GEHGET)=m(CHZBr (III), bl. 198°, n200 1.4870, 95\ pure
material. PhMe (100 ml) containing 33.15 g III and 20 g iso-PrNH2 autoclaved
at 100° gave 50\ I R = iso-Pr), b3 9°, n240 1.4751; HCl
salt m. 164°. Similarly were produced the corresponding 4-allyl.
4-cycloheavyl, 4-benzyl, and 4-butyl derivs. characterized by their HCl
salts.
ACCESSION NUMBER: 1970:79065 CAPLUS
DOCUMENT NUMBER: 72:78065 1970:79065 CAPLUS
72:79065
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72:79065
72: DOCUMENT NUMBER: TITLE: INVENTOR (S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. DATE APPLICATION NO. DATE FR 1564792 19690425 FR
DE 1910477 DE
GB 1221734 GB
US 3637680 19720000 US
26629-94-7P 26629-95-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
26629-94-7 CAPUIS
Morpholine, 4-butyl-2-(a,a,a-trifluoro-m-tolyl)-,
hydrochloride (8CI) (CA INDEX NAME) 19680304

L7 ANSWER 71 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
f For diagram(s), see printed CA Issue.
AB Derivs. of amphetamine and phenmetrazine (I) were prepared for anal. by gas chromatog. with electron capture (EC) detection. N-Trichloroacetyl derivs. had favorable properties in terms of high EC- response, narrow and sym. peak shape, and facile formation. Mass spectra of derivs. of amphetamine, methamphetamine, p-hydroxyamphetamine, I, Me phenidate, chlorphentermine, and diethylpropion were record ed with a combined gas chromatograph mass spectrometer (GC-MS). The preparation of derivs. was of advantage since the free amines show tailing peaks on the phases which can be used with GC-MS. Also, the mass spectrum of the derivative frequently assumed a more complex character giving more structural information and facilitating pos. identification.

ACCESSION NUMBER:

1970-66075 CAPLUS
DOCUMENT NUMBER:

22:66075
DERIVATIVE:

DERIVATIVE SOURCE:

DERIVATIVE

UAGE: English
27765-83-9
RL: PRP (Properties)
(mass spectrum of)
27765-83-9 CAPLUS
Morpholine, 3-methyl-2-phenyl-4-propionyl- (8CI) (CA INDEX NAME)

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L7 ANSWER 72 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
B The title compds. RRINCOCH(NN2)CH2CO2H (I) are used as sweetening and as antiinflammatory agents. To a solution of 17.85 parts N-benzyloxycarbonyl-lasparaginic acid 6-benzyl ester and 5.9 volume parts N-methylmorpholine in 76.5 parts anhydrous tetrahydrofuran at -20° 7 volume parts iso-BuOZCCI was added dropwise, the mixture stirred 5 min, cooled to -30°, 8.25 volume parts dl-1,4-dimethylpentylamine added dropwise at -10 to -15°, and the mixture kept 16 hr at 5° and worked up to give 3-benzyloxycarbonylamino-N-dl-1',4'-dimethylpentyl-1-succinamic acid benzyl ester, n. 90-103', [a] -7° (MeOR). A solution of 4.33 parts dl-2-amino-1-phenylpropanol in 22.5 parts HCONNe2 was stirred a few min with 15.06 parts N-benzyloxycarbonyl-1-asparaginic acid o-p-nitrophenyl 3-benzyl diester and the mixture left 16 hr at room temperature and worked up to give 3-benzyloxycarbonylamino-N-(dl-1-methyl-2-hydroxyphenethyl)-1-succinamic acid benzyl ester, 0.5 parts 3-benzyloxycarbonylamino-N-(dl-1-methyl-2-hydroxyphenethyl)-1-succinamic acid benzyl ester, 0.5 parts 9-benzyloxycarbonylamino-N-(dl-1-methyl-2-hydroxyphenethyl)-1-succinamic acid benzyl este
   72:44126
N3- and N4-Substituted 3-aminosuccinamic acid
derivatives
     TITLE:
                                                                                                                 derivatives
Mazur, Robert H.; Goldkamp, Arthur H.; Schlatter,
James H.
G.D. Searle and Co.
Ger. Offen., 54 pp.
CODEN: GWXXBX
    INVENTOR (S):
    PATENT ASSIGNEE(S):
SOURCE:
     DOCUMENT TYPE:
LANGUAGE:
                                                                                                                    Patent
     FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                                                                                                                                                                                                                               DATE
                            PATENT NO.
                                                                                                                   KIND
                                                                                                                                                DATE
                                                                                                                                                                                                      APPLICATION NO.
                                                                                                                                                19690828
19690926
19730713
19710415
19710415
                                                                                                                                                                                                       DE 1969-1906048
FR 1969-2910
                                                                                                                                                                                                                                                                                                               19690207
19690207
                          DE 1906048
                            FR 2001650
                            FR 2001650
                                                                                                                                                                                                     GB 1969-1228271
GB 1969-1228272
JP 1969-9660
FR 1970-21149
US 1968-704229
                          GB 1228271
                          GB 1228272
                                                                                                                                                                                                                                                                                                               19690207
19690208
                          JP 48024378
                            FR 2065653
                                                                                                                                                19710806
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ED Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

Mixts. of olefins RRIC:(CR2 and HOCHCH2C1 are treated with tert-BuoBr to give ethers RRIC:(CR2CR2,C1)(CR2Br (1); and the I are treated with mines RRIM: 0.60 eth. MocHCR2CR2CT-Bu-OBr 1s 12.014 at 10 to give 115 g.

PhCH (OCH2CH2C1)(CR2Br (III), b1-5 116-18*, n1D9-8

1.55:10. Similarly prepared are the following I (R, R1, b.p./mm., and nD given): M, p-ClC6H4, 125-6*,0.5. 1.5640 (18*); M, Me.

79*/11. 1.475 (19*); Me. Me. 63-5*,4. 1.4765

(22*); M, p-TC6H4CH2, 140*/2, 1.5280 (19.6*). A mixture of 39.22 g. III, 44 g. cyclohexylamine, and 150 ml. PhMe is refluxed 12 hrs. to give 26 g. 2-phenyl-4-cyclohexylamine, and 150 ml. PhMe is refluxed 12 hrs. to give 26 g. 2-phenyl-4-cyclohexylatirahydro-1.4-cvazine, b13 197*, n2D 1.5370; HC1 salt mm 162*. Similarly prepared are given): Pr. 105*/1, 1.5174 (20.2*), 158*; iso-Pn, and m.p. HCl salt given): Pr. 105*/1, 1.5174 (20.2*), 158*; iso-Pn, and m.p. HCl salt given): Pr. 105*/1, 1.5174 (20.2*), 158*; iso-Pn, 39*/1, 1.500 (19), 142*; hexyl, 132*/1, 1.500 (19), 155*; anyl, 167*/12, 1.508 (23*), 145*; isoamyl, 103*/1, 1.509 (19.2*), 140*; n-heptyl, 189*/12, 1.5025 (19.2*), 140*; n-heptyl, 189*/12, 1.5026 (19.2*), 148*; EUC(CR2)3, 146*/3, 1.5100 (19.2*), 146*, 140*; n-Pro(CR2)3, 150*/3, 1.5042 (20.2*), 148*; EUC(CR2)3, 146*/3, 1.5100 (20.4*), 143*; Buo(CR2)3, 173*/3, 1.5040 (20.4*), 143*; Buo(CR2)3, 173*/3, 1.5040 (20.2*), 148*; EUC(CR2)3, 146*/3, 1.5100 (19.2*), 166*/2, 1.5090 (19.2*), 165*/1, 1.5090 (19.2*), 166*/2, 1.5090 (19.2*), 165*/2, 1.5090 (19.2*), 165*/2, 1.5090 (19.2*), 165*/2, 1.5090 (19.2*), 165*/2, 1.5090 (19.2*), 165*/2, 1.5090 (19.2*), 165*/2, 1.5090 (19.2*), 165*/2, 1.5090 (19.2*), 165*/2, 1.5090 (19.2*), 165*/2, 1.5090 (19.2*), 165*/2, 1.5090 (19.2*), 165*/2, 1.5090 (19.2*), 165*/2, 1.5090 (19.2*), 165*/2, 1.5090 (19.2*), 165*/2, 1.5090 (19.2*), 165*/2, 1.5090 (19.2*),
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L7 ANSWER 72 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
                                                                        (Continued)
     NH- C- O- CH2- Ph
     CH- CH2-C-O-CH2 Ph
     27842-06-4 CAPLUS
4-Morpholinebutanoic acid, β-amino-3-methyl-γ-oxo-2-phenyl-(9CI) (CA INDEX NAME)
     Сн- сно- соон
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L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

71:101868
71:11E: 2,4 and 2,2,4-Substituted tetrahydro-1,4-oxazines
Busch, Norbert; Moleyre, Jacques; Mauvernay, Roland Y.

CONTRENT ASSIGNEE(S): Centre Europeen de Recherches Mauvernay

Fr., 9 pp.

CODEN: FRXXAK
DOCUMENT TYPE:
   ANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
               PATENT NO.
                                                                                KIND
                                                                                                    DATE
                                                                                                                                            APPLICATION NO.
               FR 1535615
DE 1643857
GB 1184023
                                                                                                     19680809
                                                                                                                                                                                                                     19670626
              DE 1643837

GB 1184023

21532-11-6P 21532-12-7P 21532-13-8P

21532-14-9P 21553-81-5P 21553-82-6P

23222-65-3P 23972-38-5P 23972-39-6P

23222-65-3P 23972-48-6P 23972-46-5P

23972-47-6P 23972-48-7P 23972-51-2P

23972-52-3P 23972-53-4P 23972-51-2P

23972-55-6P 23980-51-0P 23980-60-1P

23980-29-7P 23980-59-8P 23980-60-1P

23980-29-7P 23980-80-5P 23980-60-9P

23980-70-3P 23980-80-5P 23980-80-9P

23980-70-3P 23980-80-5P 23980-80-16P

EL: SRN (Synthetic preparation); PREP (Preparation) (preparation of)

21532-11-6 CAPLUS

Morpholine, 2-phenyl-4-propyl- (8CI, 9CI) (CA INDEX NAME)
n-Pr
                21532-12-7 CAPLUS
Morpholine, 4-butyl-2-phenyl- (8CI, 9CI) (CA INDEX NAME)
               21532-13-8 CAPLUS
Morpholine, 4-pentyl-2-phenyl- (BCI) (CA INDEX NAME)
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L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

(CH₂) 4 T Me

RN 21532-14-9 CAPLUS CN Morpholine, 4-hexyl-2-phenyl- (8CI) (CA INDEX NAME)

(CH₂)₅-Me

RN 21563-81-5 CAPLUS CN Morpholine, 4-heptyl-2-phenyl- (8CI) (CA INDEX NAME)

(CH₂)₆-Me

RN 21563-82-6 CAPLUS CN Morpholine, 4-octyl-2-phenyl- (8CI) (CA INDEX NAME)

(CH₂)₇-Me

RN 23222-65-3 CAPLUS CN Morpholine, 4-isopentyl-2-phenyl- (8CI) (CA INDEX NAME)

L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH2-CH2-CHMe2

RN 23972-46-5 CAPLUS
CN Morpholine, 2-(p-chlorophenyl)-4-isopentyl-, hydrochloride (8CI) (CA INDEX NAME)

CH2-CH2-CHMe2

• HC]

RN 23972-47-6 CAPLUS CN Morpholine, 4-butyl-2-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

n-Bu N

RN 23972-48-7 CAPLUS CN Morpholine, 4-buty1-2-(4-chlorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

n-Bu

• 401

RN 23972-51-2 CAPLUS
CN Morpholine, 2-(p-chlorophenyl)-4-(3-isopropoxypropyl)- (8CI) (CA INDEX NAME)

L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH2-CH2-CHMe2

RN 23972-38-5 CAPLUS CN Morpholine, 4-(3-ethoxypropyl)-2-phenyl- (8CI) (CA INDEX NAME)

(CH₂)₃-OEt

RN 23972-39-6 CAPLUS CN Morpholine, 4-(3-ethoxypropyl)-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME)

(CH₂)₃-OEt

● HC

RN 23972-40-9 CAPLUS CN Morpholine, 4-(3-butoxypropyl)-2-phenyl- (8CI) (CA INDEX NAME)

(CH₂)₃-OBu-n

RN 23972-45-4 CAPLUS CN Morpholine, 2-(p-chlorophenyl)-4-isopentyl- (8CI) (CA INDEX NAME)

L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

(CH₂)₃-OPr-i

RN 23972-52-3 CAPLUS CN Morpholine, 2-(p-chlorophenyl)-4-(3-ethoxypropyl)- (8CI) (CA INDEX NAME)

(CH2)3-OEt

RN 23972-53-4 CAPLUS CN Morpholine, 2-{p-chlorophenyl}-4-(3-ethoxypropyl)-, hydrochloride (8CI) (CA INDEX NAME)

(CH₂)₃-OEt

HC1

RN 23972-54-5 CAPLUS CN Morpholine, 4-(3-butoxypropyl)-2-(p-chlorophenyl)- (8CI) (CA INDEX NAME)

(CH₂)₃-OBu-n

RN 23972-55-6 CAPLUS CN Morpholine, 4-(3-butoxypropyl)-2-(p-chlorophenyl)-, hydrochloride (8CI) (CA INDEX NAME)

L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

(Ch2) 3 - Chu-n

HC

RN 23980-51-0 CAPLUS
CN Morpholine, 2-phenyl-4-propyl-, hydrochloride (8CI, 9CI) (CA INDEX NAME)

N-Pr

● HC1

RN 23980-57-6 CAPLUS CN Morpholine, 4-butyl-2-phenyl-, hydrochloride (8CI, 9CI) (CA INDEX NAME)

n-Bu

● HC1

RN 23980-58-7 CAPLUS CN Morpholine, 4-isobutyl-2-phenyl- (8CI) (CA INDEX NAME)

L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH2-CH2-CHMe2

• HC1

RN 23980-67-8 CAPLUS CN Morpholine, 4-hexyl-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME)

(CH₂) 5 - Me

● HC1

RN 23980-68-9 CAPLUS CN Morpholine, 4-heptyl-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME)

(CH₂) 6 - Me

• HC1

RN 23980-70-3 CAPLUS CN Morpholine, 4-octyl-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME) L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

i-Bu

RN 23980-59-8 CAPLUS CN Horpholine, 4-isobutyl-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME)

i-Bu

● HC1

RN 23980-60-1 CAPLUS CN Morpholine, 4-pentyl-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME)

(CH₂) 4 - Me

● HC

RN 23980-62-3 CAPLUS CN Morpholine, 4-isopentyl-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME)

L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continu

O Ph

• HCl

RN 23980-80-5 CAPLUS
CN Morpholine, 4-(3-isopropoxypropyl)-2-phenyl- (8CI) (CA INDEX NAME)

(CH2)3-OPr-i

RN 23980-81-6 CAPLUS CN Morpholine, 4-(3-isopropoxypropyl)-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME)

(CH₂)₃-OPr-i

● HC1

RN 25455-50-9 CAPLUS CN Morpholine, 4-(3-butoxypropyl)-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME)

(CH₂) 3 - OBu-n

• HCl

L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Conti

RN 25455-51-0 CAPLUS
CN Morpholine, 2-(p-chlorophenyl)-4-(3-isopropoxypropyl)-, hydrochloride
(8CI) (CA (INDEX NAME)

● HCl

L7 ANSWER 74 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

LANGUAGE: French

RL: SPN (Synthetic preparation); PREP (Preparation)

r(preparation of)

RN 22632-50-4 CAPLUS

CN Morpholine, 3,6-dimethyl-2-phenyl-4-(2-propylvaleryl)- (8CI) (CA INDEX NAME)

Entered STN: 12 May 1984

AB The pharmacodynamic properties of Pr2CHCO2H amides and esters were examined The following Pr2CHCONRIR Were prepared (R1, R2, % yield, and m.p. or b.p./mm. given): Me. H, 80, 108.7°, Et. H, 75, 96°, iso-Bu, H, 40, 80.2°, heptyl, H, 52, 39.1°, allyl, H, 85, 71.5°, cyclopropyl, H, 59, 111.1°, cyclopentyl, H, 62, 108.6°; m-Clc6HdCHM2 (sic), H, 80, 100.7°, PhcH2, H, 53, 90.5°, Me. Me, 77, 75° /2; Et. Et., 38, 92°/2; iso-Pt. iso-Pt., 66, 160°/20; Bu, Bu, 48, 124°/2; allyl, allyl, 79, 108°/2; M12, H, 25, 126.1°; 1-naphthyl, H, 55, 148.4°; 2-naphthyl, H, 81, 153°; 3-ypridyl, H, 24, 100.1°; 2-pyrimidinyl, H, 60, 101.3°, 4-NcC6H4, H, 54, 167.4°; 3-acetylphenyl, H, 62, 35.4°, 4-acetylphenyl, H, 45, 147.3°; 4-propiophenyl, H, 72, 129.1°. The following given): propyleninino, 70, 1010 22, ps. 1010 23, ps. 1010 22, ps. 1010 23, ps. 1010

L7 ANSWER 75 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
AB Studies made by B. and B. (1968) of the gas-liquid chromatographic retention indexes of 2-phenyl-4-alkyl-tetrahydro-1,4-oxazine homologs were extended to the isomers in which the alkyl substituent (R) is iso-Pr. Pr., iso-Bu, Bu, isopentyl, pentyl, or allyl. Retention indexes are presented for the isomers on Chromosorb W columns coated with 8% Apicron L + 2% KOM and with 10% UCON Polar 50 HB 2000 + 10% KOM and on a silicone oil XF 1150/
Chromosorb G (acid-washed) column, at 175, 200, or 225*. The retention indexes are related linearly to the b.p. at normal pressure for the compds. with unbranched R groups. An average value for the difference between the retention indexes of a pair of isomers can be determined ACCESSION NOWBER: 70:43891
TITLE: Tatablishment and study of retention indexes of members of a homologous series, 2-phenyl-4-alkyltetrahydro-1,4-oxazines. III. Behavior of several isomers

AUTHOR(S): Bondivenne, R.; Busch, Norbert
CORPORATE SOURCE: Serv. Chim. Organ., C.E.R.M., Riom, Fr.
SOURCE: Journal of Gas Chromatography (1968), 6(11), .548-50
DOCUMENT TYPE: Journal of Gas Chromatography (1968), 6(11), .548-50

DOCUMENT TYPE: Journal English

IT 21532-12-7 (25132-13-8 2322-65-3

2380-58-7

RL: ANT (Analyte); ANST (Analytical study)
(chromatog. of)

RN 21532-12-7 CAPLUS
CN Morpholine, 4-butyl-2-phenyl- (8CI, 9CI) (CA INDEX NAME)

o ph

DOCUMENT TYPE:

RN 21532-13-8 CAPLUS CN Morpholine, 4-pentyl-2-phenyl- (8CI) (CA INDEX NAME)

Journal

(CH₂)₄-Me

RN 23222-65-3 CAPLUS CN Morpholine, 4-isopentyl-2-phenyl- (8CI) (CA INDEX NAME)

L7 ANSWER 75 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

23980-58-7 CAPLUS Morpholine, 4-isobutyl-2-phenyl- (8CI) (CA INDEX NAME)

IT 21532-11-6P RL: ANST (Analytical study); PREP (Preparation)

(preparation of)
21532-11-6 CaPLUS
Morpholine, 2-phenyl-4-propyl- (8CI, 9CI) (CA INDEX NAME)

L7 ANSWER 76 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

21532-14-9 CAPLUS Morpholine, 4-hexyl-2-phenyl- (8CI) (CA INDEX NAME)

(CH2) 5-Me

21563-81-5 CAPLUS Morpholine, 4-heptyl-2-phenyl- (8CI) (CA INDEX NAME)

(CH2)6-Me

21563-82-6 CAPLUS Morpholine, 4-octyl-2-phenyl- (8CI) (CA INDEX NAME)

(CH2) 7 - Me

ANSWER 76 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 12 May 1984

AB Retention indexes were calculated for compds. belonging to a homologous series and examined by chromatog, on a polar liquid phase. A math. relation was established between these retention indexes and b.p. at normal pressure for the 3 studied column temps. (175, 200, 225°). This relation allows extrapolation of the 1st results to other compds. of the same series. There is an increase in the index of 100 units for each addnl. CH2 group.

ACCESSION NUMBER: 1968:489896 CAPLUS

DOCUMENT NUMBER: 69:89896

Establishment and study of retention indexes of members of a homologous series: 2-nhenul-4-slvulseries. There is an increase in the index of 100 units for each addn1.

CH2 group.

ACCESSION NUMBER: 1968:489896 CAPLUS

FITLE: 1968:489896 CAPLUS

COUMENT NUMBER: 69:89896

FITLE: Establishment and study of retention indexes of members of a homologous series: 2-phenyl-4-alkyl-tetrahydro-1,4-öxazines. II. Third liquid phase Bondivenne, R.; Busch, N.

CORPORATE SOURCE: Serv. Chim. Org., Riom, Fr.

CODEN: JGCRAY: ISSN: 0096-2686

DOCUMENT TYPE: Journal of Gas Chromatography (1968), 6(8), 455-7

CODEN: JGCRAY: ISSN: 0096-2686

DOCUMENT TYPE: Language Service Ser

21532-12-7 CAPLUS Morpholine, 4-butyl-2-phenyl- (8CI, 9CI) (CA INDEX NAME)

21532-13-8 CAPLUS Morpholine, 4-pentyl-2-phenyl- (8CI) (CA INDEX NAME)

L7 ANSWER 77 OF 87 .CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
G1 For diagram(a), see printed CA Issue.
AB Kovats retention indexes were calculated for I, where R was Me and straight-chain C3-8 on polar and nonpolar gas chromatographic columns.
The 84 Apiezon L-23 KOM/Chromosorb W and 104 silicone oil XF 1150/Chromosorb G (acid-washed) columns were standardized with normal alkanes. N carrier gas and a H flame ionization detector were used. The retention indexes are tabulated at 175, 200, and 225. The seminated the verification of the structure of addni. I homologs having retention times differences in the indexes between the nonpolar and polar phases permitted the verification of the structure of addni. I homologs having retention times different from those obtained for the I studied. For the Apiezon L-KOH column, a math. relation was established between the retention index (IR) and the b.p. (Th) at normal pressure: IR = 8.29 Tb-758.9, so that retention indexes can be approximated for I homologs from b.p. data.
ACCESSION NUMBER: 1968:483187 CAPLUS
COCUMENT NUMBER: 69:83187
TITLE: Retention indexes of substances of a homologous series, 2-phenyl-4-alkyletrahydro-1,4-oxazines
BONDIVENT TYPE: Serv. Chem. Org., C.E.R.M., Riom, Fr.
SOURCE: Serv. Chem. Org., C.E.R.M., Riom, Fr.
DOCUNENT TYPE: Journal of Gas Chromatography (1968), 6(4), 198-202
CODEN: JGCRAY: ISSN: 0096-2686
DOCUMENT TYPE: Journal of Gas Chromatography (1968), 6(4), 198-202
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CODEN: JGCRAY: ISSN: 0096-2686
DOCUMENT TYPE: JOURNAL OF THE STANDARD STRUCKS OF THE ST

21532-12-7 CAPLUS Morpholine, 4-butyl-2-phenyl- (8CI, 9CI) (CA INDEX NAME)

21532-13-8 CAPLUS Morpholine, 4-pentyl-2-phenyl- (8CI) (CA INDEX NAME)

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Ngrazier 10727168
     L7 ANSWER 77 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
                (CH<sub>2</sub>)<sub>4</sub> - Me
                                     21532-14-9 CAPLUS
Morpholine, 4-hexyl-2-phenyl- (8CI) (CA INDEX NAME)
               (CH2)5-Me
                                     21563-81-5 CAPLUS
Morpholine, 4-heptyl-2-phenyl- (8CI) (CA INDEX NAME)
               (CH2)6-Me
                                     21563-82-6 CAPLUS
Morpholine, 4-octyl-2-phenyl- (8CI) (CA INDEX NAME)
               (CH2)7-Me
L7 ANSWER 78 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continuen 156-7; N-(β-hydroxy-α-methylphenthyl)-2.2-dichloroacetamide, m. 96-7; 2-morpholino-5-methyl-6-phenyl-3-morpholinone, m. 212-15; 2-hydroxy-5-methyl-6-phenyl-3-morpholinone, m. 178-8; 2-hydroxy-5-methyl-6-phenyl-3-morpholinone, m. 187-8; 2-hydroxy-5-methyl-6-phenyl-48-1, 4-oxazin-3(2H)-one, m. 227-9; α-hydroxylimino-4'-benzyloxypropiophenone, m. 138-9; α-(1-aminoethyl)-p-benzyloxypropiophenone, m. 138-9; α-(1-aminoethyl)-p-benzyloxypropiophenone, m. 114-21.5; the HCl salt m. 195-200; N-(β-benzyloxypropiophenone, m. 123-4.5; 6-(p-benzyloxyphenyl)-5-methyl-3-morpholinone, m. 124-25.5; 6-(p-bhg/roxyphenyl)-5-methyl-3-morpholinone, m. 1240.5-2.5; cis-5-methyl-6-phenyl-morpholinone, m. 1240.5-2.5; α-(1-aminoethyl)-propiophenone, M. 96-7; 2-amino-2'-trifluoromethylpropiophenone, M. 96-7; 2-amino-2'-trifluoromethylpropiophenone, M. 96-7; 2-amino-2'-trifluoromethylpropiophenone-KCl, m. 223.5-24; α-(1-aminoethyl)-c-trifluoromethylpropiophenone-KCl, m. 223.5-24; α-(1-aminoethyl)-0-trifluoromethylpropiophenone-KCl, m. 223.5-24; α-(1-aminoethyl)-0-trifluor
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CODEN: 1
PATET: Patent
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION: PATENT NO. APPLICATION NO. KIND DATE US 3308121 19670307 US 19611113
GB 1116736 GB
GB
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
16187-69-2 CAPLUS
3-Morpholinone, 4-isobutyryl-5-methyl-6-phenyl- (8CI) (CA INDEX NAME)

16187-71-6 CAPLUS 3-Morpholinone, 4-butyl-5-methyl-6-phenyl- (8CI) (CA INDEX NAME)

ANSWER 78 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 12 May 1984
The title compds. are tranquilizers. To a suspension of 50 g.

α-(1-aminoethyl)benzyl alc. (dl-norephedrine) in 500 ml. of C6H6 was added 24 g. 501 NaH dispersion in portions, and the mixture stirred for 1 hr. Then a solution containing 43 g. freshly distilled Et chloroacetate in 50 C6H6 was added over 15 min. and the mixture stirred for 1 hr. The suspension was treated with enough H2O to dissolve the solid and the mixture was extracted with 5% aqueous HCl. The acid solution was extracted with Et2O C6H6 was added over 15 min. and the mixture stirred for 1 hr. The suspension was treated with nough H2O to dissolve the solid and the mixture was extracted with 5% aqueous HCl. The acid solution was extracted with Et2O the Et2O and C6H6 portions were combined, dried, and concentrated The oily solid was washed with a small amount of H2O and recrystd. from AcOEt to give cis-5-methyl-6-phenyl-3-morpholinone, m. 138-40.5°. Similarly prepared were: trans-5-methyl-6-phenyl-3-morpholinone, m. 168-71°. 6-phenyl-3-morpholinone, m. 117-20°, n23D 1.5428; 6-(p-methoxyphenyl)-3-morpholinone, m. 151.8-2.8°; N. (β-hydroxy-α-methylphenethyl)-2-chloroacetamide, m. 150-2°; 2.6-diphenyl-3-metyl-3-morpholinone, m. 177-82°. N. (β-hydroxy-α-methyl-β-phenylphenethyl)-2-chloroacetamide, m. 181-1.5°; 5-methyl-6-6-diphenyl-3-morpholinone, m. 265-6°; N. (β-hydroxy-α-phenylphenethyl)-2-chloroacetamide, m. 181-3°; 5-methyl-6-6-diphenyl-3-morpholinone, m. 182-3°; N. (β-chloro-β-hydroxy-α-methylphenethyl)-2-chloroacetamide, m. 22-4°; 6-(p-chlorophenyl)-5-methyl-3-morpholinone, m. 181-3°; 5-6(phydroxy-α-methylphenethyl)-2-chloroacetamide, m. 29-4°; 6-(p-chlorophenyl)-5-methyl-3-morpholinone, b0.05 172-6°; 4-diethylaminoethyl-5-methyl-6-phenyl-3-morpholinone, b0.05 172-6°; 4-diethylaminoethyl-5-methyl-6-phenyl-3-morpholinone, m. 181-3-16°; 4-diethylaminoethyl-5-methyl-6-phenyl-3-morpholinone, m. 181-5-18°; 4-acetyl-5-methyl-6-phenyl-3-morpholinone, m. 181-5-8°; 4-benzol-5-methyl-6-phenyl-3-morpholinone, b0.06-0.08 108-9°; 4-isolutyryl-5-methyl-6-phenyl-3-morpholinone, b0.06-0.08 108-9°; 4-isolutyryl-5-methyl-6-phenyl-3-morpholinone, b0.06-0.08 108-9°; 4-isolutyryl-5-methyl-6-phenyl-3-morpholinone, b0.03 115°; 4-butyl-6-phenyl-3-morpholinone, b0.03 115°; 4-butyl-6-phenyl-3-morph

L7 ANSWER 78 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

16187-72-7 CAPLUS 3-Morpholinone, 4-butyl-6-phenyl- (8CI, 9CI) (CA INDEX NAME)

L7 ANSWER 79 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 22 Apr 2001
G1 For diagram(s), see printed CA Issue.
AB Compds. of the general formula I are prepared and can be used as antidepressants. Thus, a mixture of 4.35 g, morpholine, 12.9 g.
p-ClC6H4CO(CK2)3Cl, 5 g, NaHCO3, 0.1 g, NaI, and 100 ml. PhMe is refluxed 5 days to give 4'-chloro-4-morpholinobutyrophenone-HCl, m. 179*
(ECOH-ether). Similarly prepared are the following I (X, R, R1, R2, b.p./mm, m.p. and m.p. of salt given): MeO, H, H, H, --, --, HCl
202-3* (EtOH-ether): F, Me, H, Me, --, --, KCl 201-2*
(ECOH-ether): C1, Me, R, Me, --, --, HCl 201-2*
(ECOH-ether): C1, Me, R, Me, --, --, HCl 203-4*, F, Ph, Me, H, --, --, RCl 28-30*; H, H, Me, H, --, --, HCl
144-5* (EtOH-ether): R, Me, H, H, H, H, --, --, HCl
144-5* (EtOH-ether): R, Me, H, H, H, 30-3/0.05 (R2D 1.5173), --, --:
H, Ph, H, Ph, --, 116* (MeOH), HCl 195* (EtOH): M, p-ClC6H4,
H, H, --, --, RCl 194* (MeOH-ether): H, Me, H, H, --, --, HCl
177* (EtOH): Me, H, H, H, --, --, --, I (X = R = R1 = R2 = H) (5.8 g) is treated with 0.5 g. LiAlH4 in 70 ml. ether to give a-(3-morpholinopropyl)benzyl alc. (II), m. 69* (petroleum ether). A mixture of 9.1 g. II. 50 ml. Ac2O, and H2SO4 is refluxed 2 hrs. to give a-(3-morpholinopropyl)benzyl acetate, b002 140-2*, n230 1.5160.

ACCESSION NUMBER: 64:52088 CAPLUS
OCCUMENT NUMBER: 64:52088
ORIGINAL REFERENCE NO: 64:9738e-g
UTILE: Y-Morpholinobutyrophenones
INVENTOR(S): Jack, David: Harper, Norman J.; Ritchie, Alexander C.; Hayes, Norman F.

PATENT ASSIGNEE(S): Allen & Hanburys Ltd.
SOURCE: 15 pp.
DOCUMENT TYPE: Patent
LANGUAGE: VAMING COUNT: 1

PATENT ASSIGNEE(S): Allen 6 Hant SOURCE: 15 pp.
DOCUMENT TYPE: Patent LANGUAGE: Unavailable FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. BE 653093 19641231 BE 8 19630917

TS 170-55-0, Butyrophenone, 4'-fluoro-4-(3-methyl-2-phenylmorpholino)-, hydrochloride (manufacture and use as antidepressive drug)

RN 5170-55-8 CAPLUS

CN BUTYROPHENONE, 4'-fluoro-4-(3-methyl-2-phenylmorpholino)-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

● HC1

ANSWER 79 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HCl

5170-58-1 CAPLUS Butyrophenone, 4-(3-methyl-2-phenylmorpholino)-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

● HC1

5170-59-2 CAPLUS
Butyrophenone, 4-(3-methyl-2-phenylmorpholino)- (7CI, 8CI) (CA INDEX NAME)

5170-61-6 CAPLUS
Butyrophenone, 4-[2-(p-chlorophenyl)morpholino]- (7CI, 8CI) (CA INDEX NAME)

ANSWER 79 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 5170-28-3, Butyrophenone, 4-{2,6-diphenylmorpholino}5170-27-4, Butyrophenone, 4-{2,6-diphenylmorpholino}-,
hydrochloride 5170-28-5, Butyrophenone, 4-{12-{p-}
chlorophenyl|morpholino}-, hydrochloride 5170-59-1,
Butyrophenone, 4-{3-methyl-2-phenylmorpholino}-, hydrochloride
5170-59-2, Butyrophenone, 4-{2-{p-chlorophenyl|morpholino}5170-61-6, Butyrophenone, 4-{2-{p-chlorophenyl|morpholino}5487-29-6, Butyrophenone, 4-{1-uero-4-(3-methyl-2phenylmorpholino}(preparation of)
5170-26-3 CAPLUS
1-Butanone, 4-{2,6-diphenyl-4-morpholinyl}-1-phenyl- {9CI} (CA INDEX
NAME)

5170-27-4 CAPLUS Butyrophenone, 4-{2,6-diphenylmorpholino}-, hydrochloride (7CI, 8CI) (CA Butyropheno INDEX NAME)

• HC1

5170-28-5 CAPLUS
Butyrophenone, 4-[2-{p-chlorophenyl}morpholino}-, hydrochloride (7CI, 8CI)
(CA INDEX NAME)

L7 ANSWER 79 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

5487-29-6 CAPLUS Butyrophenone, 4'-fluoro-4-(3-methyl-2-phenylmorpholino)- (7CI, 8CI) (CA INDEX NAME)

ED GI AB

ANSWER 80 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 22 Apr 2001 For diagram(s), see printed CA Issue. 7-Dipropylamino-2-butylamino-3-imino-3H-phenoxazine (50 g.) in 1 1. Me2CO and 200 cc. H3PO4 (d. 1.7) refluxed 0.5 hr., diluted with dilute aqueous KOH,

Unavailable

LANGUAGE: U:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

NL 302969 19651025 NL 19631231
5170-58-1, Butyrophenone, 4-(3-methyl-2-phenylmorpholino)-,
hydrochloride 5170-59-2, Butyrophenone, 4-(3-methyl-2-phenylmorpholino)(preparation of)
5170-58-1 CAPLUS
Butyrophenone, 4-(3-methyl-2-phenylmorpholino)-, hydrochloride (7CI, 8CI)
(CA INDEX NAME)

5170-59-2 CAPLUS Butyrophenone, 4-(3-methyl-2-phenylmorpholino)- (7CI, 8CI) (CA INDEX NAME)

Unavailable

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DAT

US 3112311 19631126 US

PRIORITY APPLN. INFO.: CH 195'

17 93145-27-8, Morpholine, 4-buty1-2,3-dimethy1-6-pheny1
(preparation of)

RN 93145-27-8 CAPLUS
CN Morpholine, 4-buty1-2,3-dimethy1-6-pheny1- (7CI) (CA INDEX NAME)

ANSWER 80 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L7 ANSWER 82 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 22 Apr 2001
G For diagram(a), see printed CA Issue.
AB Substituted morpholines (1) (R = alkyl with 1-5 C atoms: R1 = small alkyl group) are prepared by cyclizing diethanolamines: HOCHZCHENRCHRICHPHON or by alkylating 2-phenyl-3-alkylmorpholines. Thus, 10 g, 1-phenylN-(B-hydroxyethyl)-M-methylamino]propan-1-ol, HCl salt and 20 g, P-Mec6H4SO3H is stirred 20 hrs. at 140°, the mixture cooled, diluted with H20, made alkaline with 354 aqueous NaOH, extracted with ether, and the extract dried and distilled to give 84% 2-phenyl-3-methyl-4-emylmorpholine, bl2 145°; HCl salt m. 165-6°, LD.50 450 mg,/kg. mouse subcutaneously. Similarly prepared is 2-phenyl-3-methyl-4-ethylmorpholine, 87%), b0.5; 115-19°; HCl salt m. 238°, LD.50 1.48 g./kg, mouse subcutaneously. Also prepared is 2-phenyl-3-methyl-4-ethylmorpholine, b1 132°.
ACCESSION NUMBER: 56:14911 CAPLUS
COCUMENT NUMBER: 56:14911 CAPLUS
COCUMENT NUMBER: 58:14911 CAPLUS
SOURCE: Substituted morpholines
TITLE: Substituted morpholines
Kurzen, Fritz; Siemer, Harm; Doppstadt, Adolf RATENT ASSIGNEE(S): Substituted morpholines
LANGUAGE: Unavailable
PATENT NO. KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE APPLICATION NO. DATE

DE 137439 19621004 DE 19540710
93145-28-9, Morpholine, 3-methyl-4-pentyl-2-phenyl-, hydrochloride
93145-29-0, Morpholine, 3-methyl-4-pentyl-2-phenyl(preparation of)
93145-28-9 CAPLUS
MORPholine, 3-methyl-4-pentyl-2-phenyl-, hydrochloride (7CI) (CA INDEX NAME)

(CH2) 4 - Me

93145-29-0 CAPLUS Morpholine, 3-methyl-4-pentyl-2-phenyl- (7CI) (CA INDEX NAME)

L7 ANSWER 82 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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Entered STN: 22 Apr 2001

Entered STN: 22 Apr 2001

For diagram(s), see printed CA Issue.

AB The title compds. (I) wherein Z is alkylene and R is imido, are capable of inhibition of the appetite. They can be made by heating 3-methyl-2-phenylmorpholine (II) with an imide in the presence of formalin, using an alc. reaction medium: or preferably by heating II with a haloalkylimide, XZR, in the presence of anhydrous base. For example, to a solution of succinimide (9 parts by weight) in absolute EtOH (80) is added II

(8)

and 36% formalin (18). The mixture is heated at 90°1 hr. and enough H20 is added to produce turbidity. Crystallization occurs on cooling and standing. The product is filtered and recrystd. (cyclohexane) to give 3-methyl-2-phenyl-4-succinimidomethylmorpholine, m. 127-30°. The 4-phrhalimide derivative m. 122-4°. can be made from phthalimide, II, and formalin in absolute EtOH. The 4-(2-succinimidomethyl)-HCl derivative, m. 287-9°, may be made from II, and -N-(2-bromoethyl) succinimide. The 4-(2-phthalimidoethyl)-HCl, m. 247-51°, was made from M-(2-bromoethyl) phthalimide and II. The 4-(5-succinimidopentyl)-HCl derivative, m. 167.5-73°, was made from II and N-(5-bromo-pentyl) succinimide, d-3-methyl-2-phenylmorpholine and II and N-(5-bromo-pentyl) succinimide, d-3-methyl-2-phenylmorpholine and formalin with EtOH solvent.

ACCESSION NUMBER: 03-3-methyl-2-phenylmorpholine and formalin with EtOH solvent.

ACCESSION NUMBER: 05: 57:8586h-i,8587a-b

TITLE: 4-Imidoalkyl-3-methyl-2-phenylmorpholines

NUMBER: 05: 50. Searle and Co. 3 pp.

DOCUMENT TYPE: Patent

LANGAGE: Unavailable

PATENT ASSIGNEE(S): 6.D. Searle and Co. 3 pp.

100028-29-3 Succinimide, N-(5-(3-methyl-2-phenylmorpholino)pentyl)-, hydrochloride (preparation of)

RN 10028-29-3 CAPLUS

ON Succinimide, N-(5-(3-methyl-2-phenylmorpholino)pentyl)-, hydrochloride (SCI, 7CI) (CA INDEX NAME)
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L7 ANSWER 83 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 22 Apr 2001
AB cf. CA 55, 20616d. Absorption spectra, 230-300 mu, for
R2NCH2CH2CPh2CONR'R', R2NCH2CHMcPM2CONR'R', and R2NCHMcCH2CPh2CONR'R'
were analyzed, where R' and R' are H, Et, Me, pytrolidinyl, and piperidinyl, and R2N is Me2N, Pr2N, iso-Pr2N, pytrolidinyl, piperidinyl, morpholinyl, and hexahydroarepinyl. The form of the curve is different for the 3 types of compound, and can be used for structure determination ACCESSION NUMBER: 1962:460312 CAPLUS
DOCUMENT NUMBER: 57:60312
ORIGINAL REFERENCE NO: 57:11973-c-d
Ultraviolet absorption of substituted o, a-diphenylbutyramides
AUTHOR(S): Loomans, Jos; Demoen, Paul
CORPORATE SOURCE: Res. Lab. Dr. C. Janssen, Beerse, Belg.
SOURCE: Nededel. Vlaam. Chem. Ver. (1962), 24, 54-64
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
IT 95129-52-5, 4-Morpholinebutyramide, N,N-dimethyl-2,2-diphenyl-
(spectrum of)
R 95129-52-5 CAPLUS
CN 4-Morpholinebutyramide, N,N-dimethyl-2,2-diphenyl-
(CH2)3-C-NMe2
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L7 ANSWER 84 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HC1

ANSWER 85 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
Entered STN: 22 Apr 2001
The title compds. had valuable neurophysiol. properties and could be used
as intermediates in the production of other compds. with similar
properties. Glycide 7.4 and L-ephedrine (1) 16.5 added to H2O 0.5, the
mixture heated 15 hrs. at 90°, the cooled resin dissolved in Et2O
200, a solution of H2SO4 9.8 in Et2O 100 added at 0°, the Et2O distilled,
the residue mixed at 0° with H2SO4 100 parts, the solution poured on
ice after 2-3 hrs., the mixture extracted with Et2O, NaOH added to the aqueous
e to an alkaline reaction, the mixture extracted with Et2O, and the extract dried and to an alkaline reaction, the mixture extracted with Et20, and the extract dried and distilled gave 2-phenyl-3,4-dimethyl-6-hydroxymethylmorpholine. The following substituted morpholines were similarly prepared [substituent, b.p./mm., and [parts] given]: 2-phenyl-3,4-dimethyl-6-etchoxymethyl, 92-4*0.03, from I (16.5) and glycide Et ether (10.2); 2-phenyl-3,4-dimethyl-6-decyl, 139-40*0.001, from I (16.5) and Jycide Et ether (10.2); 2-phenyl-3,4-dimethyl-6-decyl, 139-40*0.0001, from I (16.5) and J.2-epoxydodecane (II) (20.3); and 2-(p-chlorophenyl)-3-methyl-6-decyl, 150-2*0.0005, from 1-(p-chlorophenyl)-3-methyl-6-decyl, 150-2*0.0005, from 1-(p-chlorophenyl)-3-methyl-6-decyl, 150-2*0.0005, from 1-(p-chlorophenyl)-2-aminopropanol (18.5) and II (20.3), I 16.5 and propylene oxide (III) 7 parts heated 5 hrs. at 80-90* in a sealed tube and them worked up as in the 1st example gave 2-phenyl-3,4,6-trimethylmorpholine, b0.05 71-2.5*, [a]200 34.8* (c 1.349, CHCl3); picrate m 167-72* 2-(3*,4*-d)methylphenyl)-2-methyl-aminopropanol 17.9, III 6, and H20 0.5 part. 1-(p-Hydroxyphenyl)-2-methyl-aminoethanol 16.7 dissolved in HCONME2 100 and H20 1 at 100-10*, benzyl ethylene oxide 13.4 added, the mixture heated 20 hrs. at 100-10* and evaporated to dryness in vacuo, and the residue dissolved in 48 HBr 130 parts gave 2-(4*-hydroxyphenyl)-4-methyl-6-benzylmorpholine. I 15, 3-phenoxy-1,2-epoxypropane 13.5, and H20 1 heated at 50* until dissolved and then 14 hrs. at 100*, the crude product 25 dissolved in iso-PrOH-HCl, the solution evaporated to dryness in vacuo, p-McCH8030H 0.5 part added to the residue, the mixture heated 10 hrs. at 170*/30-50 mm., and the residue dissolved in aqueous K2CO3 and extracted with Et20 gave 2-phenyl-3, 4-dimethyl-6-phenoxymethylmorpholine, b0.0001 117-20*, on distillation of the extract Similarly, 2-(3*, 4*-dimethoxyphenyl)-3-methyl-6-phenoxymethylmorpholine drom 1-(3*, 4*-dimethoxyphenyl)-3-methyl-6-phenoxymethylmorpholine (IV) 7.6, BuOH 90, BuBr 5.5, and K2CO3 6.9 parts heated 24 hrs. at 80-90* with st of the residue extracted with Et2O gave on evaporation of the extract 2-phenyl-4-butyl-5,6-dimethylmorpholine, b0.001 81-2°. 2-13',4'-Dimethylphenyl)-3,6-dimethyl-4-allylmorpholine was similarly prepared from 2-13',4'-dimethylphenyl)-3,6-dimethylmorpholine il and allyl chloride 3.8 parts. Styrol oxide 48, 1,2-dimethylethanolamine 35.6, and H2O 2 parts heated 3 hrs. at 40-50° and 15 hrs. at 80-90° gave (3-hydroxy-2-butyl-2-hydroxy-2-phenylethyl)amine (V), b0.0002 106°. V 40 dissolved in H2SO4 200 parts with cooling, and the solution kept 24 hrs. at room temperature, poured into ice H2O, made alkaline NaOH, and extracted with Et2O gave IV, b0.0007 68° .

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Morpholine derivs., RYN.CHMe.CHPh.O.CH2.CH2, where Y = an alkylene
radical, ChR2n (n is less than 9), and R = imido radical, were prepared
They were anorectic agents, affected water balance, and were mildly
diuretic. Thus, 3-methyl-2-phenyl-anorpholine 8 and formalin 18 was added
to succinimide 9 in absolute alc. 80 parts, the mixture heated 1 hr. at
90°, and R2O added to turbidity to give 3-methyl-2-phenyl-4succinimidomethylmorpholine, m. 127-30°. Similarly prepared was
3-methyl-2-phenyl-4--phthalimidomethylmorpholine was prepared by refluxing
48 hrs. 3-methyl-2-phenyl-dnorpholine 8, N-(2-browneethyl)succinimide 9,
butanome 40, and powdered anhydrous K2CO3 3 parts, filtering, distilling the
solvent, taking up the residue in dilute HCl, washing with ether, evaporating

solvent, taking up the residue in dilute HCI, washing with ether, evaporati
to

dryness, and extracting with EtOH; HCI salt m. 287-9°. Also prepared
were: 3-methyl-2-phenyl-4-(2-phtallimidecthyl)morpholine and its
hydrochloride, m. 247-51°; N-(5-bromopentyl)succinimide;
3-methyl-2-phenyl-4-(5-succinimidopentyl)morpholine and its hydrochloride,
m. 167.5-73°; 1-2-(2-hydroxyethylamino)-1-phenylpropanol, from
1-1-hydroxy-1-phenylpropanone, 2-aminoethanol, PtO2, and H;
d-3-methyl-2-phenyl-4-succinimidomethylmorpholine, m. 125-34°,
[a]D 8.79°. d-3-Methyl-2-phenylmorpholine, bl. 0 89°,
[a]D 82.2°, was prepared by adding concentrated H2SO4 to
1-2-(2-hydroxyethylamino)-1-phenylpropanol.

ACCESSION NUMBER: 1961:13520 CAPLUS

DOCUMENT NUMBER: 55:13520
ORIGINAL REFERENCE NO.: 55:2697b-e
MORIGINAL REFERENCE NO.: 55:2697b-e
MORIGINAL REFERENCE NO.: 56:2697b-e
MORIGINAL REFERENCE NO.: 56:2697b-e
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILU ACC. NUM. COUNT: 1

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. 19600406 GB

GB 831933 19600406 GB 100028-29-3, Succinimide, N-[5-(3-methyl-2-phenylmorpholino)pentyl]-, hydrochloride 102500-29-3, Succinimide, N-[5-(3-methyl-2-phenylmorpholino)pentyl]-(preparation of) 100028-29-3 CAPLUS Succinimide, N-[5-(3-methyl-2-phenylmorpholino)pentyl]-, hydrochloride (6CI, 7CI) (CA INDEX NAME)

Page 8427/06/2005

L7 ANSWER 85 OF 87 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 1961:54380 CAPLUS DOCUMENT NUMBER: 55:54380 ORIGINAL REFERENCE NO.: 55:10481e-i,10482a-c (Continued)

Morpholine compounds Zimmermann, Markus; Haefliger, Franz J. R. Geigy Akt.-Ges.

INVENTOR (S): PATENT ASSIGNEE (S):

DOCUMENT TYPE: LANGUAGE: Unavailable

FAMILY ACC. NUM. CO PATENT INFORMATION: COUNT: 1

> PATENT NO. KIND DATE APPLICATION NO. 19601012

19601012 GB (51311 19601012 GB (51311 101450-40-2, Morpholine, 4-butyl-2,3-dimethoxy-6-phenyl-(preparation of) IT

(preparation of) 101450-40-2 CAPLUS

Morpholine, 4-buty1-2,3-dimethoxy-6-phenyl- (6CI) (CA INDEX NAME)

ANSWER 86 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN L7

102600-29-3 CAPLUS Succinimide, N-[5-(3-methyl-2-phenylmorpholino)pentyl]- (6CI) (CA INDEX NAME)

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NGIGATET 10727100

L7 ANSWER 87 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 22 Apr 2001

AB The toxicity, passmolytic activity, and local anesthetic effect of the following compds. have been tested on mice and on the surviving guinea-pig ileum, resp.: α-aminophenylacetamide+H Br: α-dimethylamino-, and α-dibutylaminophenylacetamide+Br: α-dimethylamino-, α-dimethylamino-, and α-dibutylaminophenylacetamide+Gl: 1- ((phenyl)carbamoylmethyl)pyridinium bromide: α- piperidino-, and α-dibutylaminodiphenylacetamide (α-diethylamino-, α-piperidino-, and α-dibutylaminodiphenylacetamide; α-dibutylaminodiphenylacetamide+IC: α-diethylamino-, α-piperidino-, and α-dibutylaminodiphenylacetic acid; N.N-dibutylα-α-dibutylaminodiphenylacetic acid (α-diethylamide) benzhydryldibutylamine-HCl: 2-phenyl-4-butyl-3-morpholinone: 2-phenyl-4-iaopropyl-3-morpholinone: 2-oxo-3-phenyl-4-iaopropyl-3-morpholinone: 2-oxo-3-phenyl-4-iaopropyl-3-morpholinone: 2-oxo-3-phenyl-4-iaopropyl-3-morpholinone: 2-oxo-3-phenyl-4-(adiethylaminophenylacetate-HCl: isoamyl α-aminophenylacetic acid-HCl: isoamyl p-aminophenylacetate-HCl: and Et α-(2-diethylaminophenylacetate-HCl: and Et α-(2-diethylaminothoxy)phenylacetate-HCl: The spasmolytic activity of all compds. was weak.

ACCESSION NUMBER: 195: 40500 CAPLUS
DOCUMENT NUMBER: 49: 40500
ORIGINAL REFERENCE NO: 49:7746h-1,7747a-b
TITLE: 5000 CAPLUS

AUTHOR(S): Hohensee, Friedrich
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LANGUNGE: Unavailable

17 3816-71-4 CAPLUS

CN 3-Morpholinone, 4-butyl-2-phenyl-
(pharmacol. of)

RN 73816-71-4 CAPLUS
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=> log y COST IN U.S. DOLLARS	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	430.68	756.56
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-63.51	-63.51

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